```
=> d his

     (FILE 'HOME' ENTERED AT 15:43:57 ON 31 JAN 2002)

     FILE 'REGISTRY' ENTERED AT 15:44:03 ON 31 JAN 2002
L1                STRUCTURE UPLOADED
L2             14 S L1
L3                STRUCTURE UPLOADED
L4              2 S L3
L5             23 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 15:49:17 ON 31 JAN 2002
L6              9 S L5

     FILE 'USPATFULL' ENTERED AT 15:54:12 ON 31 JAN 2002
L7              3 S L5
L8              0 S L7 NOT L6

     FILE 'CAOLD' ENTERED AT 15:55:29 ON 31 JAN 2002
L9              0 S L5

     FILE 'BEILSTEIN' ENTERED AT 15:55:39 ON 31 JAN 2002
L10             7 S L3 FULL

     FILE 'MARPAT' ENTERED AT 15:56:35 ON 31 JAN 2002
L11            29 S L5 FULL
L12            27 S L11 NOT L6
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L3 ANSWER 1 OF 4 USPATFULL
ACCESSION NUMBER: 2002:199300 USPATFULL
TITLE: Labeled vitamin D compounds and the use thereof
INVENTOR(S): Holick, Michael F., Sudbury, MA, UNITED STATES
Ray, Rahul, Wayland, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002107411	A1	20020808
	US 6455714	B2	20020924
APPLICATION INFO.:	US 2001-810624	A1	20010319 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-345789, filed on 1 Jul 1999, PATENTED Continuation of Ser. No. US 1998-91184, filed on 10 Jun 1998, PATENTED A 371 of International Ser. No. WO 1996-US20341, filed on 27 Dec 1996, UNKNOWN

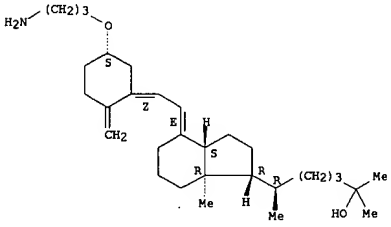
	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-9432P	19951229 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: STERNE, KESSLER, GOLDSTEIN & FOX PLLC, 1100 NEW YORK AVENUE, N.W., SUITE 600, WASHINGTON, DC, 20005-3934
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Page(s)
LINE COUNT: 719
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Biotin, fluorescent and chemiluminescent labeled vitamin D compounds are disclosed as well as their use in assays for the presence of vitamin D, its metabolites and vitamin D analogs in biological fluids.

IT **163018-26-6DP**, reaction product with fluorescein derivs.
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

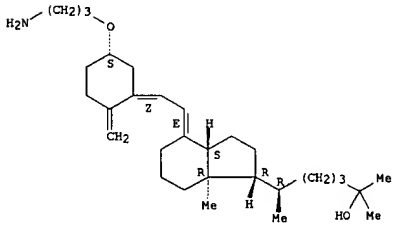
Absolute stereochemistry.
Double bond geometry as shown.



L3 ANSWER 1 OF 4 USPATFULL (Continued)

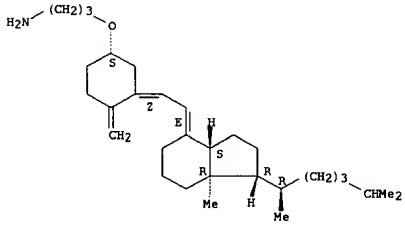
IT **163018-26-6 193278-62-5**
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 193278-62-5 USPATFULL
CN 1-Propanamine, 3-[[(3.beta.,5Z,7E)-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



=> d ibib ab hitstr 2-4

L3 ANSWER 2 OF 4 USPATFULL

ACCESSION NUMBER: 2001:158509 USPATFULL
TITLE: Kits comprising labeled vitamin D compounds
INVENTOR(S): Holick, Michael F., Sudbury, MA, United States
Ray, Rahul, Wayland, MA, United States
PATENT ASSIGNEE(S): A & D BioScience, Inc., Sudbury, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6291693	B1	20010918
APPLICATION INFO.:	US 1999-345789		19990701 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-91184, filed on 10 Jun 1998, now patented, Pat. No. US 5981779 Continuation of Ser. No. WO 1996-US20341, filed on 24 Dec 1996

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-9432P	19951229 (60)

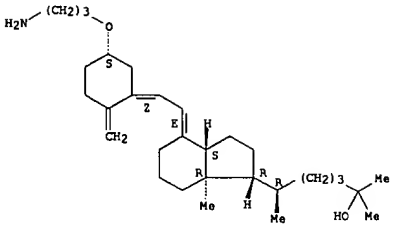
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Gitomer, Ralph
LEGAL REPRESENTATIVE: Sterne, Kessler, Goldstein & Fox P.L.L.C.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 731
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Kit comprising biotin, fluorescent and chemiluminescent labeled vitamin D compounds are disclosed. The disclosed kit may be used in assays for the presence of vitamin D, its metabolites and vitamin D analogs in biological fluids.

IT **163018-26-6DP**, reaction product with fluorescein derivs.
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

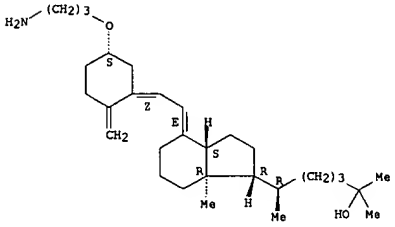
Absolute stereochemistry.
Double bond geometry as shown.

L3 ANSWER 2 OF 4 USPATFULL (Continued)



IT **163018-26-6 193278-62-5**
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

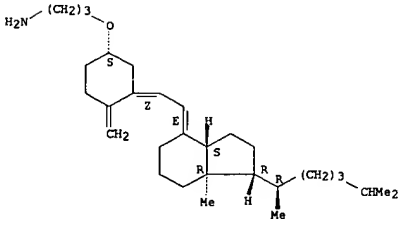
Absolute stereochemistry.
Double bond geometry as shown.



RN 193278-62-5 USPATFULL
CN 1-Propanamine, 3-[[(3.beta.,5Z,7E)-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L3 ANSWER 2 OF 4 USPATFULL (Continued)



L3 ANSWER 3 OF 4 USPATFULL

ACCESSION NUMBER: 2001:67841 USPATFULL
TITLE: Labeled vitamin D compounds and the use thereof
INVENTOR(S): Holick, Michael F., Sudbury, MA, United States
Ray, Rahul, Wayland, MA, United States
PATENT ASSIGNEE(S): A & D BioScience, Inc., Sudbury, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6229030	B1	20010508
APPLICATION INFO.:	US 1999-345789		19990701 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-91184, filed on 10 Jun 1998, now patented, Pat. No. US 5981779 Continuation of Ser. No. WO 1996-US20341, filed on 24 Dec 1996

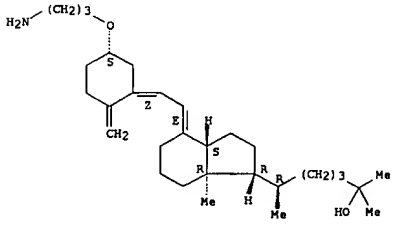
	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-9432P	19951229 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Gitomer, Ralph
LEGAL REPRESENTATIVE: Sterne, Kessler, Goldstein & Fox P.L.L.C.
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 724
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Kit comprising biotin, fluorescent and chemiluminescent labeled vitamin D compounds are disclosed. The disclosed kit may be used in assays for the presence of vitamin D, its metabolites and vitamin D analogs in biological fluids.

IT **163018-26-6DP**, reaction product with fluorescein derivs.
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

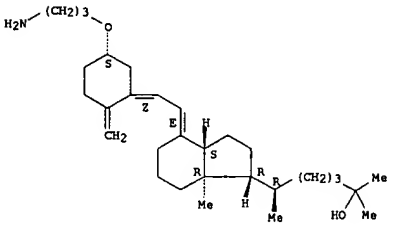
Absolute stereochemistry.
Double bond geometry as shown.



IT **163018-26-6 193278-62-5**
(fluorescent and chemiluminescent labeled vitamin D derivs.)

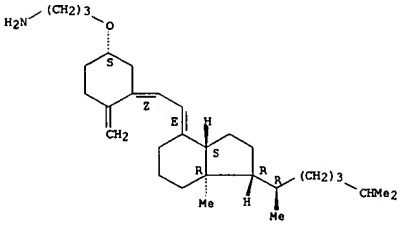
L3 ANSWER 3 OF 4 USPATFULL (Continued)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

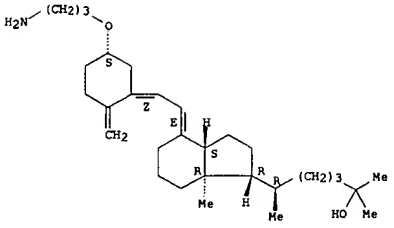


RN 193278-62-5 USPATFULL
CN 1-Propanamine, 3-[[(3.beta.,5Z,7E)-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

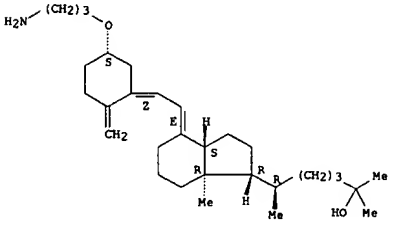


L3 ANSWER 4 OF 4 USPATFULL (Continued)



IT **163018-26-6 193278-62-5**
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 193278-62-5 USPATFULL
CN 1-Propanamine, 3-[[(3.beta.,5Z,7E)-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L3 ANSWER 4 OF 4 USPATFULL

ACCESSION NUMBER: 1999:142186 USPATFULL
TITLE: Labeled vitamin D compounds and the use thereof
INVENTOR(S): Holick, Michael F., Sudbury, MA, United States
Ray, Rahul, Wayland, MA, United States
PATENT ASSIGNEE(S): A and D Assay, Incorporated, Sudbury, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5981779		19991109	
	WO 9724127		19970710	
APPLICATION INFO.:	US 1998-91184		19980610	(9)
	WO 1996-US20341		19961227	
			19980610	PCT 371 date
			19980610	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-9432P	19951229 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Qazi, Sabiha N.
LEGAL REPRESENTATIVE: Sterne, Kessler, Goldstein & Fox P.L.L.C.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 658
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention disclose to non-radioactive vitamin D compounds of formula (1) and methods to assay for the presence of vitamin D, vitamin D analogs and their metabolites which may be present in milk, blood or other biological fluids. The assay methods employed in this invention may be enzyme linked immununoassays (ELISAs) (with biotin containing compounds) and fluorimetric and chemiluminometric assays (with fluorescein or chemiluminiscence containing compounds).

IT **163018-26-6DP**, reaction product with fluorescein derivs.
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 USPATFULL
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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L4 ANSWER 1 OF 2 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	7450937
Chemical Name (CN):	1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-aminopropyl ether
Autonom Name (AUN):	6-(4-<2-<5-(3-amino-propoxy)-3-(tert-butyl-dimethyl-silanyloxy)-2-methylene-cyclohexylidene>-ethylidene>-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Molec. Formula (MF):	C36 H65 N O3 Si
Molecular Weight (MW):	588.00
Lawson Number (LN):	6521, 3798, 3777, 3131
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	6392792
Tautomer ID (TAUTID):	7071940
Beilstein Citation (BSO):	6-06
Entry Date (DED):	1996/08/09
Update Date (DUPD):	1997/04/28

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S
3. CIP Descriptor: E
4. CIP Descriptor: Z

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXREA	Substance is Reaction Reactant	1

L4 ANSWER 1 OF 2 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

RXPRO Substance is Reaction Product 1

Reaction:
RX

Reaction ID (.ID):	4451530
Reactant BRN (.RBRN):	7451083
Reactant (.RCT):	1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-2-cyanoethyl ether
Product BRN (.PBRN):	7450937
Product (.PRO):	1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-aminopropyl ether
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	4451530.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	LiAlH4, AlCl3
Note(s) (.COM):	Yield given

Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, CODEN: STEDAM, 60(8), <1995>, 600-603; BABS-6004835

Reaction:
RX

Reaction ID (.ID):	4424386
Reactant BRN (.RBRN):	7450937, 1971081
Reactant (.RCT):	1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-aminopropyl ether, 4-azido-1-fluoro-2-nitrobenzene
Product BRN (.PBRN):	7456618
Product (.PRO):	1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-(4-azido-2-nitrophenyl)aminopropyl ether
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	4424386.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	74.8 percent Spectr (BRN=7456618)
Solvent (.SOL):	ethanol, dimethylsulfoxide
Time (.TIM):	20 hour(s)
Temperature (.T):	60 Cel
Other Conditions (.COND):	in the dark

Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, CODEN: STEDAM, 60(8), <1995>, 600-603; BABS-6004835

L4 ANSWER 2 OF 2 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	7445598
Chemical Name (CN):	25-hydroxyvitamin D3-3.beta.-3-aminopropyl ether
Autonom Name (AUN):	6-(4-<2-<5-(3-amino-propoxy)-2-methylene-cyclohexylidene>-ethylidene>-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Molec. Formula (MF):	C30 H51 N O2
Molecular Weight (MW):	457.74
Lawson Number (LN):	6104, 3131
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	6387067
Tautomer ID (TAUTID):	7062324
Beilstein Citation (BSO):	6-06
Entry Date (DED):	1996/08/09
Update Date (DUPD):	1997/04/28

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S
3. CIP Descriptor: E
4. CIP Descriptor: Z

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXREA	Substance is Reaction Reactant	1

Reaction:
RX

Reaction ID (.ID):	4435604
Reactant BRN (.RBRN):	7445598, 5883220
Reactant (.RCT):	25-hydroxyvitamin D3-3.beta.-3-aminopropyl ether, 6-(9-fluorenylmethyloxycarbonylamin

L4 ANSWER 2 OF 2 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

	o)hexanoic acid
Product BRN (.PBRN):	7457233
Product (.PRO):	25-hydroxyvitamin D3-3.beta.-3'-<6-N-(fluorenylmethyl-O-carboxy)hexamido>aminopropyl ether
No. of React. Details (.NVAR):	1

Reaction Details:

RX

Reaction RID (.RID):	4435604.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	72 percent (BRN=7457233)
Reagent (.RGT):	dicyclohexylcarbodiimide, 4,4'-N-dimethylaminopyridine
Solvent (.SOL):	CH2Cl2

Reference(s):

1. Roy, Aloka; Ray, Rahul, Steroids, CODEN: STEDAM, 60(8), <1995>, 600-603; BABS-6004835

=> d ibib ab hitstr 1-5

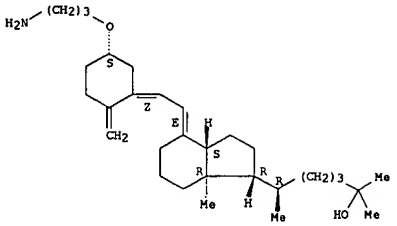
L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:819344 CAPLUS
DOCUMENT NUMBER: 132:50161
TITLE: Preparation of functional vitamin D derivatives and a method for determining 25-hydroxy-vitamin D and 1.alpha.,25-dihydroxy-vitamin D metabolites
INVENTOR(S): Armbruster, Franz Paul; Voelter, Wolfgang; Schwing, Jens; Birkmayer, Christian
PATENT ASSIGNEE(S): Immundiagnostik Gesellschaft fuer Produktion und Vertrieb von Labordiagnosti, Germany; Biomedica G.m.b.H.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967211	A1	19991229	WO 1999-EP4418	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19840435	A1	19991230	DE 1998-19840435	19980904
AU 9949011	A1	20000110	AU 1999-49011	19990625
EP 1097132	A1	20010509	EP 1999-932730	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518474	T2	20020625	JP 2000-555865	19990625

PRIORITY APPLN. INFO.: DE 1998-19828379 A 19980625
DE 1998-19840435 A 19980904
WO 1999-EP4418 W 19990625
OTHER SOURCE(S): MARPAT 132:50161
AB The invention relates to multifunctional vitamin D derivs. I [O = oxygen atom of an ether group; X = spacer group having a length of 0.8 to 4.2 nm, for example, an amino carboxylic acid radical, an amino undecanoic acid radical, or an amino polyether radical; Y = H, OH; A = tracer group such as biotin, digoxygenin or another vitamin D group which are bound by a protein having a higher affinity; R = hydrocarbon side-group of vitamin D or vitamin D metabolites]. The invention also relates to a method for quant. detg. a 25-hydroxy-vitamin D metabolite and a 1.alpha.,25-dihydroxy-vitamin D metabolite in a sample.
IT **163018-26-6P**, 3-O-(2-Aminoethyl)-25-hydroxy-vitamin D3
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of functional vitamin D derivs. and a method for detg. 25-hydroxy-vitamin D and 1.alpha.,25-dihydroxy-vitamin D metabolites)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

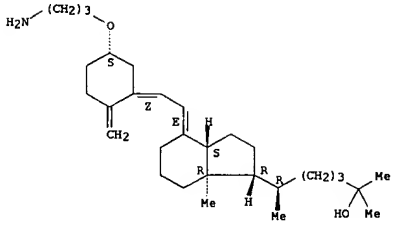
L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:549275 CAPLUS
DOCUMENT NUMBER: 127:158793
TITLE: Labeled vitamin D compounds and the use thereof
INVENTOR(S): Holick, Michael F.; Ray, Rahul
PATENT ASSIGNEE(S): A and D Assay, Incorporated, USA; Holick, Michael F.; Ray, Rahul
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724127	A1	19970710	WO 1996-US20341	19961227
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239617	AA	19970710	CA 1996-2239617	19961227
AU 9714293	A1	19970728	AU 1997-14293	19961227
EP 873126	A1	19981028	EP 1996-944506	19961227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000503641	T2	20000328	JP 1997-524458	19961227
US 6291693	B1	20010508	US 1998-91184	19980610
US 6229030	B1	20010508	US 1999-345789	19990701
US 2002107411	A1	20020808	US 2001-810624	20010319
US 6455714	B2	20020924		

PRIORITY APPLN. INFO.: US 1995-9432P P 19951229
WO 1996-US20341 W 19961227
US 1998-91184 A1 19980610
US 1999-345789 A3 19990701
OTHER SOURCE(S): MARPAT 127:158793
AB Biotin, fluorescent and chemiluminescent labeled Vitamin D compds. are disclosed as well as their use in assays for the presence of vitamin D, its metabolites and vitamin D analogs in biol. fluids. One example gives the prepn. of a biotin conjugate of vitamin D3-3-aminopropyl ether.
IT **163018-26-6DP**, reaction product with fluorescein derivs.
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

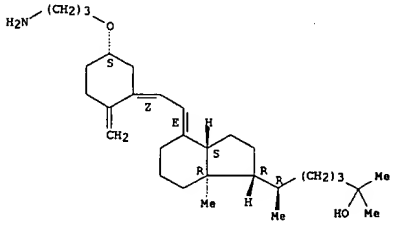
Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **163018-26-6 193278-62-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

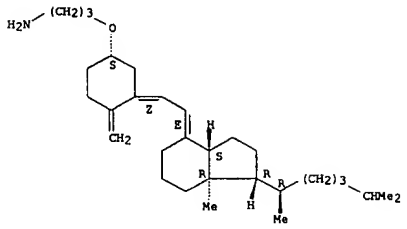
Absolute stereochemistry.
Double bond geometry as shown.



RN 193278-62-5 CAPLUS
CN 1-Propanamine, 3-[[(3.beta.,5Z,7E)-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

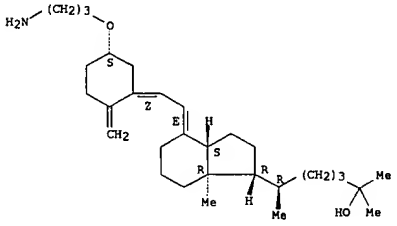
Absolute stereochemistry.
Double bond geometry as shown.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:745339 CAPLUS
DOCUMENT NUMBER: 123:228629
TITLE: Aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), its biological precursors, and other steroidal alcohols: an anchoring moiety for affinity studies of sterols
AUTHOR(S): Roy, Aloka; Ray, Rahul
CORPORATE SOURCE: Bioorganic Protein Chemistry, Boston Univ. School Medicine, Boston, MA, 02118, USA
SOURCE: Steroids (1995), 60(8), 530-3
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple two-step procedure for the conversion of several steroidal alcs. to their aminopropyl ether derivs. was described. To demonstrate the usefulness of this procedure we synthesized a second-generation photoaffinity labeling analog of 1.alpha.,25-dihydroxyvitamin D3, and a 25-hydroxyvitamin D3 deriv. contg. a long and chem. stable tether. Utilities of these aminopropyl ether derivs. of steroids in various affinity studies of receptor proteins are discussed.
IT **163018-26-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), biol. precursors, and other steroidal alcs. as anchoring moiety for affinity studies of sterols)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

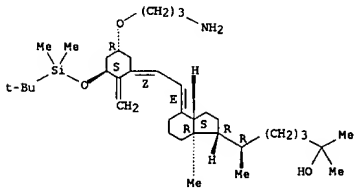
Absolute stereochemistry.
Double bond geometry as shown.



IT **120983-73-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), biol. precursors, and other steroidal alcs. as anchoring moiety for affinity studies of sterols)

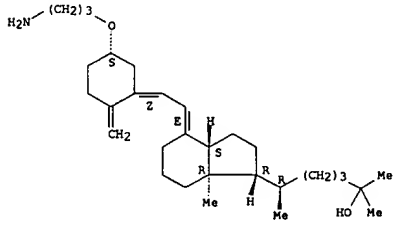
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 120983-73-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:492952 CAPLUS
DOCUMENT NUMBER: 122:285776
TITLE: Affinity purification of human plasma vitamin D-binding protein
AUTHOR(S): Swamy, Narasimha; Roy, Aloka; Chang, Richard; Brisson, Marni; Ray, Rahul
CORPORATE SOURCE: Dep. Med., Boston Univ. Medical Cent., Boston, MA, 02118, USA
SOURCE: Protein Expression and Purification (1995), 6(2), 185-8
CODEN: PEXPEJ; ISSN: 1046-5928
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English
AB During the course of studies to probe the vitamin D ligand-binding domains of vitamin D-binding protein and vitamin D receptor, the authors developed a synthetic procedure to modify the 3.beta.-hydroxyl group of vitamin D3 and its 25-hydroxy- and 1,25-dihydroxy metabolites with a 3'-aminopropyl ether group. In the present study they coupled 25-hydroxyvitamin D3-3.beta.-3'-aminopropyl ether to an activated Sepharose matrix. Using this stable and reusable affinity matrix they purified human vitamin D-binding protein from human plasma to homogeneity.
IT **163018-26-6DP**, Sepharose conjugates
RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(affinity purifn. of human plasma vitamin D-binding protein)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

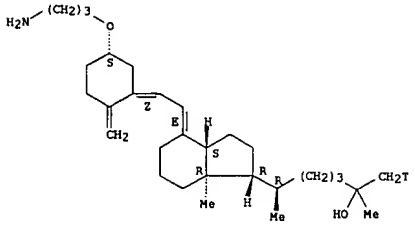
Absolute stereochemistry.
Double bond geometry as shown.



IT **163018-25-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(affinity purifn. of human plasma vitamin D-binding protein)
RN 163018-25-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-26-t-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

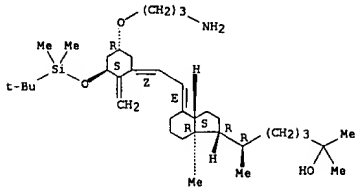
Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)
Double bond geometry as shown.



L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:407667 CAPLUS
DOCUMENT NUMBER: 111:7667
TITLE: Synthesis and biologic evaluation of a second generation photoaffinity analog of 1,25-dihydroxyvitamin D3
AUTHOR(S): Ray, R.; Holick, M. F.
CORPORATE SOURCE: Sch. Med., Boston Univ., Boston, MA, 02118, USA
SOURCE: Proceedings of the Workshop on Vitamin D (1988), 7th(Vitam. D: Mol., Cell. Clin. Endocrinol.), 60-1
CODEN: PWVDDU; ISSN: 0721-7110
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A report on the synthesis of 1,25-dihydroxyvitamin D3 analog I (TBDMS = tert-butyldimethylsilyl). I was coupled to a methionine deriv. and then desilylated to give methioninamide II, which is a second generation photoaffinity analog of 1,25-dihydroxyvitamin D3. The binding of II with chick intestinal cytosolic 1,25-dihydroxyvitamin D3 receptor were studied.
IT **120983-73-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with methionine deriv.)
RN 120983-73-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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09/720,338

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L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:857524 CAPLUS
DOCUMENT NUMBER: 136:20187
TITLE: Preparation of vitamin D derivatives
INVENTOR(S): Takahashi, Takashi; Tsuchiguro, Ichiro
PATENT ASSIGNEE(S): Rikogaku Shinkokai, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 89 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001329066	A2	20011127	JP 2000-373140	20001207

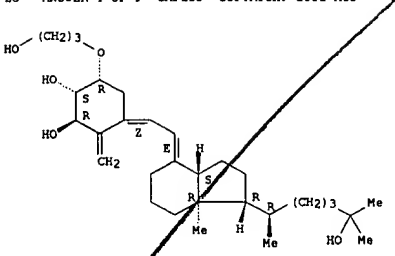
PRIORITY APPLN. INFO.: JP 2000-76405 A 20000314

AB Title compds. I (R1-R10, R13-R26 = alkyl, alkenyl, alkynyl, aryl, aralkyl, polymer residue, H, OH, etc.; R11, R12 = alkyl, alkenyl, alkynyl, aryl, aralkyl, polymer residue, H, etc.; .gtoreq.1 group of R1-R26 is polymer residue) are prepd. (S)-2-[(1R,3aR,7aR)-octahydro-7a-methyl-4-oxo-4H-inden-1-yl]propyl 4-(9-hydroxynonane-1-oxy)benzenesulfonate was reacted with diethylsilylbutyl-terminated polystyrene in the presence of 1,3-dichloro-5,5-dimethylhydantoin in CH2Cl2 and imidazole at room temp. for 6 h to give a 95% polymer, which was treated with [3R-(1Z,3.alpha.,4.beta.,5.beta.)]-[2-[5-(1,1-dimethylethyl)dimethylsilyloxy-3,4-isopropylidenedioxy-2-methylenecyclohexylidene]ethyl]diphenylphosphine oxide in the presence of BuLi in THF at -40.degree. for 3 h, reacted with 4-bromo-2-methyl-2-trimethylsilyloxybutane and Mg in THF in the presence copper bromide-dimethyl sulfide complex at room temp. for 3 h, and mixed with (+)-10-camphorsulfonic acid at 30.degree. for 12 h to give (1.alpha.,2.beta.,3.beta.,5Z,7E,20R)-9,10-secocholesta-5,7,10(19)-triene-1,2,3,25-tetrol.

IT **342645-14-1P 342645-17-4P 342645-31-2P 342645-34-5P 342645-46-9P 342645-49-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of vitamin D derivs.)

RN 342645-14-1 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

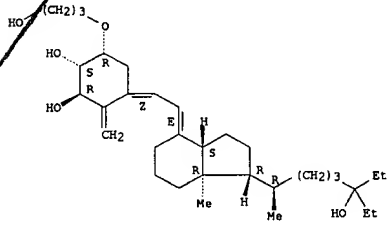
Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 342645-17-4 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

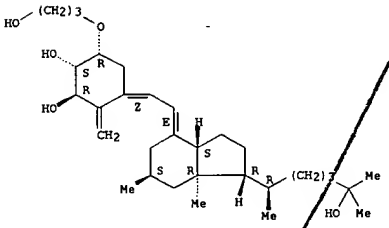
Absolute stereochemistry.
Double bond geometry as shown.



RN 342645-31-2 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-11-methyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E,11.alpha.)- (9CI) (CA INDEX NAME)

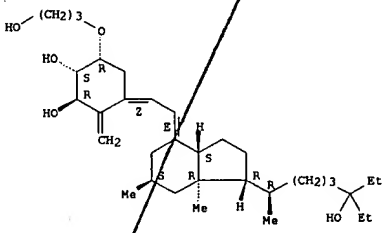
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 342645-34-5 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,6S,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-6,7a-dimethyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

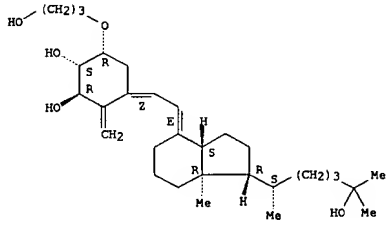
Absolute stereochemistry.
Double bond geometry as shown.



RN 342645-46-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-, (1.alpha.,2.beta.,3.beta.,5Z,7E,20S)- (9CI) (CA INDEX NAME)

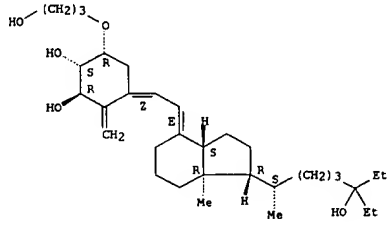
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 342645-49-2 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:229231 CAPLUS
DOCUMENT NUMBER: 135:19811
TITLE: Parallel Synthesis of a Vitamin D3 Library in the Solid-Phase
AUTHOR(S): Hijikuro, Ichiro; Doi, Takayuki; Takahashi, Takashi
CORPORATE SOURCE: Department of Applied Chemistry Graduate School of Science and Engineering, Tokyo Institute of Technology, Meguro Tokyo, 152-8552, Japan
SOURCE: Journal of the American Chemical Society (2001), 123(16), 3716-3722
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:19811

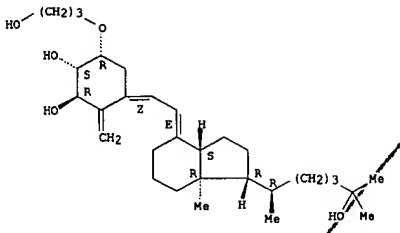
AB A highly efficient synthesis of the vitamin D3 system on solid support is described. Two synthetic strategies for the solid-phase synthesis of vitamin D3 were developed. One is for 11-hydroxy analogs, and the other is for most other synthetic analogs. In the latter strategy, the sulfonate-linked CD-ring I was initially immobilized on PS-DES resin to give solid-supported CD-ring I. Similarly, solid-supported CD-ring I was prepd. by attachment of the CD-ring II to the chlorosulfonate resin. The vitamin D3 system was synthesized by Horner-Wadsworth-Emmons reaction of the A-ring phosphine oxide to a solid-supported CD-ring, followed by simultaneous introduction of the side chain and cleavage from resin with a CuI-catalyzed Grignard reagent. Parallel synthesis of the vitamin D3 analogs was accomplished by a split and pool methodol. utilizing radio frequency encoded combinatorial chem., and a manual parallel synthesizer for side chain diversification and deprotection. Addnl., the synthesis of various A-rings was demonstrated in a similar protocol for efficient prepn. of building blocks.

IT **342645-14-1P 342645-17-4P 342645-31-2P**
342645-34-5P 342645-46-9P 342645-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of vitamin D3 combinatorial library)

RN 342645-14-1 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-, (1.alpha.,2.beta.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

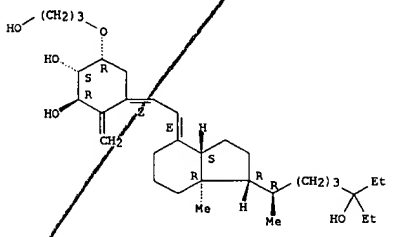
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 342645-17-4 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

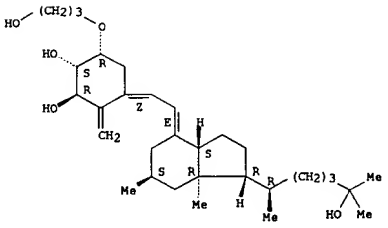
Absolute stereochemistry.
Double bond geometry as shown.



RN 342645-31-2 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-11-methyl-, (1.alpha.,2.beta.,3.beta.,5Z,7E,11.alpha.)- (9CI) (CA INDEX NAME)

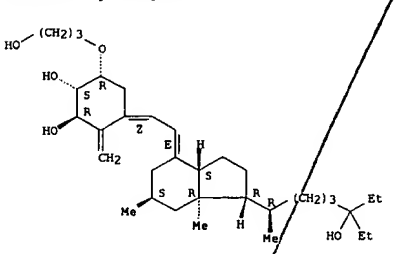
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 342645-34-5 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,6S,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-6,7a-dimethyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

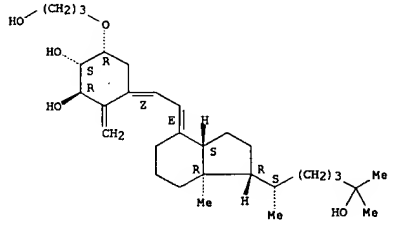
Absolute stereochemistry.
Double bond geometry as shown.



RN 342645-46-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,2,25-triol, 3-(3-hydroxypropoxy)-, (1.alpha.,2.beta.,3.beta.,5Z,7E,20S)- (9CI) (CA INDEX NAME)

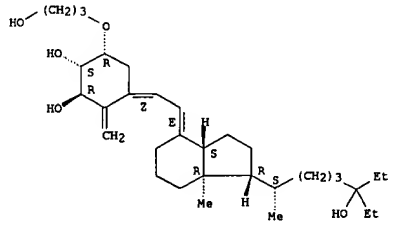
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 342645-49-2 CAPLUS
CN 1,2-Cyclohexanediol, 4-[(2E)-[(1R,3aS,7aR)-1-[(1S)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-6-(3-hydroxypropoxy)-3-methylene-, (1S,2R,4Z,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



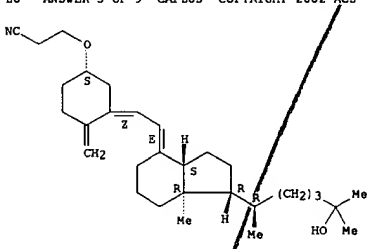
REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:819344 CAPLUS
DOCUMENT NUMBER: 132:50161
TITLE: Preparation of functional vitamin D derivatives and a method for determining 25-hydroxy-vitamin D and 1.alpha.,25-dihydroxy-vitamin D metabolites
INVENTOR(S): Armbruster, Franz Paul; Voelter, Wolfgang; Schwing, Jens; Birkmayer, Christian
PATENT ASSIGNEE(S): Immundiagnostik Gesellschaft fuer Produktion und Vertrieb von Labordiagnosti, Germany; Biomedica G.m.b.H.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967211	A1	19991229	WO 1999-EP4418	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19840435	A1	19991230	DE 1998-19840435	19980904
AU 9949011	A1	20000110	AU 1999-49011	19990625
EP 1097132	A1	20010509	EP 1999-932730	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

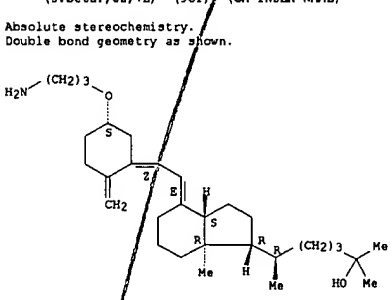
PRIORITY APPLN. INFO.: DE 1998-19828379 A 19980625
DE 1998-19840435 A 19980904
WO 1999-EP4418 W 19990625
OTHER SOURCE(S): MARPAT 132:50161
AB The invention relates to multifunctional vitamin D derivs. I [O = oxygen atom of an ether group; X = spacer group having a length of 0.8 to 4.2 nm, for example, an amino carboxylic acid radical, an amino undecanoic acid radical, or an amino polyether radical; Y = H, OH; A = tracer group such as biotin, digoxygenin or another vitamin D group which are bound by a protein having a higher affinity; R = hydrocarbon side-group of vitamin D or vitamin D metabolites]. The invention also relates to a method for quant. detg. a 25-hydroxy-vitamin D metabolite and a 1.alpha.,25-dihydroxy-vitamin D metabolite in a sample.
IT **193278-61-4P**
RL: ARG (Analytical reagent use); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(prepn. of functional vitamin D derivs. and a method for detg. 25-hydroxy-vitamin D and 1.alpha.,25-dihydroxy-vitamin D metabolites)
RN 193278-61-4 CAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[6-[[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]amino]-6-oxohexyl]-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)
NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

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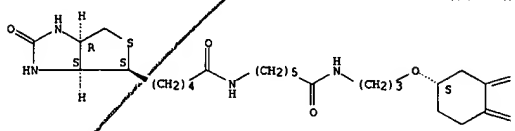
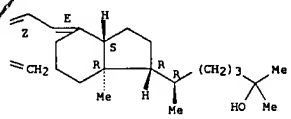


PAGE 1-B



IT **133191-10-3P**, 3-O-(2-Cyanoethyl)-25-hydroxy-vitamin D3
163018-26-6P, 3-O-(2-Aminoethyl)-25-hydroxy-vitamin D3
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of functional vitamin D derivs. and a method for detg. 25-hydroxy-vitamin D and 1.alpha.,25-dihydroxy-vitamin D metabolites)
RN 133191-10-3 CAPLUS
CN Propanenitrile, 3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

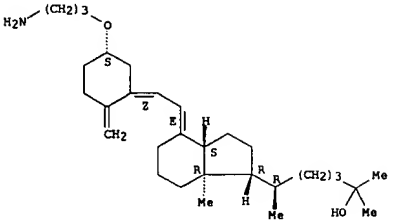
L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:549275 CAPLUS
DOCUMENT NUMBER: 127:158793
TITLE: Labeled vitamin D compounds and the use thereof
INVENTOR(S): Holick, Michael F.; Ray, Rahul
PATENT ASSIGNEE(S): A and D Assay, Incorporated, USA; Holick, Michael F.; Ray, Rahul
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724127	A1	19970710	WO 1996-US20341	19961227
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239617	AA	19970710	CA 1996-2239617	19961227
AU 9714293	A1	19970728	AU 1997-14293	19961227
EP 873126	A1	19981028	EP 1996-944506	19961227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000503641	T2	20000328	JP 1997-524458	19961227
US 6291693	A	20010508	US 1998-91184	19980610
US 6229030	B1	20010508	US 1999-345789	19990701

PRIORITY APPLN. INFO.: US 1995-9432 P 19951229
WO 1996-US20341 W 19961227
US 1998-91184 A1 19980610
OTHER SOURCE(S): MARPAT 127:158793
AB Biotin, fluorescent and chemiluminescent labeled Vitamin D compds. are disclosed as well as their use in assays for the presence of vitamin D, its metabolites and vitamin D analogs in biol. fluids. One example gives the prepn. of a biotin conjugate of vitamin D3-3-aminopropyl ether.
IT **163018-26-6DP**, reaction product with fluorescein derivs.
193278-59-0P 193278-60-3P 193278-61-4P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

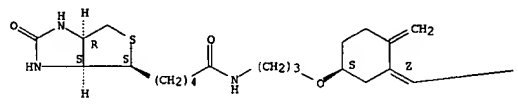
L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



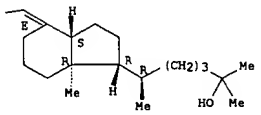
RN 193278-59-0 CAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]-2-oxo-, [3aS-(3a.alpha.,4.beta.,6a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



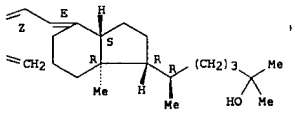
PAGE 1-B



RN 193278-60-3 CAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[5-[[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-

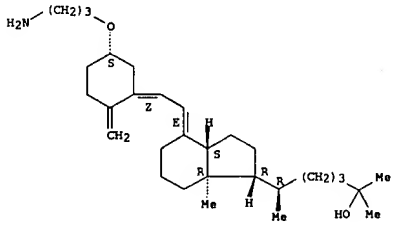
L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-B



IT **163018-26-6**
RL: RCT (Reactant)
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



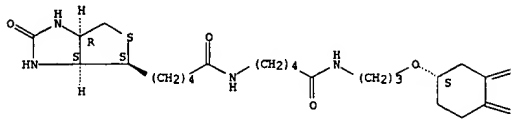
IT **193278-63-6P 193278-64-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(fluorescent and chemiluminescent labeled vitamin D derivs.)
RN 193278-63-6 CAPLUS
CN Heptanoic acid, 7-[[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]amino]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)
yl]oxy]propyl]amino]-5-oxopentyl]-2-oxo-, [3aS-(3a.alpha.,4.beta.,6a.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



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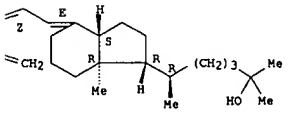

RN 193278-61-4 CAPLUS
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[6-[[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]amino]-6-oxohexyl]-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

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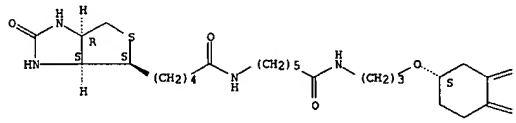
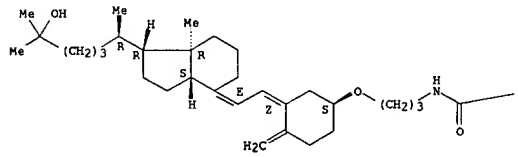
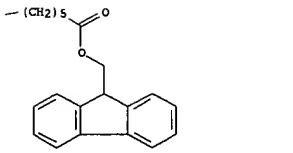


L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A



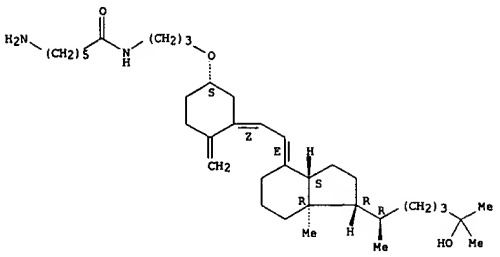
PAGE 1-B



RN 193278-64-7 CAPLUS
CN Hexanamide, 6-amino-N-[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS

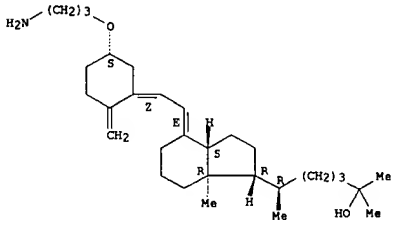
ACCESSION NUMBER: 1995:745339 CAPLUS
DOCUMENT NUMBER: 123:228629
TITLE: Aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), its biological precursors, and other steroidal alcohols: an anchoring moiety for affinity studies of sterols
AUTHOR(S): Roy, Aloka; Ray, Rahul
CORPORATE SOURCE: Bioorganic Protein Chemistry, Boston Univ. School Medicine, Boston, MA, 02118, USA
SOURCE: Steroids (1995), 60(8), 530-3
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple two-step procedure for the conversion of several steroidal alcs. to their aminopropyl ether derivs. was described. To demonstrate the usefulness of this procedure we synthesized a second-generation photoaffinity labeling analog of 1.alpha.,25-dihydroxyvitamin D3, and a 25-hydroxyvitamin D3 deriv. contg. a long and chem. stable tether. Utilities of these aminopropyl ether derivs. of steroids in various affinity studies of receptor proteins are discussed.

IT **163018-26-6**
RL: RCT (Reactant)
(aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), biol. precursors, and other steroidal alcs. as anchoring moiety for affinity studies of sterols)

RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



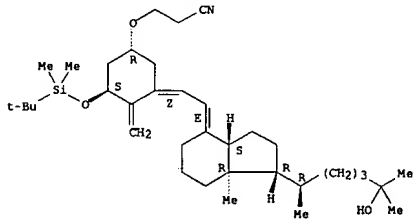
IT **168418-07-3P 168418-08-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), biol. precursors, and other steroidal alcs. as anchoring moiety for affinity studies of sterols)

RN 168418-07-3 CAPLUS

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

CN Propanenitrile, 3-[[(1.alpha.,3.beta.,5Z,7E)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 168418-08-4 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-[3-[(4-azido-2-nitrophenyl)amino]propoxy]-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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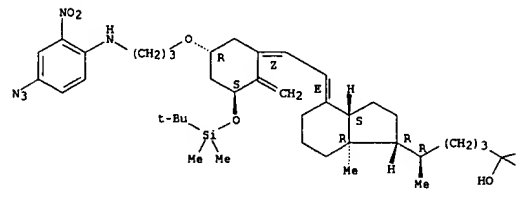

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

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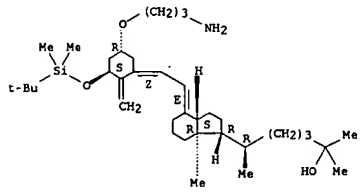
IT **120983-73-5P 168418-09-5P 168418-12-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(aminopropylation of vitamin D hormone (1.alpha.,25-dihydroxyvitamin D3), biol. precursors, and other steroidal alcs. as anchoring moiety for affinity studies of sterols)

RN 120983-73-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

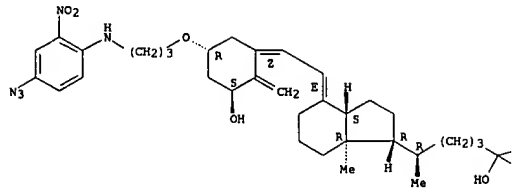


RN 168418-09-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-triene-1,25-diol, 3-[3-[(4-azido-2-nitrophenyl)amino]propoxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)

PAGE 1-A

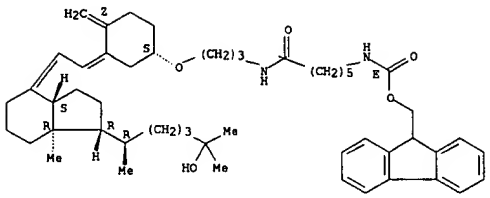


PAGE 1-B

RN 168418-12-0 CAPLUS
CN Carbamic acid, [6-[[3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]amino]-6-oxohexyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS

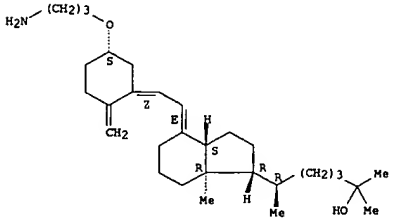
ACCESSION NUMBER: 1995:492952 CAPLUS
DOCUMENT NUMBER: 122:285776
TITLE: Affinity purification of human plasma vitamin D-binding protein
AUTHOR(S): Swamy, Narasimha; Roy, Aloka; Chang, Richard; Brisson, Marni; Ray, Rahul
CORPORATE SOURCE: Dep. Med., Boston Univ. Medical Cent., Boston, MA, 02118, USA
SOURCE: Protein Expression Purif. (1995), 6(2), 185-8
CODEN: PEXPEJ; ISSN: 1046-5928
DOCUMENT TYPE: Journal
LANGUAGE: English

AB During the course of studies to probe the vitamin D ligand-binding domains of vitamin D-binding protein and vitamin D receptor, the authors developed a synthetic procedure to modify the 3.beta.-hydroxyl group of vitamin D3 and its 25-hydroxy- and 1,25-dihydroxy metabolites with a 3'-aminopropyl ether group. In the present study they coupled 25-hydroxyvitamin D3-3.beta.-3'-aminopropyl ether to an activated Sepharose matrix. Using this stable and reusable affinity matrix they purified human vitamin D-binding protein from human plasma to homogeneity.

IT **163018-26-6DP**, Sepharose conjugates
RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(affinity purifn. of human plasma vitamin D-binding protein)

RN 163018-26-6 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

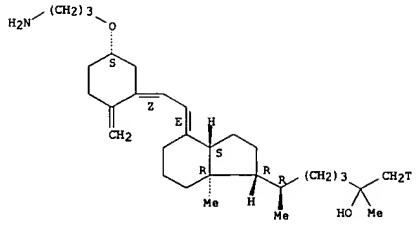


IT **163018-25-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(affinity purifn. of human plasma vitamin D-binding protein)

RN 163018-25-5 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-26-t-25-ol, 3-(3-aminopropoxy)-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



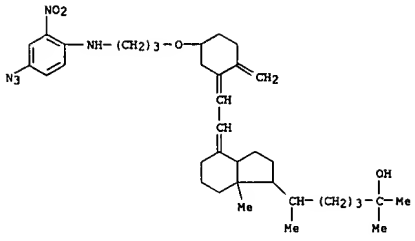
L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:466996 CAPLUS
DOCUMENT NUMBER: 115:66996
TITLE: Photoaffinity labeling of human serum vitamin D binding protein and chemical cleavages of the labeled protein: identification of an 11.5-KDa peptide containing the putative 25-hydroxyvitamin D3 binding site
AUTHOR(S): Ray, Rahul; Bouillon, Roger; Van Baelen, Hugo; Holick, Michael F.
CORPORATE SOURCE: Sch. Med., Boston Univ., Boston Univ, MA, 02118, USA
SOURCE: Biochemistry (1991), 30(30), 7638-42
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Photoaffinity labeling and related studies of human serum vitamin D binding protein (hDBP) with 25-hydroxyvitamin D3 3.beta.-3'-[N-(4-azido-2-nitrophenyl)amino]propyl ether (25-ANE) and its radiolabeled counterpart, i.e., 25-hydroxyvitamin D3 3.beta.-3'-[N-(4-azido-2-nitro-[3,5-3H]phenyl]amino]propyl ether (3H-25-ANE) are described. The 25-ANE competes with 25-OH-D3 for the binding site of the latter in hDBP and 3H-25-ANE is capable of covalently labeling the hDBP when exposed to UV light. Treatment of a sample of purified hDBP, labeled with 3H-25-ANE, with BNPS-skatole produced two Coomassie Blue stained peptide fragments, and the majority of the radioactivity was assocd. with the smaller of the two peptide fragments (16.5 kDa). On the other hand, cleavage of the labeled protein with cyanogen bromide produced a peptide (11.5 kDa) contg. most of the covalently attached radioactivity. Considering the primary amino acid structure of hDBP, this peptide fragment (11.5 kDa) represents the N-terminus through residue 108 of the intact protein. Thus, results tentatively identify this segment of the protein contg. the binding pocket for 25-OH-D3.

IT **133191-08-9**
RL: BIOL (Biological study)
(vitamin D-binding protein hydroxy vitamin D3-binding site of human blood serum photoaffinity labeling by)

RN 133191-08-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-[3-[(4-azido-2-nitrophenyl)amino]propoxy]-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)



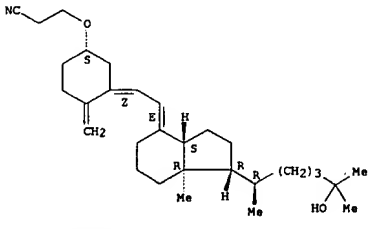
L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:224992 CAPLUS
DOCUMENT NUMBER: 114:224992
TITLE: Synthesis of 25-hydroxyvitamin D33.beta.-3'-[N-(4-azido-2-nitrophenyl)amino]propylether, a second-generation photoaffinity analog of 25-hydroxyvitamin D3: photoaffinity labeling of rat serum vitamin D-binding protein
AUTHOR(S): Ray, Rahul; Bouillon, Roger; Van Baelen, Hugo; Holic, Michael F.
CORPORATE SOURCE: Sch. Med., Boston Univ., Boston, MA, 02118, USA
SOURCE: Biochemistry (1991), 30(19), 4809-13
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Vulnerability of 25-hydroxy-[26,27-3H]vitamin D3 3.beta.-N-(4-azido-2-nitrophenyl)glycinate, a photoaffinity analog of 25-hydroxyvitamin D3 (25-OH-D3) (Ray et al., 1986) toward std. conditions of carboxymethylation prompted us to synthesize 25-hydroxyvitamin D3 3.beta.-3'-[N-(4-azido-2-nitrophenyl)amino]propyl ether (25-ANE), a hydrolytically stable photoaffinity analog of 25-OH-D3, and 25-hydroxyvitamin D3 3.beta.-3'-[N-(4-azido-2-nitro-[3,5-3H]phenyl)amino]propyl ether (3H-25-ANE), the radiolabeled counterpart of 25-ANE. Competitive binding assays of 25-OH-D3 and 25-ANE with rat serum demonstrated that 25-ANE competes for the 25-OH-D3 binding site in rat serum vitamin D binding protein (rDBP). On the other hand, UV exposure of a sample of purified rat DBP (rDBP), preincubated in the dark with 3H-25-ANE, covalently labeled the protein. However, very little covalent labeling was obsd. in the absence of UV light or in the presence of a large excess of 25-OH-D3. These results provide strong evidence for the covalent labeling of the 25-OH-D3 binding site in rDBP by 3H-25-ANE.

IT **133191-10-3P**
RL: PREP (Preparation)
(prepn. and redn. and coupling reaction of, with fluoronitrophenylazide)

RN 133191-10-3 CAPLUS
CN Propanenitrile, 3-[[(3.beta.,5Z,7E)-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]- (9CI) (CA INDEX NAME)

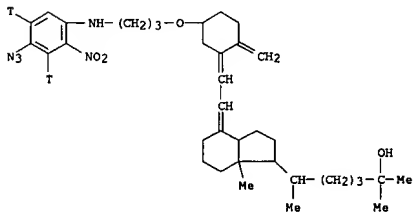
Absolute stereochemistry.
Double bond geometry as shown.



IT **133191-09-0P**
RL: PREP (Preparation)

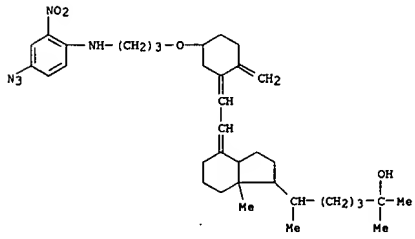
L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS (Continued)
(prepn. of)

RN 133191-09-0 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-[3-[(4-azido-2-nitrophenyl-3,5-t2)amino]propoxy]-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)



IT **133191-08-9P**
RL: PREP (Preparation)
(prepn. of, as photoaffinity label)

RN 133191-08-9 CAPLUS
CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-[3-[(4-azido-2-nitrophenyl)amino]propoxy]-, (3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:407667 CAPLUS
DOCUMENT NUMBER: 111:7667
TITLE: Synthesis and biologic evaluation of a second generation photoaffinity analog of 1,25-dihydroxyvitamin D3
AUTHOR(S): Ray, R.; Holick, M. F.
CORPORATE SOURCE: Sch. Med., Boston Univ., Boston, MA, 02118, USA
SOURCE: Proc. Workshop Vitam. D (1988), 7th(Vitam. D: Mol., Cell. Clin. Endocrinol.), 60-1
CODEN: PWVDDU; ISSN: 0721-7110
DOCUMENT TYPE: Journal
LANGUAGE: English

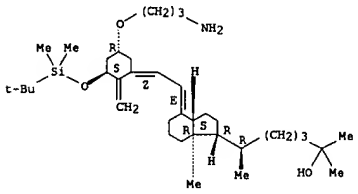
AB A report on the synthesis of 1,25-dihydroxyvitamin D3 analog I (TBDMS = tert-butyldimethylsilyl). I was coupled to a methionine deriv. and then desilylated to give methioninamide II, which is a second generation photoaffinity analog of 1,25-dihydroxyvitamin D3. The binding of II with chick intestinal cytosolic 1,25-dihydroxyvitamin D3 receptor were studied.

IT **120983-73-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with methionine deriv.)

RN 120983-73-5 CAPLUS

CN 9,10-Secocholesta-5,7,10(19)-trien-25-ol, 3-(3-aminopropoxy)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (1.alpha.,3.beta.,5Z,7E)- (9CI) (CA INDEX NAME)

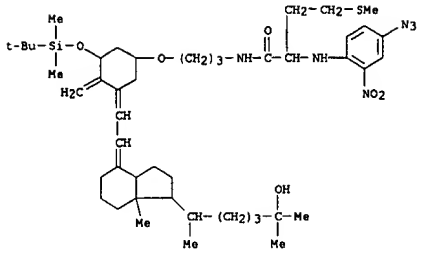
Absolute stereochemistry.
Double bond geometry as shown.



IT **120983-74-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and desilylation of)

RN 120983-74-6 CAPLUS

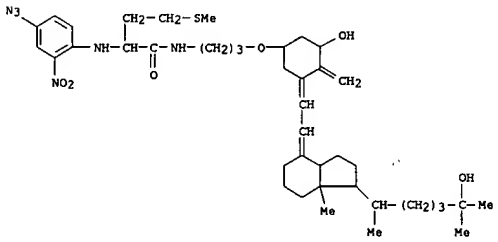
CN Butanamide, 2-[(4-azido-2-nitrophenyl)amino]-N-[3-[[(1.alpha.,3.beta.,5Z,7E)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-25-hydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]-4-(methylthio)-, (S)- (9CI) (CA INDEX NAME)



IT **120983-75-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as second generation photoaffinity analog of dihydroxyvitamin D3)

RN 120983-75-7 CAPLUS

CN Butanamide, 2-[(4-azido-2-nitrophenyl)amino]-N-[3-[[(1.alpha.,3.beta.,5Z,7E)-1,25-dihydroxy-9,10-secocholesta-5,7,10(19)-trien-3-yl]oxy]propyl]-4-(methylthio)-, (S)- (9CI) (CA INDEX NAME)



09/720,338

=> d all 1-7

L10 ANSWER 1 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7457233 Beilstein
Molecular Formula (MF): C51 H72 N2 O5
Autonom Name (AUN): (5-<3-(3-(2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene)-4-methylene-cyclohexyloxy)-propylcarbamoyl>-pentyl)-carbamic acid 9H-fluoren-9-ylmethyl ester
Beilstein Reference (SO): 6-06
General Comments (NTE): Stereo compound
Formula Weight (FW): 793.14
Lawson Number (LN): 6104; 5573; 3415; 3131; 1762

Ring System Data:

Number of Rings (CNR): 6
Ring Systems (CNRS): 3
Diff. Ring Systems (CNDRS): 3
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 7

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1
13.3.33-0.0-6.2	C13	1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE
Start: BRN=7445598 25-hydroxyvitamin D3-3.beta.-3-aminopropyl ether, BRN=5883220 6-(9-fluorenylmethyloxycarbonylamino)hexanoic acid
Reag: dicyclohexylcarbodiimide, 4,4'-N-dimethylaminopyridine
Yield: 72.00 %
Solv: CH2Cl2
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. 1H-1H. Solvent(s): CDCl3

NMR Absorption:
NMRA
Nucl: 1H
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN:

L10 ANSWER 1 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI (Continued)
STEDAM

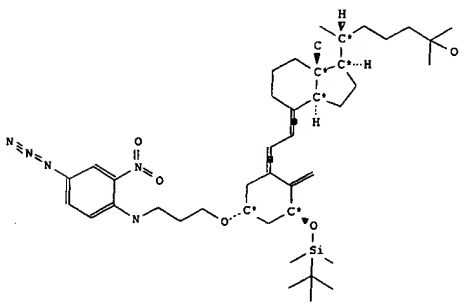
L10 ANSWER 2 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7456618 Beilstein
Molecular Formula (MF): C42 H67 N5 O5 Si
Autonom Name (AUN): 6-(4-(2-<5-<3-(4-azido-2-nitro-phenylamino)-propoxy>-3-(tert-butyl-dimethyl-silanyloxy)-2-methylene-cyclohexylidene>-ethylidene)-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Beilstein Reference (SO): 6-12
General Comments (NTE): Stereo compound
Formula Weight (FW): 750.11
Lawson Number (LN): 14133; 6521; 3798; 3777; 3131

Ring System Data:

Number of Rings (CNR): 4
Ring Systems (CNRS): 3
Diff. Ring Systems (CNDRS): 3
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 11

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1
6.1.0-0.0-3.1	C6	1



Preparation:
PRE
Start: BRN=7450937 1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-aminopropyl ether, BRN=1971081 4-fluoro-3-nitrophenyl azide
Time: 20 hour(s)

L10 ANSWER 2 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI (Continued)
Solv: ethanol, dimethylsulfoxide
Temp: 60.0 Cel
Detail: in the dark
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. Yield: 74.8 percent Spectr.

CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. 1H-1H. Solvent(s): CDCl3

NMR Absorption:
NMRA
Nucl: 1H
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

Electronic Absorption Maximum:
EAM 260.00, 460.00 nm
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

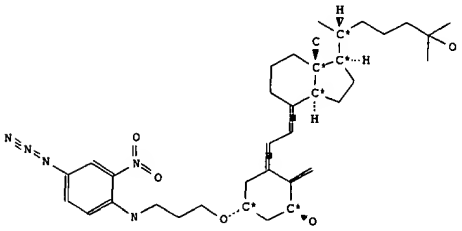
L10 ANSWER 3 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7454983 Beilstein
Molecular Formula (MF): C36 H53 N5 O5
Autonom Name (AUN): 5-<3-(4-azido-2-nitro-phenylamino)-propoxy>-3-(2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene)-2-methylene-cyclohexanol
Beilstein Reference (SO): 6-12
General Comments (NTE): Stereo compound
Formula Weight (FW): 635.85
Lawson Number (LN): 14133; 6521; 3131

Ring System Data:

Number of Rings (CNR): 4
Ring Systems (CNRS): 3
Diff. Ring Systems (CNDRS): 3
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 10

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1
6.1.0-0.0-3.1	C6	1



Preparation:
PRE
Start: BRN=7456618 1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-3-(4-azido-2-nitrophenyl)aminopropyl ether
Reag: aq. HF
Time: 3.5 hour(s)

L10 ANSWER 3 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI (Continued)
Solv: acetonitrile
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. 1H-1H. Solvent(s): CDCl3

NMR Absorption:
NMRA
Nucl: 1H
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

L10 ANSWER 4 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7451831 Beilstein
Molecular Formula (MF): C36 H62 N2 O3
Autonom Name (AUN): 6-amino-hexanoic acid <3-(3-(2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene)-4-methylene-cyclohexyloxy)-propyl>-amide
Beilstein Reference (SO): 6-06
General Comments (NTE): Stereo compound
Formula Weight (FW): 570.90
Lawson Number (LN): 6104; 3415; 3131

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE
Start: BRN=7457233 25-hydroxyvitamin D3-3.beta.-3'-<6-N-(fluorenylmethyl-O-carboxy)hexamido>aminopropyl ether
Reag: piperidine
Solv: CH2Cl2
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. Yield given

L10 ANSWER 5 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7451083 Beilstein
Molecular Formula (MF): C36 H61 N O3 Si
Autonom Name (AUN): 3-(3-(tert-butyl-dimethyl-silanyloxy)-5-(2-<1-(5-hydroxy-1,5-dimethyl-hexyl)-7a-methyl-octahydro-inden-4-ylidene>-ethylidene)-4-methylene-cyclohexyloxy)-propionitrile
Beilstein Reference (SO): 6-06
General Comments (NTE): Stereo compound
Formula Weight (FW): 583.97
Lawson Number (LN): 6521; 3798; 3777; 1779

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE
Start: BRN=6248387 1.alpha.,25-dihydroxyvitamin D3-1-t-butyldimethylsilyl, BRN=605310 acrylonitrile
Reag: aq. Triton B
Time: 4 hour(s)
Yield: 91.00 %
Solv: 2-methyl-propan-2-ol, acetonitrile
Temp: 4.0 Cel
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

CTCPL Coupling Phenomena: Spin-spin coupling constants
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. 1H-1H. Solvent(s): CDCl3

NMR Absorption:
NMRA
Nucl: 1H
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

Infrared Maximum:

L10 ANSWER 5 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI (Continued)
IRM 2200 cm**-1
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

Electronic Absorption Maximum:
EAM 228.00, 264.00 nm
Solv: CDCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM

L10 ANSWER 6 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7450937 Beilstein
Molecular Formula (MF): C36 H65 N O3 Si
Autonom Name (AUN): 6-(4-(2-<5-(3-amino-propoxy)-3-(tert-butyl-dimethyl-silanyloxy)-2-methylene-cyclohexylidene>-ethylidene)-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Beilstein Reference (SO): 6-06
General Comments (NTE): Stereo compound
Formula Weight (FW): 588.00
Lawson Number (LN): 6521; 3798; 3777; 3131

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 5

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Preparation:
PRE
Start: BRN=7451083 1.alpha.,25-dihydroxyvitamin D3-1-deoxy-1.alpha.-t-butyldimethylsilyloxy-3.beta.-2-cyanoethyl ether
Reag: LiAlH4, AlCl3
Reference(s):
1. Roy, Aloka; Ray, Rahul, Steroids, 60 <1995> 8, 600-603, LA: EN, CODEN: STEDAM
Note(s):
2. Yield given

L10 ANSWER 7 OF 7 COPYRIGHT 2002 BEILSTEIN CDS MDLI

Beilstein Reg. No. (BRN): 7445598 Beilstein
Molecular Formula (MF): C30 H51 N O2
Autonom Name (AUN): 6-(4-(2-<5-(3-amino-propoxy)-2-methylene-cyclohexylidene>-ethylidene)-7a-methyl-octahydro-inden-1-yl)-2-methyl-heptan-2-ol
Beilstein Reference (SO): 6-06
General Comments (NTE): Stereo compound; Referenced by other compounds
Formula Weight (FW): 457.74
Lawson Number (LN): 6104; 3131

Ring System Data:

Number of Rings (CNR): 3
Ring Systems (CNRS): 2
Diff. Ring Systems (CNDRS): 2
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 3

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
9.2.5-0.0-0.0	C9	1
6.1.0-0.0-0.0	C6	1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

=> d ibib ab fqhit 1-27

L12 ANSWER 1 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 135:227011 MARPAT
TITLE: Preparation of 2,4-di(hetero)arylamino(oxy)-5-substituted pyrimidines as antineoplastic agents
INVENTOR(S): Pease, Elizabeth Janet; Williams, Emma Jane; Bradbury, Robert Hugh; Pearson, Stuart Eric
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

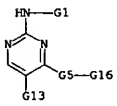
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064656	A1	20010907	WO 2001-GB829	20010226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-4887 20000301

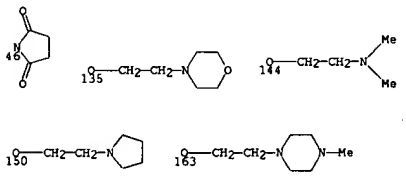
AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by one substituent selected from N-(di)alkylamino, Ph, heterocyclyl, etc.; G = O, NR2; R2 = H, alkyl, alkenyl, etc.; R1 = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepd. and formulated. Thus, reacting 4-anilino-5-bromo-2-chloropyrimidine with 4-aminobenzyl alc. in the presence of ethereal HCl in BuOH/MeOH followed by treatment of the intermediate with ethylene glycol afforded 19% II which showed IC50 of 0.679 .mu.M when tested in vitro assay for the CDK4 inhibitory activity.

MSTR 1 ITERATION INCOMPLETE

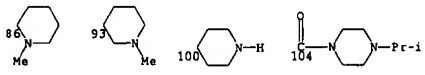


G1 = aryl (SO (1-) G2) / heteroaryl (SO (1-) G2) / (SC Ph)
G2 = alkylamino<(1-2)> (SO (1-) G4) / dialkylamino<(1-2)> / Ph (SO) / Hy (SO) / OPh / 22 / alkyl<(1-2)> (SR (1-) G4) / alkoxy<(1-2)> (SR (1-) G4) /

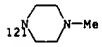
L12 ANSWER 1 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
G8 = NH2 / CH2CH2OH / 46 / 135 / 144 / 150 / 163



G9 = pyrrolidino / NH2
G10 = CO2H / 86 / 93 / 100 / 104



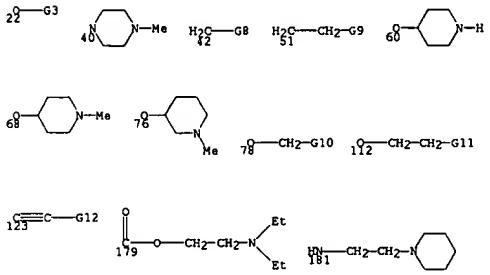
G11 = phthalimido / morpholino / NMe2 / NEt2 / 121 / pyrrolidino



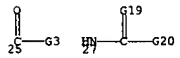
G12 = NH2 / NMe2 / NHMe / 126

G13 = H / X / OH / NO2 / NH2 / alkylamino<(1-3)> / dialkylamino<(1-3)> / CN / CF3 / CCl3 / alkyl<(1-3)> (SO (1-2) G14) / alkenyl<(3-5)> (SO (-3) G15) / alkynyl<(3-5)> / SH / alkylthio<(1-3)> / CO2H / alkoxycarbonyl<(1-3)>
G14 = X / CN / NH2 / alkylamino<(1-3)> / dialkylamino<(1-3)> / OH / CF3
G15 = (-3) X / (-1) CF3
G16 = aryl (SO (1-) G2) / heteroaryl (SO (1-) G2) / (SC Ph / pyridyl)
G18 = X / SH / NO2 / CHO / NHCHO / CO2H / CN / NH2 / 33 / CONH2 / SO2NH2 / alkyl<(1-4)> (SO) / alkenyl<(2-4)> (SO) / alkynyl<(2-4)> (SO) / alkylcarbonyl<(1-3)> / alkoxycarbonyl<(1-4)> / Hy / alkylthio<(1-4)> / alkylsulfinyl<(1-4)> / alkylsulfonyl<(1-4)> / alkylamino<(1-4)> / dialkylamino<(1-4)> /

L12 ANSWER 1 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
alkoxycarbonyl<(1-2)> (SR (1-) G4) / alkyl<(1-2)> (SR G7) / alkenyl<(2-4)> (SO (1-) G4) / alkynyl<(2-4)> (SO (1-) G4) / (-4) G18 / (SC NMe2 / 40 / 42 / 51 / 60 / 68 / 76 / 78 / 112 / 123 / 179 / 181 / 190)



G3 = Hy
G4 = X / OH / SH / NO2 / CHO / NHCHO / CO2H / CN / NH2 / NHCONH2 / CONH2 / SO2NH2 / alkylcarbonyl<(1-3)> / alkoxycarbonyl<(1-4)> / Ph (SO) / Hy (SO) / COPh (SO) / 25 / alkylthio<(1-4)> / alkylsulfinyl<(1-4)> / alkylsulfonyl<(1-4)> / 27 / alkylamino<(1-4)> / dialkylamino<(1-4)> / alkylaminosulfonyl<(1-4)> / dialkylaminosulfonyl<(1-4)> / alkylaminocarbonyl<(1-4)> / dialkylaminocarbonyl<(1-4)> / alkylcarbonylamino<(1-3)>



G5 = O / NH / 31

G6 = alkyl<(1-6)> (SO) / alkenyl<(3-6)> (SO) / alkynyl<(3-6)> (SO)
G7 = alkoxy<(1-2)> (SR (1-) G4)

L12 ANSWER 1 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
alkylaminosulfonyl<(1-4)> / dialkylaminosulfonyl<(1-4)> / alkylaminocarbonyl<(1-4)> / dialkylaminocarbonyl<(1-4)> / alkylcarbonylamino<(1-3)> / (-2) G23 / alkoxy<(1-4)> / OH

G19 = NH / 16

G20 = NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)>
G21 = alkyl<(1-4)>
G23 = aryl (SO) / cycloalkyl<(3-8)> (SO) / Hy (SO)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or in vivo hydrolysable esters

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

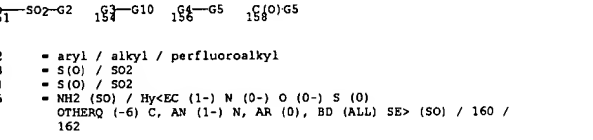
L12 ANSWER 2 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 134:17402 MARPAT
TITLE: Preparation of 4-arylpiperidine derivatives for the treatment of pruritus
INVENTOR(S): Armer, Richard Edward; Bronk, Brian Scott; Gibson, Stephen Paul; Roberts, Lee Richard; Tommasini, Ivan; Verrier, Kimberley
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1055668	A1	20001129	EP 2000-304227	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001097972	A2	20010410	JP 2000-154475	20000525
BR 2000002518	A	20010102	BR 2000-2518	20000529

PRIORITY APPLN. INFO.: GB 1999-12413 19990528

AB The title compds. I [HET = 5-, 6- or 7-membered heterocyclic ring contg. at least one nitrogen atom, and optionally one or more heteroatoms selected from oxygen or sulfur; T = H, halo, OH, :O, C1-6 alkyl, C1-6 alkoxy, etc.; R1, R2 = H, alkyl; R3 = aryl alkyl, alkenyl, alkynyl; X = halo, alkyl, alkoxy], useful in the prophylaxis and in the treatment of diseases mediated by opiate receptors, such as pruritus, were prepd. E.g., a soln. of trans-4-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1,2-benzenediamine (prepn. given) in 90% formic acid was heated to 100 .degree.C for 2 h to give trans-5-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1H-benzimidazole. The opioid receptor binding assays of I for the p-receptor were detd.

MSTR 4 ITERATION INCOMPLETE



G1 = R<TX "leaving group"> / 154 / alkanoyl / cycloalkylcarbonyl / alkoxycarbonyl / CN / 156 / 158 / (EX F / Cl / Br / I / 151)

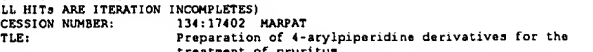
G2 = aryl / alkyl / perfluoroalkyl
G3 = S(O) / SO2
G4 = S(O) / SO2
G5 = NH2 (SO) / Hy<EC (1-) N (0-) O (0-) S (0) OTHERQ (-6) C, AN (1-) N, AR (0), BD (ALL) SE> (SO) / 160 / 162

L12 ANSWER 2 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G18 = NH (SO)
G19 = alkyl<(1-6)> (SO) / cycloalkyl<(3-8)> (SO) / alkyl<(1-4)> (SR (1-) Ph (SO)) / aryl (SO)
G20 = O / S / S(O) / SO2
G22 = F / Cl / Br / I
G30 = alkylene<(1-10)> / C(O) / S / S(O) / SO2
G31 = NH2 (SO) / Hy<EC (1-) N (0-) O (0-) S (0) OTHERQ (-6) C, AN (1-) N, AR (0), BD (ALL) SE> (SO)
G32 = alkylene<(1-10)>
G33 = C(O) / S / S(O) / SO2
MPL: claim 24
NTE: substitution is restricted

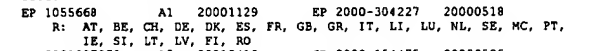
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

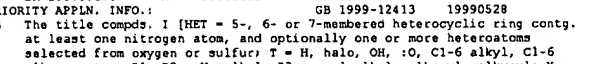


G7 = aryl (SO (1-) G8) / Ak<EC (1-10) C, BD (0-) D (0-) T> (SO (1-) G17)
G8 = OH / NO2 / F / Cl / Br / I / CN / CH2CN / CONH2 / alkyl<(1-4)> (SO (1-) G22) / alkoxy<(1-4)> (SO (1-) G22) / CHO / alkylcarbonyl<(1-4)> (SO (1-) G22) / NH2 / 33

G9 = NH / 35



G10 = Ak<EC (1-10) C, BD (0-) D (0-) T> (SO (1-) G11) / cycloalkyl<(3-8)> (SO (1-) G11) / alkyl<(1-4)> (SR Ph (SO)) / aryl (SO (1-) G11) / Hy<EC (1-) Q (0-) O (0-) S (0-) N, RC (1-2), RS (-1) E3 (-1) E4 (-1) E5 (-2) E6 (-1) E7 (-1) E8 (0) OTHER> (SO (1-) G12) / 37



G11 = OH / NO2 / NH2 / F / Cl / Br / I / CN / CH2CN / CONH2 / alkyl<(1-4)> (SO (1-) G22) / alkoxy<(1-4)> (SO (1-) G22) / CHO / alkylcarbonyl<(1-4)> (SO (1-) G22)
G12 = OH / NO2 / NH2 / F / Cl / Br / I / CN / aryl / alkyl<(1-4)> (SO (1-) G22) / alkoxy<(1-4)> (SO (1-) G22) / CHO / alkylcarbonyl<(1-4)> (SO (1-) G22)
G13 = Hy<EC (1-) Q (0-) O (0-) S (0-) N, RC (1-2), RS (-1) E3 (-1) E4 (-1) E5 (-2) E6 (-1) E7 (-1) E8 (0) OTHER> (SO (1-) G12)
G17 = OH / 44 / SH / 46 / 48 / CN / F / Cl / Br / I / alkoxycarbonyl<(1-6)> / alkylcarbonyl<(-6)> / alkylcarbonyloxy<(-6)> / cycloalkyl<(3-8)> / cycloalkylcarbonyl<(-9)> / 51 / Hy<EC (1-) Q (0-) O (0-) S (0-) N, RC (1-2), RS (-1) E3 (-1) E4 (-1) E5 (-2) E6 (-1) E7 (-1) E8 (0) OTHER> (SO (1-) G12) / 142 / aryl (SO) / adamantyl (SO) / NH2 (SO) / Hy<EC (1-) N (0-) O (0-) S (0) OTHERQ (-6) C, AN (1-) N, AR (0), BD (ALL) SE> (SO) / 144 / 146 / (SC OPh / alkoxy<(1-2)> (SR Ph) / Ph (SO (1-) alkyl<(1-2)>))

L12 ANSWER 3 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 133:58559 MARPAT
TITLE: Intermediates and process for producing fluorine-containing amino acid compound by using the same
INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Sakagami, Kazunari; Tomisawa, Kazuyuki; Ito, Hisanaka; Taguchi, Takeo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037410	A1	20000629	WO 1999-JP7096	19991217
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000239222	A2	20000905	JP 1999-359789	19991217
EP 1142860	A1	20011010	EP 1999-959875	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

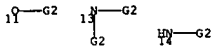
PRIORITY APPLN. INFO.: JP 1998-361701 19981218
WO 1999-JP7096 19991217
OTHER SOURCE(S): CASREACT 133:58559

AB Described are (1S,5R,6S)- or (1SR,5RS,6SR)-3-fluoro-2-oxobicyclo[3.1.0]-hex-3-ene-6-carboxylic acid derivs. represented by general formula [I; R represents OR1 or NR1R2; wherein R1 and R2 are the same or different and each represents hydrogen, C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-6 alkyl, aryl, aryl-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkylthio-C1-6 alkyl or C1-6 mercaptoalkyl]; a process for producing the same; and a process for efficiently producing a fluorine-contg. amino acid compd., namely (1S,2S,3S,5R,6S)- or (1SR,2SR,3SR,5RS,6SR)-2-amino-3-fluorobicyclo[3.1.0]hexane-2,6-dicarboxylic acid (II), acting on group 2 metabotropic glutamate receptor which has therapeutic and preventive effects on psychiatric diseases or neurol. diseases (no data), characterized by hydrogenating the above compd. I and then further converting the same into hydantoin or amino cyanide followed by hydrolysis. Thus, epoxidn. of Et (1S,5R,6S)-2-oxobicyclo[3.1.0]hex-2-ene-6-carboxylate with tert-Bu peroxide in the presence of benzyltrimethylammonium hydroxide in aq. MeOH at room temp. for 20 min gave Et (1S,3R,4R,5R,6S)-3,4-epoxy-2-oxobicyclo[3.1.0]hexane-6-carboxylate which underwent fluorination with KF in ethylene glycol at 130.degree. for 2 h to give Et (1S,5R,6S)-3-fluoro-2-oxobicyclo[3.1.0]hex-3-ene-6-carboxylate (III) and 2-hydroxyethyl (1S,5R,6S)-3-fluoro-2-oxobicyclo[3.1.0]hex-3-ene-6-carboxylate. Catalytic hydrogenation of III in the presence of 5% Pd-C in MeOH at room temp. overnight gave Et (1S,3S,5R,6S)-3-fluoro-2-oxobicyclo[3.1.0]hexane-6-carboxylate which was treated with ammonium carbonate and KCN in ethanol at 35.degree. for 3 days to give Et (1S,2S,3S,5R,6S)-2-spiro-5'-hydantoin-3-fluorobicyclo[3.1.0]hexane-6-carboxylate. Hydrolysis of the latter compd. with 60% aq. H2SO4 at 140.degree. for 12 h gave (1S,2S,3S,5R,6S)-II.

MSTR 1 ITERATION INCOMPLETE

L12 ANSWER 3 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G1 = OH / NH2 / 11 / 13 / 14



G2 = alkyl (SO (1-) G3) / cycloalkyl<(3-6)> (SO (1-) G4) / aryl (SO (1-) G4) / (EX Ph / naphthyl)
G3 = cycloalkyl<(3-6)> (SO (1-) G4) / aryl (SO (1-) G4) / alkoxy<(1-6)> (SO (1-) G4) / OH / alkylthio<(1-6)> (SO (1-) G4) / SH / R / (SC X / alkoxy / alkylthio / NO2 / NH2 / OH / SH / CHO / CO2H / acyl / alkoxycarbonyl / CN / CONH2 / aryl / Hy) / (EX Ph / naphthyl / biphenylyl / anthracenyl / pyrrolyl / pyridyl / thienyl)
G4 = R / (SC X / alkyl / alkoxy / alkylthio / NO2 / NH2 / OH / SH / CHO / CO2H / acyl / alkoxycarbonyl / CN / CONH2 / aryl / Hy) / (EX Ph / naphthyl / biphenylyl / anthracenyl / pyrrolyl / pyridyl / thienyl)
MPL: claim 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 132:201061 MARPAT
TITLE: Unsaturated oxime derivatives as photosensitive acid generators for photoresists
INVENTOR(S): Birbaum, Jean-Luc; Asakura, Toshikage; Yamato, Hitoshi
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000010972	A1	20000302	WO 1999-EP5698	19990806
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9953739	A1	20000314	AU 1999-53739	19990806
EP 1105373	A1	20010613	EP 1999-939454	19990806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			

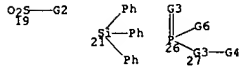
PRIORITY APPLN. INFO.: EP 1998-810810 19980819
WO 1999-EP5698 19990806

AB Unsatd. oxime derivs. represented by formula I, II, or III (m = 0 or 1; n = 1, 2, or 3; R1 = Ph which may be substituted, naphthyl, anthracenyl, phenanthryl, heterocaryl, or C2-12 alkenyl; R2 = CN, C1-4 haloakyl, C2-6 alkoxycarbonyl, phenoxycarbonyl, or benzoyl; R3 = C1-18 alkylsulfonyl, phenyl-C1-3 alkylsulfonyl, camphorylsulfonyl, or phenylsulfonyl; R4, R5 = H, halogen, C1-8 alkyl, C1-6 alkoxy, C1-4 haloalkyl, CN, NO2, C2-6 alkanoyl, benzoyl, Ph, SPh, OR8, SR9, NR10R11, C2-6 alkoxycarbonyl, or phenoxycarbonyl; R6 = vinylene, phenylene, naphthylene, diphenylene, or oxydiphenylene; R7 = C2-12 alkylenedisulfonyl, phenylenedisulfonyl, naphthylenedisulfonyl, diphenylenedisulfonyl, or oxydiphenylenedisulfonyl; R8 = H, Ph, or C1-12 alkyl; R9 = C1-12 alkyl; R10, R11 = H or C1-12 alkyl) are disclosed as photosensitive acid generators for photoresists.

MSTR 1 ITERATION INCOMPLETE

G1 = 19 / alkylcarbonyl<(1-5)> (SR (1-) X) / COPh (SR (1-) X) / 21 / 26

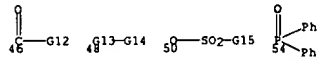
L12 ANSWER 4 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G2 = alkyl<(1-18)> / alkyl<(1-3)> (SR Ph (SO)) / 17 / alkyl<(1-10)> (SR (1-) X) / Ph (SO) / naphthyl (SO) / anthracenyl (SO) / phenanthryl (SO)

G3 = O / S
G4 = alkyl<(1-6)> (SO (1-) X) / Ph (SO (1-) G5)
G5 = alkyl<(1-4)> / X
G6 = alkyl<(1-6)> (SO (1-) X) / Ph (SO (1-) G5) / 30

G7 = alkyl<(1-6)> (SO (1-) X) / Ph (SO (1-) G5)
G8 = alkylene<(2-6)> (SO (1-) G9)
G9 = alkyl<(1-4)> / X
G10 = H / alkyl<(1-4)> / X
G11 = CN / alkyl<(1-4)> (SR (1-) X) / 46 / 48 / 50 / 54

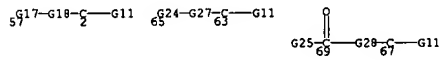


G12 = alkoxy<(2-6)> / OPh / Ph (SO G16)
G13 = S(O) / SO2
G14 = alkyl<(1-6)> / aryl<(6-12)> (SO alkyl<(1-12)>)
G15 = alkyl<(1-6)> / aryl<(6-10)>
G16 = CN / NO2 / alkyl<(1-4)> (SR (1-) X)
G17 = Ph (SO) / naphthyl (SO) / anthracenyl (SO) / phenanthryl (SO) / heteroaryl (SO) / cycloalkenyl<(4-8)> / cycloalkenyl<EC (6-12) C, RC (2)>
G18 = G19 / 60-57 59-2 / Ak<EC (2-6) C, BD (-3) D (-3) T> (SO (-6) G22)

G19 = (1-3) CH=CH
G20 = G21 / Ak<EC (2-6) C, BD (-3) D (-3) T> (SO (-6) G22)

L12 ANSWER 4 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G21 = (1-3) CH=CH
G22 = X / alkyl<(1-8)> / alkoxy<(1-6)> / alkyl<(1-4)> (SR (1-) X) / CN / NO2 / alkylcarbonyl<(1-5)> / COPh / Ph / SPh / OH (SO) / alkylthio<(1-12)> (SO) / NH2 (SO) / Hy (SO) / alkoxycarbonyl<(1-5)> / CO2Ph
G23 = 2 / 63 / 67

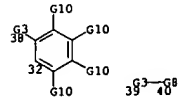


G24 = alkenyl<(2-12)>
G25 = Ph (SO) / 2-furyl (SO) / 2-thienyl (SO) / pyridyl (SO) / 74

G26 = H / R
G27 = G29 / 83-65 82-63 / Ak<EC (2-6) C, BD (-3) D (-3) T> (SO (-6) G22)

G28 = G30 / 86-69 85-67 / Ak<EC (2-6) C, BD (-3) D (-3) T> (SO (-6) G22)

G29 = (1-3) CH=CH
G30 = (1-3) CH=CH
G31 = (1-3) CH=CH
G32 = (1-3) CH=CH
G4 +G6 = 32-27 38-26 / 40-27 39-26



MPL: claim 1

L12 ANSWER 4 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 131:272855 MARPAT
TITLE: Thermoplastic resin composition for heat-sensitive adhesive
INVENTOR(S): Mizumoto, Kiyoharu; Takahashi, Ikuo; Nakanishi, Kazuhiro; Ohmori, Yasuhiro; Tanabiki, Fumio; Nagasawa, Masakatsu; Inokami, Kiyotaka; Ohshima, Hiroyuki; Miki, Teruhiko; Takemoto, Shin; Kudo, Masataka; Baba, Tsuneo; Idehara, Kenji
PATENT ASSIGNEE(S): Daicel Chemical Industries, Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950356	A1	19991007	WO 1999-JP1613	19990330
W: US				
RW: BE, DE, FR, GB, IT				
JP 11293131	A2	19991026	JP 1998-121869	19980414
JP 2000086935	A2	20000328	JP 1998-276446	19980910
JP 2000104031	A2	20000411	JP 1998-274087	19980928
JP 2000103969	A2	20000411	JP 1998-274088	19980928
JP 2000104041	A2	20000411	JP 1998-274089	19980928
JP 2000129234	A2	20000509	JP 1998-303722	19981026
JP 2000129229	A2	20000509	JP 1998-303723	19981026
JP 2000127607	A2	20000509	JP 1998-303724	19981026
JP 2000127608	A2	20000509	JP 1998-303725	19981026
JP 2000191920	A2	20000711	JP 1998-372831	19981228
JP 2000191921	A2	20000711	JP 1998-372832	19981228
JP 2000191922	A2	20000711	JP 1998-372833	19981228
JP 2000212527	A2	20000802	JP 1999-16289	19990125
EP 989162	A1	20000329	EP 1999-910761	19990330
R: BE, DE, FR, GB, IT				
JP 2000053874	A2	20000222	JP 1999-92678	19990331
JP 2000008007	A2	20000111	JP 1999-112193	19990420
JP 2000008008	A2	20000111	JP 1999-112197	19990420
JP 2000008022	A2	20000111	JP 1999-113701	19990421

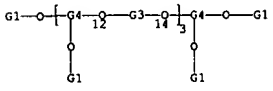
PRIORITY APPLN. INFO.:
JP 1998-86649 19980331
JP 1998-121869 19980414
JP 1998-109492 19980420
JP 1998-109495 19980420
JP 1998-110733 19980421
JP 1998-276446 19980910
JP 1998-274087 19980928
JP 1998-274088 19980928
JP 1998-274089 19980928
JP 1998-303722 19981026
JP 1998-303723 19981026
JP 1998-303724 19981026
JP 1998-303725 19981026
JP 1998-372831 19981228
JP 1998-372832 19981228

L12 ANSWER 5 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

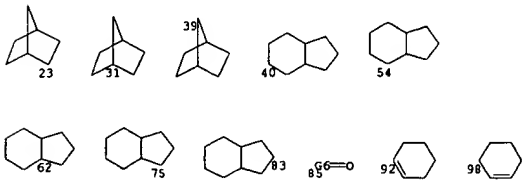
JP 1998-372833 19981228
JP 1999-16289 19990125
WO 1999-JP1613 19990330

AB A thermoplastic compn., useful for heat-sensitive pressure-sensitive adhesive with excellent blocking resistance, contains .gtoreq.1 solid plasticizers including (A) esters of .gtoreq.3 alkyl-substituted cyclohexene ring alc. or crosslinked six-member ring alc. with polybasic acid, and (B) phosphorus compds. having a m.p. 55-100.degree., and (C) diesters of (alkyl substituted) hydroquinone, resorcinol, or catechol with org. monobasic acid. Thus, bis(cis-3,3,5-trimethylcyclohexyl) phthalate was synthesized from cis-3,3,5-trimethylcyclohexanol and phthalic anhydride, 100 parts of which was mixed with anionic surfactant polyacarboxylic acid ammonium salt 15 and water 80 parts to give a solid plasticizer water dispersion, 100 parts of which was then mixed with 26 parts of 2-ethylhexyl acrylate/MMA/acrylic acid copolymer 20 parts, tackifier terpene resin 17 parts to form a heat-sensitive adhesive, showing adhesion strength 1150 gf/25 mm, block resistance 5 (5 best 1 worst).

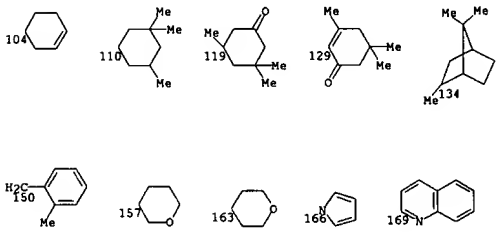
MSTR 1A ITERATION INCOMPLETE



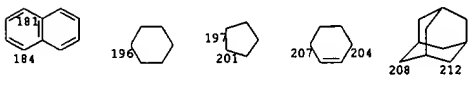
G1 = hydrocarbyl (SO (1-) G5) / Hy /
(EX Ph (SO (1-) G7) / naphthyl / cycloalkyl / cycloalkenyl / 23 / 31 / 39 / 40 / 54 / 62 / 75 / 83 / adamantyl / alkyl / alkenyl / alkynyl / 85 / cyclopentyl / cyclohexyl / 92 / 98 / 104 / 110 / 119 / 129 / 134 / CH2Ph / 150 / CH2CH2Ph / 2-furyl / morpholino / morpholinyl / 2-tetrahydropyranyl / 157 / 163 / 2-thienyl / 166 / 2-pyridyl / piperidino / 169)

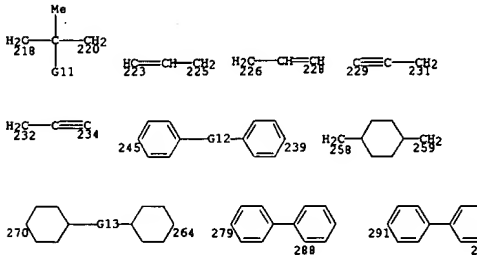


L12 ANSWER 5 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

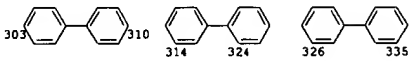


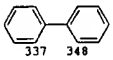
G3 = Ak (SO (1-) G5) / Cb (SO (1-) G5) / Hy /
(EX phenylene / 184-12 181-14 / 196 / 197-12 201-14 / cyclohexylene / 207-12 204-14 / 208-12 212-14 / G10 / 218-12 220-14 / 223-12 225-14 / 226-12 228-14 / 229-12 231-14 / 232-12 234-14 / 245-12 239-14 / 258-12 259-14 / 270-12 264-14 / 279-12 288-14 / 291-12 299-14 / 303-12 310-14 / 314-12 324-14 / 326-12 335-14 / 337-12 348-14)





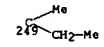
L12 ANSWER 5 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



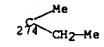


G4 = P / 17

G5 = F / Cl / Br / I / alkyl<(1-4)> / cycloalkyl / aryl / Ph / alkoxy<(1-4)> / aryloxy / OPh / alkoxycarbonyl<(1-4)> / acyl / COMe / COPh / acyloxy / OCOMe / CN / NO2 / OH / CO2H
G6 = Ak (SO (1-) G5) / Cb (SO (1-) G5)
G7 = Cl / Me / Et / Bu-t
G10 = (1-4) CH2
G11 = H / Me
G12 = CH2 / CHMe / CMe2 / 249



G13 = CHMe / CMe2 / 274



MPL: claim 1

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

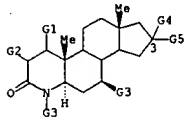
L12 ANSWER 6 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITS ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 128:192835 MARPAT
TITLE: Preparation of 16-substituted-4-aza-androstanes as 5.alpha.-reductase isoenzyme 1 inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William K.; Lanza, Thomas J., Jr.; Sahoo, Soumya P.; Rasmusson, Gary H.; Tolman, Richard L.; Von Langen, Derek
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 141,153, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719158	A	19980217	US 1995-463544	19950605
CA 2173863	AA	19950427	CA 1994-2173863	19941021
ZA 9408285	A	19950619	ZA 1994-8205	19941021
CN 1136318	A	19961120	CN 1994-194344	19941021
CN 1058499	B	20001115		
HU 74613	A2	19970128	HU 1996-1037	19941021
AT 175420	E	19990115	AT 1994-931961	19941021
ES 2125495	T3	19990301	ES 1994-931961	19941021
IL 111357	A1	20010128	IL 1994-111357	19941021
TW 413682	B	20001201	TW 1995-84101545	19950220
LV 11622	B	19970620	LV 1996-125	19960424
US 5910497	A	19990608	US 1997-991456	19971216
US 6204273	B1	20010320	US 1999-240270	19990129
LV 12316	B	19991120	LV 1999-70	19990426

PRIORITY APPLN. INFO.: US 1993-141153 19931021
US 1994-601042 19941021
US 1997-991456 19971216

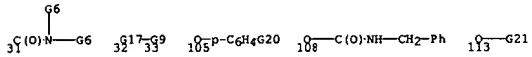
AB Compds. of formula I [R1, R2 = H, alkyl; R3, R4 = H, Me, OH, NH2, CN, F, alkyl, aryl, aryloxy, etc.; R3R4 = alkylidene, etc.] are prepd. as inhibitors of the 5.alpha.-reductase 1 isoenzyme, and are useful alone, or in combination with a 5.alpha.-reductase 2 inhibitor, for the treatment of androgenic sensitive disorders such as acne vulgaris, seborrhea, female hirsutism, male pattern baldness, and benign prostatic hyperplasia. Thus, II was prepd. from 3-oxo-4-aza-4,7.beta.-dimethyl-16.beta.-hydroxy-5.alpha.-androstane and 1-chloro-4-fluorobenzene.

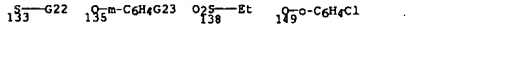
MSTR 1 ITERATION INCOMPLETE

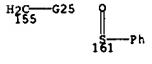


L12 ANSWER 6 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

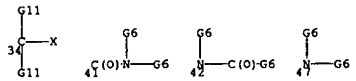
G1 = H
G2 = H
G3 = H / alkyl<(1-10)> / (SC Me)
G4 = H / Me
G5 = NH2 / CN / F / Me / OH / 31 / 32 / (SC 105 / 108 / hexyl / Pr-n / NHCH2Ph / 113 / 133 / 135 / 138 / 3-pyridyl / 149 / 155 / SO2Me / 161 / SO2Ph)



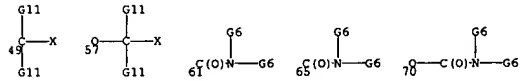


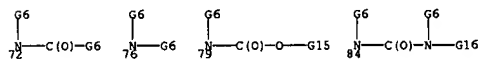


G6 = H / alkyl<(1-6)> (SO) / aryl (SO) / alkyl<(1-6)> (SR (1-) aryl (SO))
G7 = X / alkoxy<(1-4)> / CF3
G9 = alkyl<(1-10)> (SO (1-3) G10) / alkenyl<(2-10)> (SO (1-3) G10) / aryl (SO (1-3) G13) / heteroaryl<EC (-4) N (-1) O (-1) S (0) OTHERQ> (SO (1-3) G13)
G10 = X / OH / CN / NO2 / 34 / acyl / SO3H / CO2H / alkyl<(1-6)> (SR G12) / alkoxy<(1-6)> (SO) / alkylthio<(1-6)> (SO) / alkylsulfonyl<(1-6)> (SO) / alkoxycarbonyl<(1-6)> (SO) / arylthio (SO) / aryl (SO) / aryloxy (SO) / arylsulfonyl (SO) / aryloxycarbonyl (SO) / 41 / 42 / 47

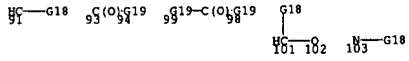


G11 = H / X
G12 = (1-) OH / (-3) G7
G13 = X / OH / CN / NO2 / 49 / 57 / alkenyl<(2-6)> / cycloalkyl<(3-6)> / CHO / SO3H / CO2H / NHCONH2 / alkyl<(1-6)> (SO) / alkyl<(1-6)> (SR OH) / alkoxy<(1-6)> (SO) / alkyl<(1-6)> (SR alkoxy<(1-6)> (SO)) / alkylcarbonyl<(1-6)> (SO) / alkylsulfonyl<(1-6)> (SO) / alkylthio<(1-6)> (SO) / alkylsulfinyl<(1-6)> (SO) / alkylsulfonylamino<(1-6)> (SO) / arylsulfonylamino (SR alkyl<(1-6)> (SO)) / alkoxycarbonyl<(1-6)> (SO) / alkyl<(1-6)> (SR alkoxycarbonyl (SO)) / alkyl<(1-6)> (SR 61) / alkyl<(1-6)> (SR G14) / alkyl<(1-6)> (SR arylcarbonylamino) / aryl (SO) / aryloxy (SO) / arylcarbonyl (SO) / arylthio (SO) / arylsulfonyl (SO) / arylsulfinyl (SO) / arylsulfonylamino (SO) / aryloxycarbonyl (SO) / 65 / 70 / 72 / 76 / 79 / 84





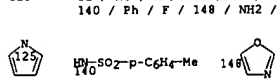
G14 = alkylcarbonylamino<(1-5)> / NHCHO
G15 = alkyl<(1-6)> (SO) / aryl (SO)
G16 = H / alkyl<(1-6)> (SO) / aryl (SO)
G17 = O / S / S(O) / SO2 / C(O) / 91 / 94-3 93-33 / 99-3 98-33 / 101-3 102-33 / 103



G18 = H / alkyl<(1-3)> (SO (1-) aryl (SO)) / aryl (SO) / heteroaryl<EC (-4) N (-1) O (-1) S (0) OTHERQ> (SO) / (SC 153 / Ph)

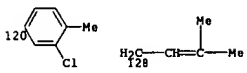
G19 = O / 95

G20 = Cl / Me / H / 125 / CN / NO2 / CF3 / OCF3 / SO2Me / 140 / Ph / F / 148 / NH2 / NHCOMe / NHCOPh / Cl



G21 = Me / CH2CH=CH2 / Pr-n / 120 / 128 / CH2CH2CHMe2 / 1-naphthyl / Bu-t

L12 ANSWER 6 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G22 = Me / Pr-n / Et / 159

P-C6H4G26
159

G23 = CN / Cl
G24 = Cl / Me
G25 = 157 / 3-pyridyl

P-C6H4G24
157

G26 = H / Cl / F / Me / OMe
G1 +G2 = NULL
G4 +G5 = O / 89

HC—G15
89

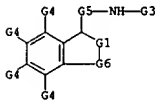
DER: or pharmaceutically acceptable salts
MPL: claim 1

L12 ANSWER 7 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 127:108049 MARPAT
TITLE: Optically active indane derivatives manufacture by asymmetric hydrolysis
INVENTOR(S): Nakahama, Kazuo; Watanabe, Shun; Tarui, Naoki; Okawa, Shigenori
PATENT ASSIGNEE(S): Takeda Seiyaku K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09140396	A2	19970603	JP 1995-300339	19951117

AB Optically active indane derivs. (R1,2 = H, hydrocarbon with/without substituting group, etc.; R1 and R2 may form linkage; R3 = acyl group; A ring may contain substituting group; m = 1-5; and n = 1-4) are manufd. by asym. hydrolysis with microorganism such as Corynebacterium from corresponding racemic compds. The optically active indane derivs. are useful intermediates for synthesis of pharmaceuticals. Manuf. of optically active N-[2-(6-methoxyindane-1-yl)ethyl]acetamide with Klebsiella planticola, Corynebacterium ammoniagenes, and Serratia marcescens was shown.

MSTR 1 ITERATION INCOMPLETE



G1 = 14 / Cb (SO (1-) G12) / Hy (SO (1-) G12) / (SC 27 / 32 / 37 / 107)

G2 = H / hydrocarbyl (SO) / Hy (SO (1-) G8) / (SC alkyl<(1-6)> (SO (1-) G7) / alkenyl<(2-6)> (SO (1-) G7) / alkynyl<(2-6)> (SO (1-) G7) / cycloalkyl<(3-6)> (SO (1-) G7) / aryl<(6-14)> (SO (1-) G7) / 25)

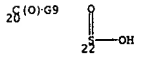
G10=G11
25

L12 ANSWER 7 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G3 = acyl / H / (SC 105)

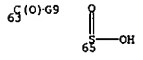
C(O)-G13
105

G4 = H / R / (SC alkoxy (SO (1-) G14) / OH / OMe)
G5 = (1-5) CH2
G6 = (1-4) CH2
G7 = X / NO2 / CN / OH / alkyl<(1-6)> (SO (1-) X) / alkoxy<(1-6)> / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / CO2H / alkylcarbonyl<(1-6)> / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / arylaminocarbonyl<(6-10)> / aryl<(6-10)> / aryloxy<(6-10)> / alkylcarbonylamino<(1-6)> (SO (1-) X)
G8 = X / alkyl<(1-6)> / cycloalkyl<(3-6)> / alkynyl<(2-6)> / alkenyl<(2-6)> / alkyl<(1-5)> (SR (1-) aryl<(6-10)>) / aryl<(6-10)> / alkoxy<(1-6)> / aryloxy<(6-10)> / CHO / alkylcarbonyl<(1-5)> / 20 / OCHO / alkylcarbonyloxy<(1-5)> / arylcarbonyloxy<(6-10)> / CO2H / alkoxycarbonyl<(1-6)> / alkoxycarbonyl<(1-5)> (SR (1-) aryl<(6-10)>) / CONH2 / alkyl<(1-4)> (SR (1-3) X) / C(NH)NH2 / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / Hy<EC (1-4) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1) N, RC (1), RS (1) M3 (1) X6> / OH / NO2 / CN / SH / SO3H / 22 / PO3H2 / SO2NH2 / alkylaminosulfonyl<(1-6)> / dialkylaminosulfonyl<(1-6)> / alkylthio<(1-6)> / arylthio<(6-10)> / alkylsulfinyl<(1-6)> / arylsulfinyl<(6-10)> / alkylsulfonyl<(1-6)> / arylsulfonyl<(6-10)>



G9 = Ph / naphthyl
G10 = Hy (SO)
G11 = O / NH
G12 = R / (SC X / alkyl<(1-6)> / cycloalkyl<(3-6)> / alkynyl<(2-6)> / alkenyl<(2-6)> / alkyl<(1-5)> (SR (1-) aryl<(6-10)>) / aryl<(6-10)> / alkoxy<(1-6)> / aryloxy<(6-10)> / CHO / alkylcarbonyl<(1-5)> / 63 / OCHO / alkylcarbonyloxy<(1-5)> / arylcarbonyloxy<(6-10)> / CO2H / alkoxycarbonyl<(1-6)> / alkoxycarbonyl<(1-5)> (SR (1-) aryl<(6-10)>) / CONH2 / alkyl<(1-4)> (SR (1-3) X) / C(NH)NH2 / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / Hy<EC (1-4) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1) N, RC (1), RS (1) M3 (1) X6> / OH / NO2 / CN / SH / SO3H / 65 / PO3H2 / SO2NH2 / alkylaminosulfonyl<(1-6)> / dialkylaminosulfonyl<(1-6)> / alkylthio<(1-6)> / arylthio<(6-10)> / alkylsulfinyl<(1-6)> / arylsulfinyl<(6-10)> / alkylsulfonyl<(1-6)> / arylsulfonyl<(6-10)>)

L12 ANSWER 7 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G13 = alkyl<(1-6)> (SO (1-) X) / cycloalkyl<(3-6)> (SO (1-) X) / alkenyl<(2-6)> (SO (1-) X) / aryl<(6-10)> (SO (1-) X) / alkylamino<(1-6)> (SO (1-) X) / arylamino<(6-10)> (SO (1-) X) / Hy<EC (1-) N, RC (1), RS (1) M5 (1) X6> (SO (1-) X) / alkoxy<(1-6)> (SO (1-) X) / Me / Et
G14 = X / loweralkyl / aryl
G15 = Cb (SO) / Hy (SO)
DER: or salts
MPL: claim 1
NTE: additional ring formation also claimed

L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

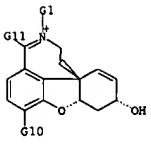
ACCESSION NUMBER: 126:212284 MARPAT
TITLE: Preparation and pharmaceutical compositions of galanthamine derivatives
INVENTOR(S): Thal, Claude; Guillou, Catherine; Mary, Aude; Renko, Dolor; Potier, Pierre; Christen, Yves
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'application, Fr.; Thal, Claude; Guillou, Catherine; Mary, Aude; Renko, Dolor; Potier, Pierre; Christen, Yves
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703987	A1	19970206	WO 1996-FR1139	19960719
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
CA 2227235	AA	19970206	CA 1996-2227235	19960719
AU 9666623	A1	19970218	AU 1996-66623	19960719
EP 839149	A1	19980506	EP 1996-926431	19960719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11509541	T2	19990824	JP 1996-506372	19960719
US 5958903	A	19990928	US 1998-983309	19980109
NO 9800215	A	19980116	NO 1998-215	19980116
PRIORITY APPLN. INFO.:			GB 1995-14821	19950719
			WO 1996-FR1139	19960719

AB Novel galanthamine derivs. I and II (A = C1-12 alkylene, R = H, (un)substituted amino or ammonium; R1 = H, RA, R2 = H, (un)substituted alkyl or alkenyl, X = pharmaceutically acceptable anion) and their pharmaceutical compns. were prepd. as cholinesterase inhibitors. Thus, 10-demethyl-10-(4-phthalimidobutyl)galanthamidine N-oxide, prepd. from 10-demethylgalanthamidine and N-(4-bromobutyl)phthalimide, was treated with trifluoroacetic anhydride to give 10-demethyl-10-(4-phthalimidobutyl)galanthaminium trifluoroacetate (III). The cholinesterase inhibiting IC50 of III was 4.7 (10-7 M).

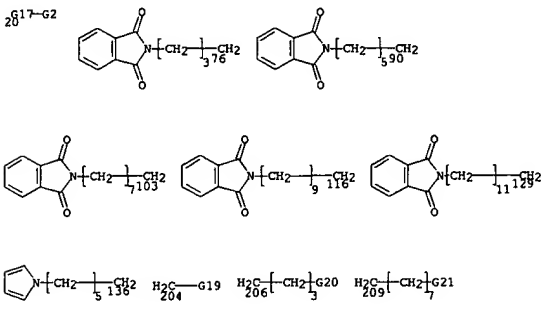
MSTR 1 ITERATION INCOMPLETE

L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

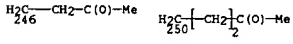


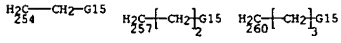
G13

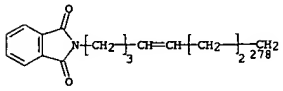
G1 = 20 / (SC 76 / 90 / 103 / 116 / 129 / 136) / (EX Me / pentyl / octyl / decyl / 204 / 206 / 209 / 221 / Pr-n / 224 / 237 / 242 / 246 / 250 / 254 / 257 / 260 / 278 / 294)

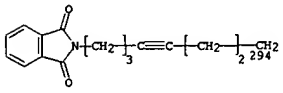


L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

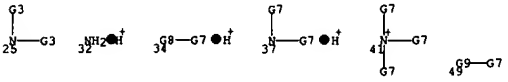




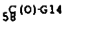




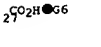
G2 = H / 25 / Hy<EC (1-) N, AN (1-) N> / 32 / 34 / 37 / 41 / 49



G3 = H / CN / alkyl<(1-12)> (SO (1-) G4) / alkyl<(1-12)> (SR (1-) G5) / alkenyl<(2-12)> (SR (1-) G5) / alkylcarbonyl<(1-12)> (SO (1-) G4) / 58



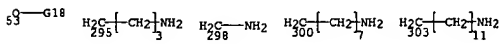
G4 = X / OH / alkoxy<(1-12)> / alkylthio<(1-12)> / acyl / CO2H (SO) / 27 / CN / NO2 / SH / NH2 / alkylamino<(1-12)> / dialkylamino<(1-12)>



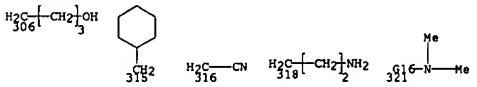
G5 = aryl (SO) / heteroaryl<EC (0-) N (0-) O (0-) S (0) OTHERQ> (SO) / R
G6 = R<TX "salt">
G7 = CN / alkyl<(1-12)> (SO (1-) G4) /

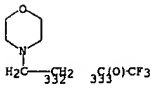
L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
alkyl<(1-12)> (SR (1-) G5) / alkenyl<(2-12)> (SR (1-) G5) / alkylcarbonyl<(1-12)> (SO (1-) G4) / 60

G8 = NH / Hy<EC (1-) N, AN (1-) N>
G9 = Hy<EC (1-) N, AN (1-) N, CH (1) +>
G10 = OH / 53 / (SC OMe) / (EX OEt / OPr-n / 295 / 298 / 300 / 303)

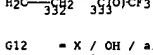


G11 = H / alkyl<(1-12)> (SO (1-) G12) / alkenyl<(2-12)> (SO (1-) G12) / (EX Me / Et / CF3 / 306 / CHO / COMe / OMe / CH2CH=CH2 / CO2Me / 315 / CH2OH / 316 / 318 / CH=CH2 / 321 / 332 / 333)

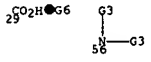




L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G12 = X / OH / alkoxy<(1-12)> / alkylthio<(1-12)> / acyl / CO2H (SO) / 29 / CN / NO2 / SH / 56 / Hy<EC (1-) N, AN (1-) N> / cycloalkyl<(3-7)> (SO (1-) G4) / aryl / heteroaryl<EC (0-) N (0-) O (0-) S (0) OTHERQ>

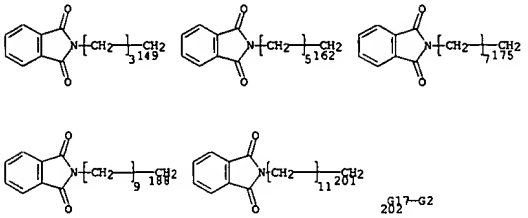


G13 = R<TX "pharmaceutically acceptable anion", CH (1) -> / (SC methanesulfonate / 62 / bromide)

G14 = aryl (SO) / heteroaryl<EC (0-) N (0-) O (0-) S (0) OTHERQ> (SO)
G15 = SH / NH2 / OH

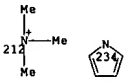
L12 ANSWER 8 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G16 = (1-4) CH2
G17 = Ak<(1-12)> / (SC alkylene<(1-8)> / alkenylene<(2-8)> / alkynylene<(2-8)>)
G18 = 202 / (SC 149 / 162 / 175 / 188 / 201)



G19 = NH2 / 222

G20 = NH2 / NHMe / NHEt / 212 / 234



G21 = NH2 / 229

DER: and salts
MPL: claim 1

L12 ANSWER 9 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITS ARE ITERATION INCOMPLETES)

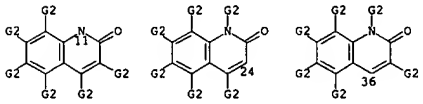
ACCESSION NUMBER: 126:74613 MARPAT
TITLE: Preparation of tetrahydronaphthalene inhibitors of 5-lipoxygenase
INVENTOR(S): Billington, David; Leon, Pascale; Sciberras, Sophie; Canet, Emmanuel; Lonchampt, Michel
PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.
SOURCE: Fr. Demande, 45 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2731704	A1	19960920	FR 1995-3036	19950316
FR 2731704	B1	19970425		

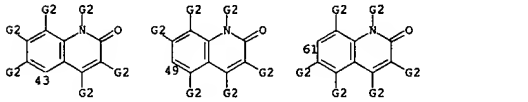
AB The title compds. [I; R1 = (CH2)nA; A = (un)substituted Ph, (un)substituted heterocyclyl, etc.; n = 0-4; R2 = OH, (un)substituted alkoxy; R3 = H, (un)substituted alkyl; R4, R5 = H, alkenyl, (un)substituted aralkyl; R6, R7 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2R3 = O2C, OCH2, CH2CH2, etc.] [e.g., 1-(2-hydroxyethyl)-7-(naphthalen-2-ylmethoxy)-1,2,3,4-tetrahydronaphthalen-1-ol], which are active inhibitors of 5-lipoxygenase (e.g., I demonstrate a 70-100% in-vitro inhibition of LTB4 at 10-6 M) and useful for treating a variety of 5-lipoxygenase-mediated diseases (e.g., arthritis, etc.), are prepd. and a I-contg. formulation presented.

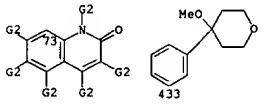
MSTR 1 ITERATION INCOMPLETE

G1 = Ph (SO) / naphthyl (SO) / thienyl (SO) / furyl (SO) / pyrrolyl (SO) / imidazolyl (SO) / pyrazolyl (SO) / thiazolyl (SO) / isothiazolyl (SO) / oxazolyl (SO) / isoxazolyl (SO) / thiadiazolyl (SO) / oxadiazolyl (SO) / pyridyl (SO) / quinolinyl (SO) / isoquinolinyl (SO) / indolyl (SO) / isoindolyl (SO) / benzofuranyl (SO) / benzothienyl (SO) / 11 / 24 / 36 / 43 / 49 / 61 / 73 / Cb<EC (6-10) C, AR (1-), BD (ALL) N, RC (1-2), RS (1-2) E6> (SO (1-) G13) / heteroaryl<EC (0-) S (0-) O (0-) N (0) OTHERQ, RC (1-2)> (SO (1-) G13) / (SC 433)

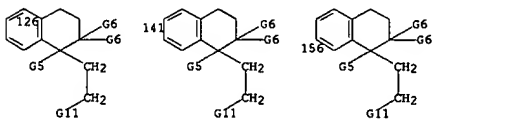


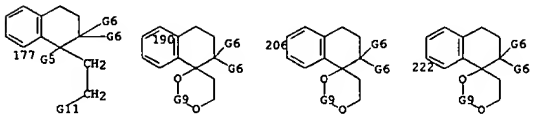
L12 ANSWER 9 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

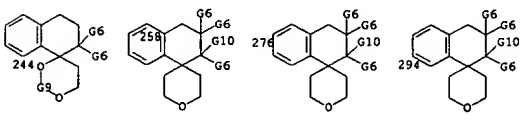




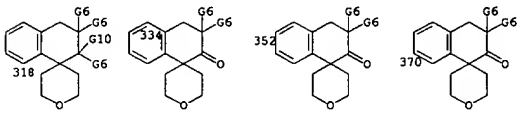
G2 = H / R
G3 = (0-4) CH2
G4 = 126 / 141 / 156 / 177 / 190 / 206 / 222 / 244 / 258 / 276 / 294 / 318 / 334 / 352 / 370 / 394 / (SC 413)

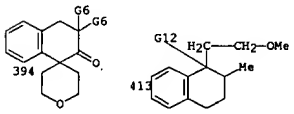






L12 ANSWER 9 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)





G5 = OH / alkoxy<(1-6)> (SO (1-) G13)
G6 = H / alkyl<(1-6)> (SO (1-) G13) / alkenyl<(2-6)> (SO (1-) G13) / alkyl<(1-6)> (SR (1-) G7) / alkyl<(1-6)> (SR G8)
G7 = Cb<EC (6-10) C, AR (1-), BD (ALL) N, RC (1-2), RS (1-2) E6> (SO) / Ph (SO)
G8 = heteroaryl (SO)
G9 = C(O) / CH2
G10 = H / OH / alkoxy<(1-6)> (SO (1-) G13)
G11 = OH / alkoxy<(1-6)> (SO (1-) G13) / (SC OMe)
G12 = OH / OMe
G13 = X / OH / alkyl<(1-6)> / alkoxy<(1-6)> / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / NO2 / CO2H / alkoxycarbonyl<(1-6)> / alkylcarbonyl<(1-5)> / CN / alkylthio<(1-6)> / CF3
MPL: claim 1
STE: and optical isomers

L12 ANSWER 10 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 125:266039 MARPAT
TITLE: Use of vinpocetine derivatives for inhibiting production or secretion of amyloid beta protein
INVENTOR(S): Kakihana, Mitsuru; Kosaka, Takuo; Nagaoka, Akinobu; Goto, Giichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

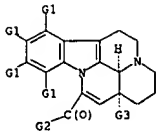
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9625161	A1	19960822	WO 1996-JP265	19960208
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2213094	AA	19960822	CA 1996-2213094	19960208
AU 9646329	A1	19960904	AU 1996-46329	19960208
EP 813411	A1	19971229	EP 1996-901960	19960208
EP 813411	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 08283157	A2	19961029	JP 1996-27814	19960215
US 5965568	A	19991012	US 1996-646354	19960510

PRIORITY APPLN. INFO.: JP 1995-26687 19950215
WO 1996-JP265 19960208

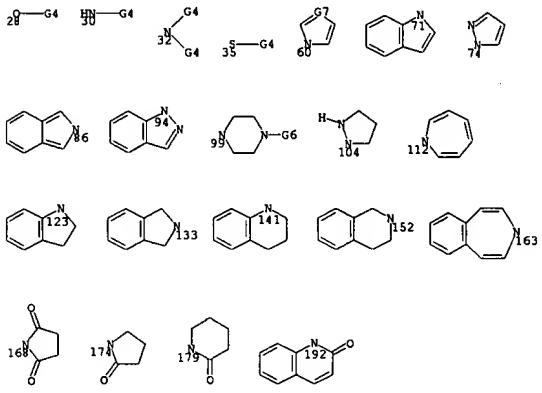
AB A pharmaceutical compn. for inhibiting prodn. or secretion of amyloid .beta. protein comprises a vinpocetine deriv. [I; ring A = substituted benzene ring; R = OR1, NR2R3, SR1 (R1, R2 and R3 = H, an optionally substituted hydrocarbon group, R2 and R3, taken together with the adjacent N, form an optionally substituted nitrogen-contg. heterocyclic group); and Y = an optionally substituted alkyl group], or a pharmaceutically acceptable salt thereof. The compns. are effective in the treatment of degenerative brain disorders such as senile dementia, Alzheimer's disease, and Down's syndrome. Vinpocetine (II) at a concn. of 1x10-4 inhibited prodn. of A.beta. protein in cultured human neuroblastoma cell by 45%. Coated tablets contg. II 5.0, lactose 82.5, hydroxypropyl cellulose 2.8, Mg stearate 0.4, hydroxypropyl Me cellulose 2.994, corn starch 19.3, Macrogol 6000 0.6, TiO2 0.4, and iron sesquioxide 0.006 mg were prepd.

MSTR 1 ITERATION INCOMPLETE

L12 ANSWER 10 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

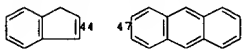


G1 = H / R / (SC X) / (EX F / Cl / alkyl<(1-4)> / Me / Et / alkoxy<(1-4)> / OMe / OEt)
G2 = OH / 28 / NH2 / 30 / 32 / SH / 35 / Hy<EC (1-) Q (1-) N, AN (1-) N> (SO (1-) G5) / (SC alkoxy / OEt) / (EX OMe / 60 / 71 / 74 / 86 / 94 / morpholino / piperidino / 99 / pyrrolidino / 104 / 112 / 123 / 133 / 141 / 152 / 163 / phthalimido / 168 / 174 / 179 / 192)



G3 = alkyl (SO) / (SC Et) / (EX Me / Pr-n / Pr-i / Bu-n / Bu-i / Bu-s / Bu-t)
G4 = hydrocarbyl (SO (1-) G5) / (EX alkyl (SO) / alkenyl (SO) / alkynyl (SO) / cycloalkyl (SO) / aryl (SO) / Ph / xylyl / naphthyl / biphenylyl / 44 / 47 / Me / cyclopropyl)

L12 ANSWER 10 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G5 = R / (EX F / Cl / Br / I / NO2 / CN / OH / alkyl (SO (1-) X) / alkoxy / alkylamino / dialkylamino / CO2H / alkylcarbonyl / alkoxycarbonyl / CONH2 / alkylaminocarbonyl / dialkylaminocarbonyl / acylaminocarbonyl / aryl / aryloxy / alkylcarbonylamino (SO (1-) X))
G6 = H / R
G7 = CH / N
DER: or pharmaceutically acceptable salts
MPL: claim 1

L12 ANSWER 11 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

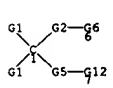
ACCESSION NUMBER: 125:114489 MARPAT
TITLE: Preparation of heterocyclic amine-compound antagonists of gonadotropin-releasing hormone receptors
INVENTOR(S): Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 123 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 712845	A1	19960522	EP 1995-308331	19951121
EP 712845	B1	20011017		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08253447	A2	19961001	JP 1995-300330	19951117
CA 2163325	AA	19960522	CA 1995-2163325	19951120
US 5633248	A	19970527	US 1995-561282	19951121
AT 207058	E	20011115	AT 1995-308331	19951121

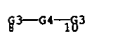
PRIORITY APPLN. INFO.: JP 1994-286245 19941121

AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl; P, Q = divalent aliph. hydrocarbyl having .gtoreq.2 carbon atoms and optionally having ether O or S in the chain; R1, R3 = COR, CONHR, hydrocarbyl; R = hydrocarbyl, heterocyclyl; R2, R4 = H, alkyl; group; NR1R2 and/or NR3R4 may form a nitrogen-contg. heterocyclic group; j = 0, 1], which demonstrate high gonadotropin-releasing hormone (GnRH) receptor antagonist activity, useful in the treatment of hormone-dependent diseases [e.g., prostate cancer (no data), endometriosis (no data), etc. (no data)], are prepd. and I-contg. formulations presented. Thus, II was prepd. and demonstrated a IC50 of 0.08 .mu.M against the binding of 125I-leuprolerin to human GnRH receptors.

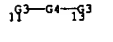
MSTR 1 ITERATION INCOMPLETE



G1 = aryl (SO (1-) G13) / heteroaryl (SO (1-) G13) / (SC Ph (SO (1-) G43))
G2 = Ak<(2-)> / 8-1 10-6 / (SC CH2CH2CH2)

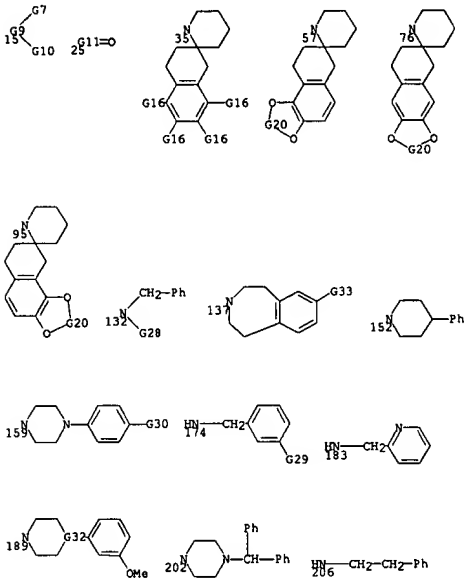


G3 = Ak<(1-)>
G4 = O / S
G5 = Ak<(2-)> / 11-1 13-7 / (SC CH2CH2CH2)



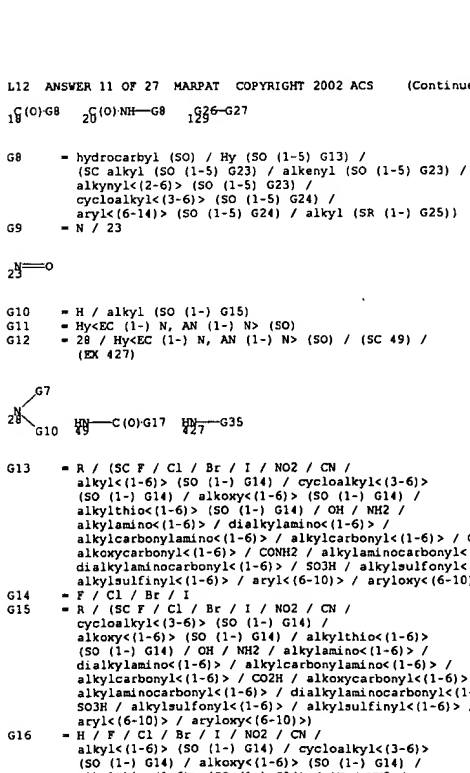
L12 ANSWER 11 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G6 = 15 / Hy<EC (1-) N, AN (1-) N> (SO) / 25 / (SC 35 / 57 / 76 / 95) / (EX NMe2 / 132 / morpholino / 137 / 152 / 159 / 174 / 183 / hexahydroazepino / 189 / 202 / 206 / 220 / 234 / 243 / 260 / 266 / 278 / 299 / 308 / 333 / 342 / 367 / 378 / 397 / 414)



G7 = 18 / 20 / hydrocarbyl (SO) / acyl / (SC alkyl (SO (1-5) G23) / alkenyl (SO (1-5) G23) / alkynyl<(2-6)> (SO (1-5) G23) / cycloalkyl<(3-6)> (SO (1-5) G24) / aryl<(6-14)> (SO (1-5) G24) / alkyl (SR (1-) G25)) / (EX 129)

L12 ANSWER 11 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G8 = hydrocarbyl (SO) / Hy (SO (1-5) G13) / (SC alkyl (SO (1-5) G23) / alkenyl (SO (1-5) G23) / alkynyl<(2-6)> (SO (1-5) G23) / cycloalkyl<(3-6)> (SO (1-5) G24) / aryl<(6-14)> (SO (1-5) G24) / alkyl (SR (1-) G25))

G9 = N / 23

G10 = H / alkyl (SO (1-) G15)

G11 = Hy<EC (1-) N, AN (1-) N> (SO)

G12 = 28 / Hy<EC (1-) N, AN (1-) N> (SO) / (SC 49) / (EX 427)

G13 = R / (SC F / Cl / Br / I / NO2 / CN / alkyl<(1-6)> (SO (1-) G14) / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryl<(6-10)> / aryloxy<(6-10)>)

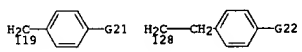
G14 = F / Cl / Br / I

G15 = R / (SC F / Cl / Br / I / NO2 / CN / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryl<(6-10)> / aryloxy<(6-10)>)

G16 = H / F / Cl / Br / I / NO2 / CN / alkyl<(1-6)> (SO (1-) G14) / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryl<(6-10)> / aryloxy<(6-10)> / OMe / (EX OEt / NHCOMe / COMe)

G17 = alkyl (SR (1-) G18) / 119 / 128

L12 ANSWER 11 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G18 = aryl (SO (1-) G19) / F / Cl / Br / I / alkoxy<(1-3)> (SO (1-) G14)

G19 = F / Cl / Br / I / alkoxy<(1-3)> (SO (1-) G14)

G20 = alkylene<(1-3)>

G21 = OMe / F

G22 = OMe / F / Cl

G23 = F / Cl / Br / I / NO2 / CN / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryl<(6-10)> / aryloxy<(6-10)> / Hy<RC (1), RS (1) M5 (1) X6>

G24 = F / Cl / Br / I / NO2 / CN / alkyl<(1-6)> (SO (1-) G14) / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryl<(6-10)> / aryloxy<(6-10)> / Hy<RC (1), RS (1) M5 (1) X6>

G25 = (1-) aryl (SO (1-) G24) / F / Cl / Br / I / NO2 / CN / cycloalkyl<(3-6)> (SO (1-) G14) / alkoxy<(1-6)> (SO (1-) G14) / alkylthio<(1-6)> (SO (1-) G14) / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / alkylcarbonyl<(1-6)> / CO2H / alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> / SO3H / alkylsulfonyl<(1-6)> / alkylsulfinyl<(1-6)> / aryloxy<(6-10)> / Hy<RC (1), RS (1) M5 (1) X6>

G26 = S(O) / SO2

G27 = hydrocarbyl (SO) / Hy (SO)

G28 = Me / H

G29 = NH2 / NO2 / CO2Me

G30 = F / H

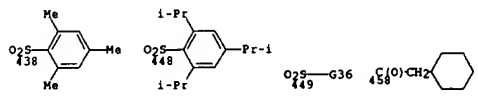
G31 = H / CH2Ph

G32 = N / CH

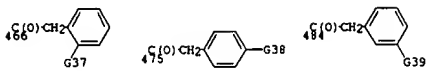
G33 = H / COMe

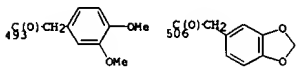
G34 = H / OMe

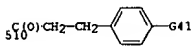
G35 = SO2C6H4Me-p / SO2Me / SO2Ph / 438 / 448 / 449 / COMe / CH2Ph / 458 / 466 / 475 / 484 / 493 / 506 / 507 / 510 / 520 / 531 / 550

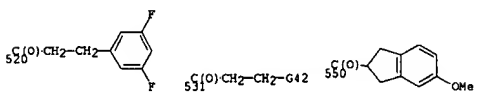


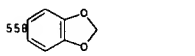
L12 ANSWER 11 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)











G36 = naphthyl
G37 = H / F / OMe
G38 = F / Cl / NO2 / Me / CF3 / OMe
G39 = NO2 / OMe
G40 = OPh / thienyl / naphthyl
G41 = H / OMe / NMe2 / F / Cl
G42 = 4-pyridyl / 558

G43 = F / Cl / Br / I / (EX OMe)
DER: or salts
MPL: claim 1
NTE: also incorporates claim 18
NTE: additional ring formation possible

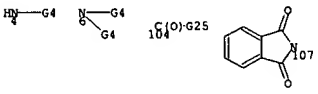
L12 ANSWER 12 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 124:317182 MARPAT
TITLE: Preparation of benzoxazinone derivatives
INVENTOR(S): Kamya, Takashi; Inamoto, Yoshitaka; Hayakawa, Kazuhide; Komyama, Kazuya; Kobayashi, Koji
PATENT ASSIGNEE(S): Fuji Chem Ind Co Ltd, Japan; Nippon Tobacco Sangyo
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07309851	A2	19951128	JP 1994-136225	19940516

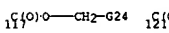
AB Benzoxazinone derivs. [I; R = org. residue; R1 = H, halo, alkyl, alkoxy; R2 = H, OH, halo, alkyl, alkoxy, alkoxycarbonyl, etc.] are prepd. by cyclization of anthranilic acid derivs. II. A soln. of acid III in DMF was treated with Et3N and ClCO2Et under cooling, followed by a soln. of 2-amino-6-methylbenzoic acid and Et3N in DMF, the soln. was stirred at 50.degree., the cooled soln. was treated with Et3N and ClCO2Et again under cooling to give 69.8% title compd. IV.

MSTR 1 ITERATION INCOMPLETE

G1 = o-C6H4 (SO (-2) G2)
G2 = F / Cl / Br / I / alkyl<(1-6)> (SO (1-) G21) / alkoxy / (-1) G3
G3 = OH / F / Cl / Br / I / alkoxycarbonyl / NO2 / CN / alkylthio / acyl / acyloxy / NH2 / 4 / 6 / Hy<EC (1-) N, AN (1-) N> / (EX 104 / piperidino / 107)



G4 = alkyl<(1-6)> (SO (1-) G21) / acyl / R<TX "protecting group"> / (EX 121 / 117 / alkoxycarbonyl / COCF3 / SO2C6H4Me-p / CH2Ph)

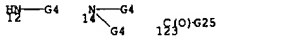


G5 = NH2 / 9

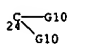
L12 ANSWER 12 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G6 = R<TX "organic group"> / (SC alkyl (SO (1-) G7) / alkenyl (SO (1-) G7) / 17)

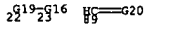
G7 = NH2 / 12 / 14 / Hy<EC (1-) N, AN (1-) N> / alkoxy / OH / F / Cl / Br / I / NO2 / alkoxycarbonyl / CO2H / CN / alkylthio / alkyl (SR alkylthio) / acyl / acyloxy / aryl (SO) / (EX 123 / piperidino)



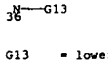
G9 = 24 / cycloalkylene



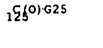
G10 = H / alkyl (SO alkylthio)
G11 = 22 / 89



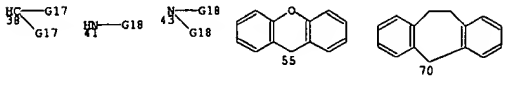
G12 = NH / 36



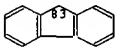
G13 = loweralkyl / acyl / (EX 125)



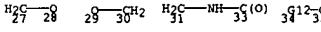
G15 = (1-4) CH2
G16 = H / 38 / NH2 / 41 / 43 / adamantyl (SO) / 55 / 70 / 83



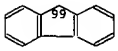
L12 ANSWER 12 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G17 = H / aryl (SO (1-) G23) / heteroaryl (SO (1-) G23) / (EX pyridyl / Ph)
G18 = aryl (SO (1-) G23) / heteroaryl (SO (1-) G23) / (EX pyridyl / Ph)
G19 = G15 / 28-21 27-23 / 30-21 29-23 / 31-21 33-23 / CH=CH / 35-21 34-23



G20 = R / (EX 99)



G21 = R / (EX OH / NO2 / CO2H / NH2)
G22 = CH2 / CH2CH2
G23 = alkyl / alkoxy / F / Cl / Br / I
G24 = Ph (SO)
G25 = H / Me / Et / Pr-n / Pr-i / Bu-t / Bu-i / Ph
MPL: claim 3

L12 ANSWER 13 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

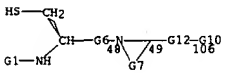
ACCESSION NUMBER: 124:250915 MARPAT
TITLE: Inhibitors of farnesyl-protein transferase, their preparation, and their therapeutic use
INVENTOR(S): Desolms, S. Jane; Graham, Samuel J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5491164	A	19960213	US 1994-315151	19940929
WO 9609820	A1	19960404	WO 1995-US12284	19950925
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9537261	A1	19960419	AU 1995-37261	19950925
AU 694572	B2	19980723		
EP 784473	A1	19970723	EP 1995-935124	19950925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10506896	T2	19980707	JP 1995-511966	19950925

PRIORITY APPLN. INFO.: US 1994-315151 19940929
WO 1995-US12284 19950925

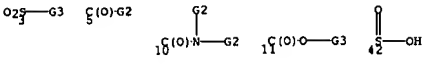
AB Dipeptide analogs (Markush included) are provided that inhibit the farnesylation of Ras protein. These farnesyl-protein transferase inhibitors are characterized by the inclusion of a cyclic amine in the backbone of the dipeptide. Also disclosed are chemotherapeutic compns. contg. these farnesyl transferase inhibitors and methods for their prodn. Further disclosed is a method for treating cancer with the compds. of the invention. Prepn. of e.g. N-[2(R)-amino-3-mercaptopropyl]-L-proline-2,3-dimethylphenylamide is described. Compds. of the invention were tested for inhibitory activity against human FPTase and had IC50 values of <10 .mu.M.

MSTR 1 ITERATION INCOMPLETE

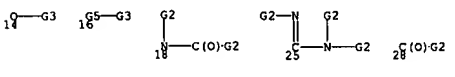


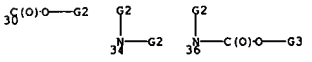
G1 = H / 3 / 42 / 5 / 10 / 11 / alkyl (SO G4)

L12 ANSWER 13 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

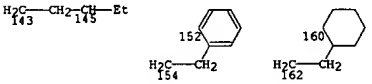


G2 = H / alkyl<(1-6)> / aryl
G3 = alkyl / aryl
G4 = aryl / Hy / cycloalkyl / alkenyl / alkynyl / OH / 14 / 16 / SH / 18 / CN / 25 / 28 / 30 / N3 / 34 / 36

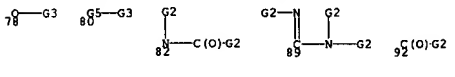


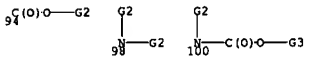


G5 = S / S(O) / SO2
G6 = CH2 / (EX C(O))
G7 = G18 / (SC 143-48 145-49) / (EX 154-48 152-49 / 162-48 160-49)



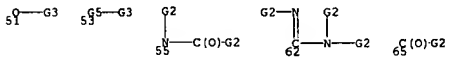
G8 = alkyl (SO G9) / aryl / Hy / cycloalkyl / alkenyl / OH / 78 / 80 / 82 / CN / NO2 / 89 / 92 / 94 / N3 / 98 / 100

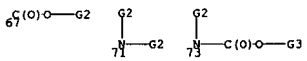




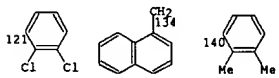
G9 = aryl / Hy / cycloalkyl / alkenyl / OH / 51 / 53 / 55 / CN / 62 / 65 / 67 / N3 / 71 / 73

L12 ANSWER 13 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

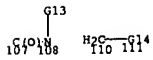




G10 = aryl (SO) / Hy (SO) / cycloalkyl (SO) / alkyl<(1-6)> (SO G11) / (SC 121 / 134 / 140)



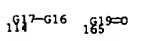
G11 = aryl / Hy / cycloalkyl
G12 = 107-49 108-106 / 110-49 111-106 / CH=CH



G13 = H / aryl (SO) / Hy (SO) / cycloalkyl (SO) / alkyl<(1-6)> (SO G11) / Hy<EC (1) Q, BD (ALL) SE, RC (1), RS (1) E5> / pyrrolidino / imidazolyl / pyridyl / thiazolyl / 163 / indolyl / quinolinyl / isoquinolinyl / thienyl

G14 = 112 / O / S / S(O) / SO2

G15 = H / aryl (SO) / Hy (SO) / cycloalkyl (SO) / alkyl<(1-6)> (SO G11) / 114 / Hy<EC (1) Q, BD (ALL) SE, RC (1), RS (1) E5> / pyrrolidino / imidazolyl / pyridyl / thiazolyl / 165 / indolyl / quinolinyl / isoquinolinyl / thienyl



G16 = aryl (SO) / Hy (SO) / cycloalkyl (SO) / alkyl<(1-6)> (SO G11)

L12 ANSWER 13 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G17 = C(O) / SO2
G18 = (3-5) CH2 (SO (1-2) G8)
G19 = Hy<EC (1) Q (1) N (0) OTHERQ, AN (1) C, BD (2) D, RC (1), RS (1) E6> / Hy<EC (1) Q (1) N (0) OTHERQ, AN (1) C, BD (ALL) SE, RC (1), RS (1) E6>
DER: or a pharmaceutically acceptable salts
MPL: claim 1

L12 ANSWER 14 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 124:202226 MARPAT
TITLE: Preparation of thienopyridinones as gonadotropin-releasing hormone antagonists
INVENTOR(S): Furuya, Shuichi; Choh, Nobuo; Kato, Koichi; Hinuma, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528405	A1	19951026	WO 1995-JP728	19950414
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2186124	AA	19951026	CA 1995-2186124	19950414
AU 9522239	A1	19951110	AU 1995-22239	19950414
AU 697472	B2	19981008		
EP 756599	A1	19970205	EP 1995-915318	19950414
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CN 1146206	A	19970326	CN 1995-192628	19950414
HU 76320	A2	19970828	HU 1996-2884	19950414
RU 2150470	C1	20000610	RU 1996-120203	19950414
JP 08295693	A2	19961112	JP 1995-91068	19950417
BR 9501736	A	19951114	BR 1995-1736	19950419
US 5817819	A	19981006	US 1995-454304	19950616
CA 2211969	AA	19960815	CA 1996-2211969	19960207
WO 9624597	A1	19960815	WO 1996-JP263	19960207
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646327	A1	19960827	AU 1996-46327	19960207
JP 09169768	A2	19970630	JP 1996-21342	19960207
EP 808317	A1	19971126	EP 1996-901958	19960207
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CN 1173868	A	19980218	CN 1996-191854	19960207
CN 1064045	B	20010404		
BR 9600341	A	19980915	BR 1996-341	19960207
NO 9604434	A	19961018	NO 1996-4434	19961018
FI 9604195	A	19961217	FI 1996-4195	19961018
AU 9883169	A1	19981105	AU 1998-83169	19980908
AU 713116	B2	19991125		
US 6187788	B1	20010213	US 1998-164349	19981001

PRIORITY APPLN. INFO.: JP 1994-80732 19940419

L12 ANSWER 14 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

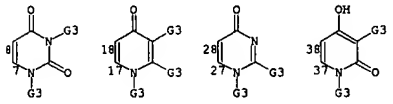
	JP 1994-195541	19940819
	JP 1994-271010	19941104
	JP 1995-20717	19950208
	JP 1995-40151	19950228
	AU 1995-22239	19950414
	US 1995-454304	19950414
	WO 1995-JP728	19950414
	JP 1995-91068	19950417
	JP 1995-271638	19951019
	WO 1996-JP263	19960207

AB Title compds. [I; R1,R2 = H, C-, N-, or S-attached group (sic); R11 = (CH2)nR3; R3 = homocyclic (sic) or heterocyclic group; Z = CR4:CR5; R4 = H, CHO, (esterified or amidated) CO2H, etc.; R5 = H, C-attached group; n = 0-3] and I [R1 = (CH2)rR13; R2 = (un)substituted aryl; R11 = H, (ar)alkyl, etc.; R13 = (un)substituted amino; Z = NR12CO; R12 = H, alkyl, aryl(alkyl), etc.; r = 0-3] were prepd. Thus, 4-(MeO)C6H4CH2COMe was condensed with NCCH2CO2Et and the product treated with S/Et2NH to give Et 2-amino-4-methyl-5-(4-methoxyphenyl)thiophene-3-carboxylate which was N-alkylated by EtOCH:C(CO2Et)2 and the product cyclized to give, after NaH treatment and condensation with 2-(MeO)C6H4CH2Cl, title product II [R = MeO, R3 = C6H4(OMe)-2, R4 = CO2Et]. II [R = NO2, R3 = C6H3F2-2,6, R4 = COPh] was converted in 4 steps to title compd. III which gave .apprx.85% redn. of mouse plasma testosterone levels at 30mg/kg/day orally for 3 days.

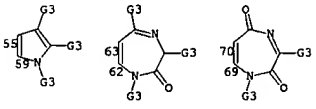
MSTR 6 ITERATION INCOMPLETE

G1 = Ak (SO (1-6) G4) / Cb (SO (1-6) G4) / (EX alkyl (SO) / cycloalkyl (SO) / aryl (SO) / aralkyl (SO) / 85)

G2 = Hy<RC (1), RS (1) M5 (1) X7> (SO) / (SC 7-4 8-2) / (EX 17-4 18-2 / 27-4 28-2 / 37-4 38-2 / o-C6H4 (SO (-4) G1) / 51-4 47-2 / 59-4 55-2 / 62-4 63-2 / 69-4 70-2)



L12 ANSWER 14 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G3 = H / Ak (SO (1-6) G4) / Cb (SO (1-6) G4) / (EX alkyl (SO) / cycloalkyl (SO) / aryl (SO) / aralkyl (SO) / 87)

G4 = R / (EX NO2 / OH / CN / CONH2 / CO2H / alkoxycarbonyl<(1-4)> / SO3H / F / Cl / Br / I / alkoxy<(1-6)> / aryloxy<(6-12)> / aryl<(6-16)> (SR (1-) X) / alkylthio<(1-6)> / arylthio<(6-12)> / alkylsulfonyl<(1-6)> / NH2 / NHCHO / alkylcarbonylamino<(1-5)> / alkylamino<(1-4)> / dialkylamino<(1-4)> / CHO / alkylcarbonyl<(1-5)> / arylcarbonyl<(6-12)> / Hy<EC (1-4) Q (0-) N (0-) O (0-) S (0) OTHERQ, RS (0-) E5 (0-) E6 (0) OTHER> / alkyl<(1-10)> (SR (1-) X))
G5 = Ak (SO) / Cb (SO)
G6 = O / S
MPL: claim 26

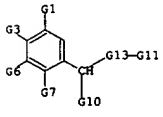
L12 ANSWER 15 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 123:144316 MARPAT
TITLE: Non-steroid androgen receptor antagonists
INVENTOR(S): Jones, Todd K.; Hamann, Lawrence G.; Farmer, Luc; Johnson, Michael G.; Goldman, Mark E.
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511215	A1	19950427	WO 1994-US11852	19941017
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5677336	A	19971014	US 1993-141492	19931021
AU 9480818	A1	19950508	AU 1994-80818	19941017

PRIORITY APPLN. INFO.: US 1993-141492 19931021
WO 1994-US11852 19941017

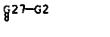
AB Non-steroidal phenol compds. of formulas I or II [double lines depict optional double bonds; X = C, O, N; R1 = R17, OR17, NR17R17', SR17, F, Cl, Br, NO2, R17, R17' = H, satd. or unsatd. C1-C6 alkyl, C3-C7 cycloalkyl, C7 aralkyl, etc.; R2 = NO2, N(OH)R17, F, Br, iodine, COMe, etc., R18 = H, C3-C7 cycloalkyl, C7 aralkyl, C5-C7 aryl, etc., R3 = R17, R17'; R4 = H, OR17, OCOR17, OSO2R17, etc.; R5 = H, OR17; R6 = R17; R7, R8 = R18, R7R8 = carbocyclic 3-8 membered ring; R9, R10 = Cl, Br, R17, etc.; R11, R12 = OR17, R18; R11R12 = O; R13, R14 = OR17, R18; R15, R16 = R18, OR17, R15R16 = CH2O] which are high affinity, high specificity ligand antagonists for the androgen receptor are disclosed. Also disclosed are methods for employing the disclosed compds. for modulating processes mediated by the androgen receptor and for treating patients requiring androgen receptor antagonist therapy.

MSTR 1 ITERATION INCOMPLETE

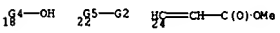


G1 = H / Ak<(1-6)> / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO) / OH / 8 / NH2 / SH / F / Cl / Br / NO2 / (SC OMe)

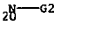
L12 ANSWER 15 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



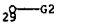
G2 = Ak<(1-6)> / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO)
G3 = NO2 / 18 / F / Cl / Br / I / H / alkyl<(1-6)> (SO G12) / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO) / OH / NH2 / SH / 22 / CH2OH / CHO / COMe / CO2Me / CH=CH2 / 24



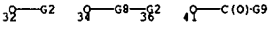
G4 = NH / 20



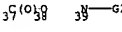
G5 = O / NH / S / S(O) / SO2
G6 = H / Ak<(1-6)> / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO) / OH / 29



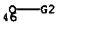
G7 = 32 / 34 / 41 / (SC OCOMe)



G8 = C(O) / 37-34 38-36 / NH / 39 / SO2 / S(O)



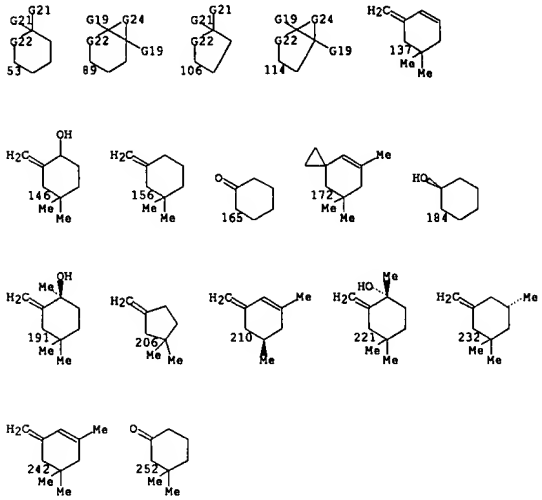
G9 = OH / NH2
G10 = H / OH / 46



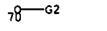
G11 = H / Ak<(1-6)> / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO)
G12 = OH / acyl / CN / 50

L12 ANSWER 15 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

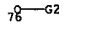
G13 = 53 / 89 / 106 / 114 / (SC 137 / 146 / 156 / 165 / 172 / 184 / 191 / 206 / 210 / 221 / 232 / 242 / 252)



G19 = OH / 70 / H / Ak<(1-6)> (SR G12) / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO)

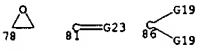


G21 = OH / 76 / H / Ak<(1-6)> (SR G12) / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO)

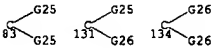


L12 ANSWER 15 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

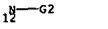
G22 = Cb<EC (3-8) C, AN (1) C> / 78 / 81 / 86



G23 = O / 83 / 131 / 134



G24 = O / NULL
G25 = Ak<(1-6)> (SR G12)
G26 = H / cycloalkyl<(3-7)> / aryl (SO) / heteroaryl (SO) / aralkyl (SO)
G27 = O / NH / 12



MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation allowed

L12 ANSWER 16 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 123:111752 MARPAT
TITLE: Preparation of 2-(pyrazol-3-yl)carbapenem derivatives
INVENTOR(S): Coulton, Steven; Hinks, Jeremy David; Hunt, Eric
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511905	A1	19950504	WO 1994-GB2347	19941025
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2175054	AA	19950504	CA 1994-2175054	19941025
AU 9479975	A1	19950522	AU 1994-79975	19941025
AU 697108	B2	19980924		
EP 725783	A1	19960814	EP 1994-931092	19941025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9407889	A	19961029	BR 1994-7889	19941025
JP 09504285	T2	19970428	JP 1994-512477	19941025
HU 75519	A2	19970528	HU 1996-1097	19941025
CN 1167486	A	19971210	CN 1994-194676	19941025
ZA 9408457	A	19960424	ZA 1994-8457	19941027
US 5606051	A	19970225	US 1995-457076	19950601
US 5612477	A	19970318	US 1995-457002	19950601
NO 9601654	A	19960611	NO 1996-1654	19960425
FI 9601817	A	19960628	FI 1996-1817	19960429
PRIORITY APPLN. INFO.:			GB 1993-22284	19931029
			GB 1994-10929	19940601
			WO 1994-GB2347	19941025

AB Carbapenem derivs. I (R = Q, R.alpha. = H, optionally substituted (C1-6)alkyl or optionally substituted aryl; R.beta. is H, optionally substituted (C1-6)alkyl or optionally substituted aryl; or R.alpha. and R.beta. together form an optionally substituted 5- or 6-membered heterocyclic ring with or without addnl. heteroatoms; R1 = (C1-6)alkyl which is unsubstituted or substituted by fluoro, a hydroxy group which is optionally protected by a readily removable hydroxy protecting group, or by an amino group which is optionally protected by a readily removable amino protecting group; R2 = H or Me, and -CO2R3 is carboxy or a carboxylate anion or R3 = a readily removable carboxy protecting group) were prepd. I, which include pharmaceutically acceptable salts or pharmaceutically acceptable in vivo hydrolyzable esters thereof, have a broad spectrum of anti-bacterial activity (no data) and show good stability towards DHP-1 (no data).

MSTR 4 ITERATION INCOMPLETE

L12 ANSWER 16 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

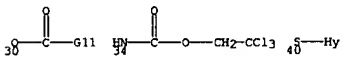
G1 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 42)

$_{42}$G12=G13

G2 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 44)

$_{44}$G12=G13

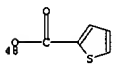
G10 = R / (EX X / OH / alkoxy<(1-6)> / CO2H /
alkoxycarbonyl<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> /
dialkylaminocarbonyl<(1-6)> / SO2NH2 /
alkylaminosulfonyl<(1-6)> / dialkylaminosulfonyl<(1-6)> /
NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / NHCHO /
alkylcarbonylamino<(1-5)> / NHCONH2 /
alkoxycarbonylamino<(1-6)> / 30 / 34 / aryl / Hy / acyl /
heteroaryl / alkylthio<(1-6)> / arylthio / 40 /
alkylsulfinyl<(1-6)> / arylsulfinyl / alkylsulfonyl<(1-6)> /
arylsulfonyl / Ph)



G11 = NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)>
G12 = Ak<EC (1-6) C, BD (ALL) SE> (SO (1-) G10)
G13 = O / 46

$_{46}$N—G14

G14 = alkoxy<(1-6)> / OH / NH2 / OCOPh / 48



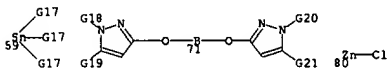
L12 ANSWER 16 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G1 +G2 = R<TX "moiety necessary to complete a 5- or
6-membered ring">
G18+G19= R<TX "moiety necessary to complete a 5- or
6-membered ring">
G20+G21= R<TX "moiety necessary to complete a 5- or
6-membered ring">
MPL: claim 12

L12 ANSWER 16 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
G15 = R / (EX X / alkyl<(1-6)> / alkyl<(1-4)> (SR aryl) /
alkoxy<(1-6)> / alkyl<(1-6)> (SR alkoxy<(1-6)>) /
alkyl<(1-6)> (SR (1-) X) / OH / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)> / acylamino / CO2H (SO) / CONH2 /
alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)> /
alkoxycarbonyl<(1-6)> / aryloxycarbonyl /
aryl (SR alkyl (SR alkoxycarbonyl<(1-6)>)) / NHCONH2 /
NHC(NH)NH2 / 56 / SO2NH2 / alkylthio<(1-6)> /
alkylsulfinyl<(1-6)> / alkylsulfonyl<(1-6)> / Hy /
alkyl<(1-4)> (SR Hy))

$_{56}$HN—SO$_2$—R

G16 = R<TX "metallo group"> / (SC 59 / 71 / 80)



G17 = alkyl<(1-6)> / Me / Bu-n
G18 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 82)

$_{82}$G12=G13

G19 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 84)

$_{84}$G12=G13

G20 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 86)

$_{86}$G12=G13

G21 = H / alkyl<(1-6)> (SO (1-) G10) /
aryl (SO (1-) G15) / (SC Me / Et / Pr-n / Pr-i / Ph (SO) /
naphthyl (SO) / 88)

$_{88}$G12=G13

L12 ANSWER 17 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 122:277994 MARPAT
TITLE: Colour photographic material.
INVENTOR(S): Weber, Beate; Hagemann, Joerg; Helling, Guenter;
Weimann, Ralf; Wolff, Erich
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G., Germany
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 632325	A1	19950104	EP 1994-109542	19940621
R: DE, FR, GB, NL				
DE 4322056	A1	19950112	DE 1993-4322056	19930702

PRIORITY APPLN. INFO.: DE 1993-4322056 19930702

AB A photog. material is described where a photosensitive or non-photosensitive layer contains a coupler and a polyester of .gtoreq.1 dicarboxylic acid and .gtoreq.1 arom. dihydroxy compd. of the formula I [L1, L2, X = divalent org. group bonded with an aliph. or arom. hydrocarbon through an O; n, m .gtoreq.1; p = 0, 1; R1-R4 = H, alkyl, aryl, acyl, aralkyl, alkylamino, arylamino, halogen, alkoxy, SO2NR5R6, NR5SO2R6, CONR5R6, NR5COR7, OCOR7, CO2R6; R5 = H, alkyl; R6 = R5, aralkyl, aryl; R7 = alkoxy aryloxy, alkylamino, arylamino, R6; X can for a rin with R1, R2, R3, or R4]. The material provides improved light stability and higher max. d.

MSTR 7 ITERATION INCOMPLETE

G9—G1—G7—G2—G9

G1 = phenylene (SO (1-3) G3)
G2 = phenylene (SO (1-3) G4)
G3 = (1-) alkyl (SO (1-) G6) / aryl (SO (1-) G6) / acyl /
63 / 18 / 59 / acylamino / 11 / X / NO2

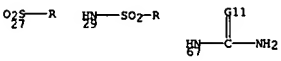
$_{11}$HN—SO$_2$—R $_{18}$O$_2$S—R $_{59}$G11—G12 $_{63}$G13—G14

G4 = (1-) alkyl (SO (1-) G6) / aryl (SO (1-) G6) / acyl /
65 / 25 / 61 / acylamino / 22 / X / NO2

$_{22}$HN—SO$_2$—R $_{25}$O$_2$S—R $_{61}$G11—G12 $_{65}$G13—G14

G6 = alkyl / aryl / acyl / acylamino / CONH2 / 67 /
alkoxycarbonyl / acyloxy / 27 / 29 / alkylamino / alkylthio /
alkoxycarbonylamino / X / NO2 / OH / CO2H / SO3H

L12 ANSWER 17 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G7 = alkylene (SO) / O / S / SO2
G9 = OH / alkoxy / 52

G10 = R<TX "cleavable group">
G11 = O / S
G12 = alkyl (SO) / aryl (SO)
G13 = C(O) / SO2
G14 = NH2 (SO)
MPL: claim 6

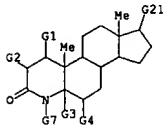
L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 121:109397 MARPAT
TITLE: Preparation of ester derivatives of 4-azasteroids as steroid 5.alpha.-reductase inhibitors.
INVENTOR(S): Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323041	A1	19931125	WO 1993-US4771	19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342525	A1	19931213	AU 1993-42525	19930519
AU 668181	B2	19960426		
EP 649306	A1	19950426	EP 1993-911362	19930519
EP 649306	B1	20010110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508039	T2	19950907	JP 1993-503838	19930519
AT 198601	E	20010115	AT 1993-911362	19930519
US 5610162	A	19970311	US 1994-338573	19941117
PRIORITY APPLN. INFO.:			US 1992-886022	19920520
			WO 1993-US4771	19930519

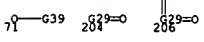
AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepd. as inhibitors of 5.alpha.-reductase and isoenzymes thereof. The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5.alpha.-4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH2Cl2 at room temp. to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5.alpha.-4-azapregnan-3-one.

MSTR 1 ITERATION INCOMPLETE

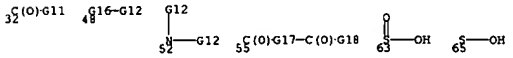


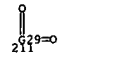
L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G1 = H
G2 = H
G3 = H
G4 = H
G5 = NULL / alkylene<EC (1-10) C, DC (0) M3> (SO G6)
G6 = Ph / naphthyl / alkyl<(1-3)> (SO G28) / (SC Me)
G7 = H / Me / Et / OH / NH2 / SMe
G8 = O / S
G9 = Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 204 / 206 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / 68 / OH / 71

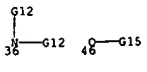


G10 = OH / F / Cl / Br / I / alkoxy<(1-8)> / alkenyl<(1-6)> / 32 / SH / 65 / 63 / 48 / 52 / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 209 / 211 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / 55



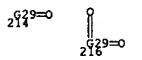


G11 = 36 / OH / 46

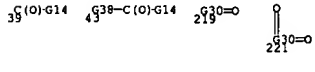


G12 = H / alkyl<(1-8)> (SO (1-) G13) / Ph (SO) /

L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 214 / 216 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)



G13 = OH / alkoxy<(1-3)> / CN / 39 / 43 / NO2 / F / Cl / Br / I / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> / 219 / 221 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>



G14 = OH / 41

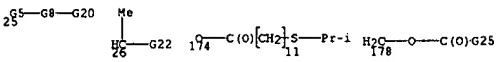
G15 = alkyl<(1-8)> (SO) / Ph (SO) / naphthyl (SO)
G16 = S / S(O) / SO2
G17 = NH / 59

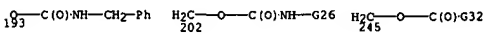
G18 = NH2 / 61

G19 = alkyl<(1-8)> / CH2Ph / cyclohexyl
G20 = alkylcarbonyl<(1-20)> (SO (1-) G10) / 30

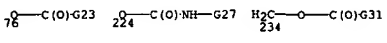
G21 = 25 / (SC 26 / 174 / 178 / 193 / 202) / (EX 245 / 330)

L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

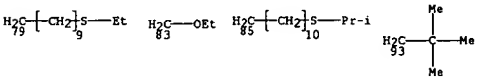


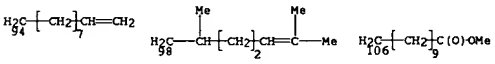


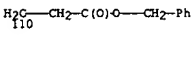
G22 = 76 / 224 / 234 / 239

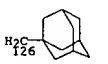


G23 = 79 / 83 / 85 / dodecyl / 93 / Bu-t / 94 / 98 / CH2CH2CO2H / 106 / 110 / 126 / 134 / 143 / 144 / 147 / 150 / 154 / 158 / 169 / 170

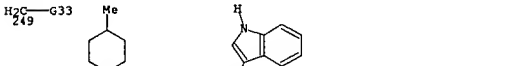


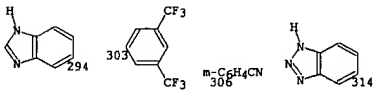


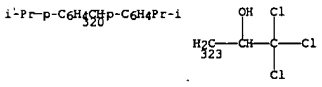




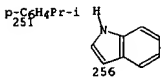
L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



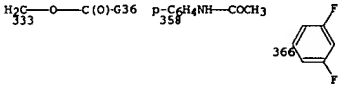




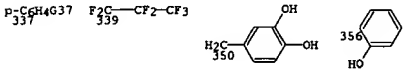
G33 = 2-furyl / 251 / cyclohexyl / 256 / OCOMe



G34 = Bu-i / OEt
G35 = 333 / 358 / heptadecyl / 366

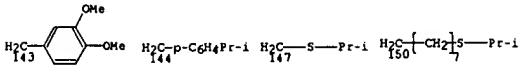


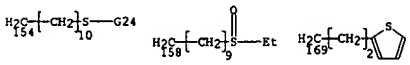
G36 = 337 / pentadecyl / 339 / 350 / 356

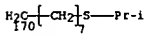


G37 = NO2 / COPh
G38 = alkylene<(1-8)>
G39 = H / alkyl<(1-8)> (SO (1-) G13) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 34 / 50 /

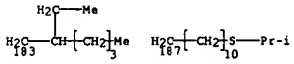
L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)





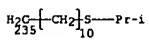


G24 = Pr-i / Bu-t
G25 = Me / Bu-t / 183 / 187



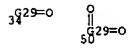
G26 = Bu-t / Me / 228

G27 = Bu-t / Pr-i / Me
G28 = Ph / naphthyl
G29 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, AN (0-) N (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)
G30 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, AN (0-) N (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>
G31 = Me / Bu-t / 235



G32 = 249 / 268 / 282 / 283 / 285 / 294 / 303 / 306 / 314 / 320 / 323 / CH=CHPh

L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)



G1 +G2 = NULL
G3 +G4 = NULL
DER: or pharmaceutically acceptable salts or ester
MPL: claim 1

L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

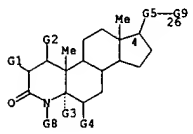
ACCESSION NUMBER: 120:245602 MARPAT
TITLE: Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid reductase inhibitors
INVENTOR(S): Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman K.; Yang, Shu Shu
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323040	A1	19931125	WO 1993-US4746	19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342521	A1	19931213	AU 1993-42521	19930519
AU 668180	B2	19960426		
EP 641204	A1	19950308	EP 1993-911358	19930519
EP 641204	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508038	T2	19950907	JP 1993-503831	19930519
AT 195530	E	20000915	AT 1993-911358	19930519
ES 2148229	T3	20001016	ES 1993-911358	19930519
US 5536727	A	19960716	US 1994-338572	19941117

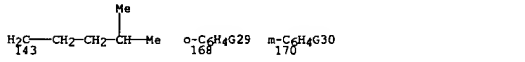
PRIORITY APPLN. INFO.: US 1992-886031 19920520
WO 1993-US4746 19930519

AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepd. as inhibitors of steroid 5.alpha.-reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one and diphenyldiazomethane in CH2Cl2 were treated dropwise with BF3.Et2O to give 17-diphenylmethoxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one.

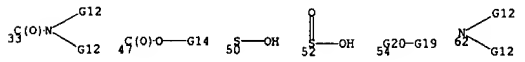
MSTR 1 ITERATION INCOMPLETE

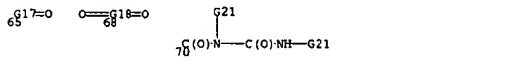


L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G10 = OH / F / Cl / Br / I / alkoxy<(1-8)> / alkenyl<(2-10)> / 33 / 47 / SH / 50 / 52 / 54 / 62 / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 65 / 68 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / 70

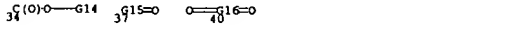




G11 = Ph / naphthyl

G12 = H / alkyl<(1-8)> (SO (1-) G13) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 42 / 45 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

G13 = OH / alkoxy<(1-3)> / CN / 34 / NO2 / F / Cl / Br / I / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> / 37 / 40 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>



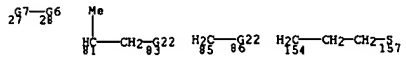
G14 = H / alkyl<(1-8)> (SO) / Ph (SO) / naphthyl (SO)

G15 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>

G16 = Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ, AN (1-) S, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ (6-) C,

L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G1 = H
G2 = H
G3 = H
G4 = H
G5 = O / S / S(O) / SO2 / 27-4 28-26 / (SC 81-4 83-26 / 85-4 86-26 / 154-4 157-26 / 158-4 162-26)

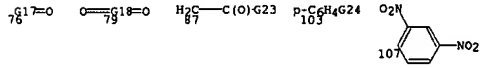


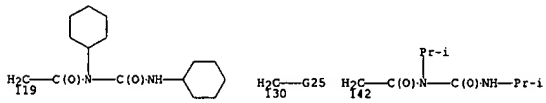
G6 = O / S / S(O) / SO2

G7 = alkylene (SO G11)

G8 = H / Me / Et / OH / NH2 / SMe

G9 = alkyl<(1-20)> (SO (1-) G10) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 76 / 79 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / (SC Me / 87 / Et / CHPh2 / Pr-i / 103 / 107 / 119 / 3-pyridyl / 130 / 142 / 143 / hexyl / Pr-n / undecyl / CH2CH=CH2 / CH2CH2CHMe2 / CH2C(Me)=CH2 / 168 / 170)





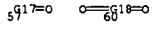
L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

AN (1-) S, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>

G17 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

G18 = Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ, AN (1-) S, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ (6-) C, AN (1-) S, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

G19 = alkyl<(1-8)> (SO (1-) G13) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 57 / 60 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

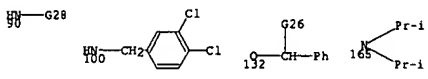


G20 = S / S(O) / SO2

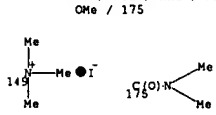
G21 = H / alkyl<(1-8)> / CH2Ph / cyclohexyl

G22 = O / S

G23 = 132 / 90 / OH / OEt / 100 / NHPh / NH2 / 165



G24 = Ph / NO2 / NH2 / NHCOMe / CN / CONH2 / NMe2 / 149 / OMe / 175



G25 = 2-pyridyl / Ph

G26 = H / Ph

G27 = COMe / CH(OH)Me / Bu-t

G28 = 91 / 1-adamantyl / Bu-i / CH2CH2OH

G29 = CN / NO2 / CONH2

G30 = CN / CONH2

G1 +G2 = NULL

G3 +G4 = NULL

DER: or pharmaceutically acceptable salts or esters

MPL: claim 1

L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
NTE: substitution is restricted

L12 ANSWER 20 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 120:148792 MARPAT
TITLE: Silver halide photographic material
INVENTOR(S): Hioki, Tananori; Nishimura, Ryoji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

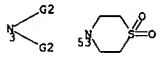
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 554856	A1	19930811	EP 1993-101666	19930203
EP 554856	B1	19981014		
R: DE, FR, GB				
JP 05216152	A2	19930827	JP 1992-54124	19920206
JP 2787630	B2	19980820		
US 5340694	A	19940823	US 1993-12350	19930202
PRIORITY APPLN. INFO.:			JP 1992-54124	19920206

AB The title material contains .gtoreq.1 hydrazine compd. R1R2NNR3R4 [R1-R4 = may be bonded to each other to form a non-arom. ring; provided that the carbon atom bonded to a N of the hydrazine core is not substituted by an oxo group). The material has a high sensitivity and does not tend to fog. After storage, depression of the sensitivity of the material is small.

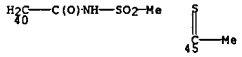
MSTR 1 ITERATION INCOMPLETE

G9

G1 = 3 / Hy<EC (1-) Q (1-) N, AN (1-) N, AR (0)> / (EX piperidino / 53)

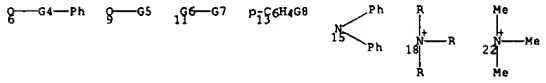


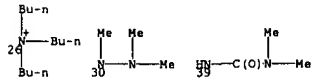
G2 = alkyl (SO (1-) G3) / aryl (SO) / Hy (SO) / (EX Ph / naphthyl / 2-pyridyl / 2-thiazolyl / 40 / 45)



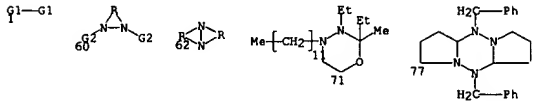
G3 = R / (EX CO2H / SO3H / CN / X / OH / alkoxycarbonyl / CO2Ph / CO2CH2Ph / alkoxy / 6 / aryloxy / 9 / acyloxy / acyl / COPh / SO2Me / 11 / aryl / 13 / 1-naphthyl / Hy / 2-pyridyl / tetrahydrofurfuryl / morpholino / 2-thienyl / NH2 / NMe2 / NHPh / 15 / alkylthio / alkylsulfonyl /

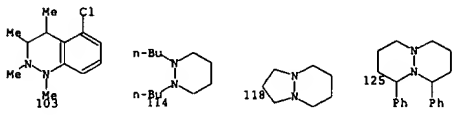
L12 ANSWER 20 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
alkylsulfinyl / NO2 / PO3H2 / acylamino / 18 / 22 / 26 / SH / NHNH2 / 30 / NHCONH2 / 39 / Ak<BD (1-) D> / Ak<BD (1-) T> / Cb<BD (1-) D>)

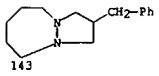




G4 = (1-2) CH2
G5 = Ph / p-C6H4Me / 1-naphthyl
G6 = C(O) / SO2
G7 = NH2 / NMe2 / morpholino / piperidino
G8 = H / Cl / Me
G9 = 1 / 60 / 62 / (EX 71 / 77 / 103 / 114 / 118 / 125 / 143)







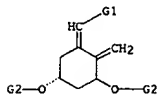
MPL: claim 1
NTE: substitution is restricted

L12 ANSWER 21 OF 27 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 120:107473 MARPAT
TITLE: Preparation of 1.alpha.,25-dihydroxycholecalciferol
INVENTOR(S): Sotojima, Fukuo
PATENT ASSIGNEE(S): Juki Gosei Yakuhin Kogyo Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

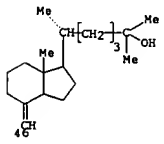
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05186420	A2	19930727	JP 1992-19414	19920109
OTHER SOURCE(S):		CASREACT 120:107473		

AB The title compd. (I), a known agent for treating bone metab. disorders (no data), is prepd. by an improved process. A soln. of II in THF was added dropwise to a soln. of phosphine oxide III in THF and BuLi in hexane with stirring at -78.degree. and then at room temp. to give 68% cholestatriene deriv. IV, which was stirred with LiBHEt3 in THF at room temp. to give quant. the epoxide-opened alc., which was deprotected with Bu4N+ F- in THF to give 96% I.

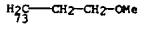
MSTR 1



G1 = 46



G2 = 73



MPL: claim 1

L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 120:31023 MARPAT
TITLE: Preparation of 11.beta.-thiahydrocarbyl-19-norsteroids and analogs as drugs
INVENTOR(S): Claussner, Andre; Nique, Francois; Teutsch, Jean Georges; Van de Velde, Patrick
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

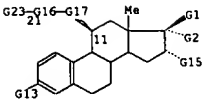
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9313123	A1	19930708	WO 1992-FR1193	19921217
W: AU, CA, FI, HU, JP, KR, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2685332	A1	19930625	FR 1991-15856	19911220
FR 2685332	B1	19950602		
IL 104105	A1	19970713	IL 1992-104105	19921215
AU 9333570	A1	19930728	AU 1993-33570	19921217
AU 666916	B2	19960229		
EP 623140	A1	19941109	EP 1993-902339	19921217
EP 623140	B1	19980422		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 68068	A2	19950529	HU 1994-2134	19921217
AT 165365	E	19980515	AT 1993-902339	19921217
RU 2111213	C1	19980520	RU 1994-31162	19921217
ES 2115754	T3	19980701	ES 1993-902339	19921217
ZA 9209859	A	19931220	ZA 1992-9859	19921218
CN 1075722	A	19930901	CN 1992-115248	19921219
CN 1036718	B	19971217		
US 6281204	B1	20010828	US 1994-244735	19940609
FI 9402944	A	19940617	FI 1994-2944	19940617

PRIORITY APPLN. INFO.: FR 1991-15856 19911220
WO 1992-FR1193 19921217

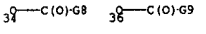
AB Title compds. [I; R = XYSOmZ; R3 = H, (cyclo)alkyl, acyl; R7 = H, alkyl, alkenyl, alkynyl, etc.; R16 = H, halo, alkyl; R17 = OH, CH2OH, acyloxy; R7R17 = O, NOH, NNH2, CH2; X = CH2, arylene(oxy); Y = (O-interrupted)(satd.) divalent C1-18 aliph. group; Z = (ar)alkyl, aryl; m = 0-2] were prepd. as antiestrogens, antiproliferatives, etc. Thus, 11.beta.-[4-hydroxyphenyl]estra-4,9-diene-3,17-dione was condensed with Cl(CH2)5Br and the product converted in 3 steps to estratrienediol II [R = C6H4[O(CH2)5Cl]-4] which was condensed with 2-pyridylmethanethiol to give, after oxidn., II [R = C6H4[O(CH2)5SO2]-4, Z = 2-pyridylmethyl]. The latter had relative binding affinity (definition given) of 21.2 at mouse estrogen receptors in vitro.

MSTR 1 ITERATION INCOMPLETE

L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

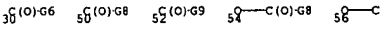


G1 = OH / CH2OH / acyloxy / (EX 34 / 36)



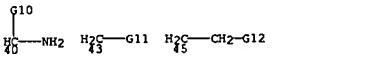
G2 = H / alkyl<(-8)> (SO (1-) G4) / alkenyl<(-8)> (SO (1-) G4) / alkynyl<(-8)> (SO (1-) G4) / 28 / (SC Me)

G3 = OH / NH2
G4 = X / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / OH / 30 / alkyl<(1-8)> (SO (1-) X) / CN / NO2 / acyl / acyloxy / alkoxy<(1-4)> / alkylthio<(1-4)> / alkenyl<(-4)> / alkynyl<(-4)> / aryl / Hy<EC (1-) Q (0-) O (0-) S (0-) N (0) OTHERQ> / (EX 50 / 52 / 54 / 56)



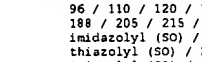
G5 = Ak<(-8)> (SO)
G6 = OH / 32 / H / NH2

G7 = R<TX "ester group"> / (EX alkyl)
G8 = alkyl (SO OH) / alkyl (SR cycloalkyl) / alkyl (SR Ph) / alkyl (SR NH2 (SO)) / 40 / 43 / 45 / CH2CH2CO2H



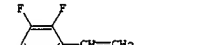
G9 = Cb<AR (0)> / cycloalkyl / Ph / 48

L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

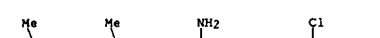


G10 = R<TX "amino acid side chain"> / CH2CO2H
G11 = OH / cyclopentyl / cyclohexyl / Ph / NEt2
G12 = cyclopentyl / cyclohexyl / Ph
G13 = OH / 60

G14 = alkyl<(-8)> / cycloalkyl<(-8)> / acyl / (EX 62 / 64)



G15 = H / X / alkyl<(-8)>
G16 = S / S(O) / SO2
G17 = 66-21 67-11 / 68-21 69-11 / (SC 221-21 223-11 / 224-21 227-11 / 228-21 231-11 / 236-21 239-11)



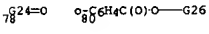
G18 = arylene<(-10)> / 70-68 71-11 / (SC phenylene)

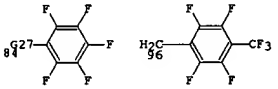
G19 = arylene<(-10)> / (SC phenylene)
G20 = Ak<(1-18)> / 72-21 74-67

G21 = Ak<(1-17)>
G22 = Ak<(1-18)> / 75-21 77-69

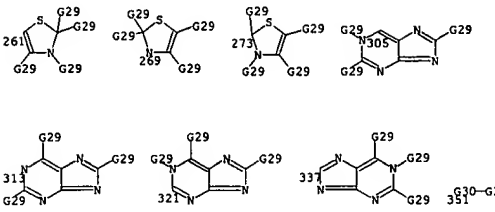
G23 = alkyl<(-8)> (SO (1-) G4) / 78 / aryl (SO (1-) G4) / Hy<EC (1-) Q (0-) O (0-) S (0-) N (0) OTHERQ> (SO (1-) G4) / alkyl<(-6)> (SR (1-) G25) / (SC alkyl<(2-4)> (SR (3) F) / alkyl<(4-5)> (SR (5) F) / alkyl<(4)> (SR (9) F) / 80 / 84 /

L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
96 / 110 / 120 / 124 / 129 / 134 / 140 / 146 / 158 / 166 / 188 / 205 / 215 / 232 / pentyl) / (EX Ph (SO) / furyl (SO) / imidazolyl (SO) / pyridyl (SO) / pyrimidinyl (SO) / thiazolyl (SO) / 241 / 245 / 253 / 255 / 261 / 269 / 273 / triazolyl (SO) / tetrazolyl (SO) / benzimidazolyl (SO) / benzothiazolyl (SO) / 305 / 313 / 321 / 337 / quinolinyl (SO) / 351)



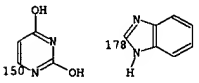


L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

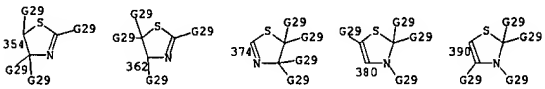


G24 = Ak<EC (-8) C, BD (ALL) SE> (SO) / Cb (SO) / Hy<EC (1-) Q (0-) O (0-) S (0-) N (0) OTHERQ> (SO)
G25 = aryl (SO (1-) G4) / Hy<EC (1-) Q (0-) O (0-) S (0-) N (0) OTHERQ> (SO (1-) G4) / 464

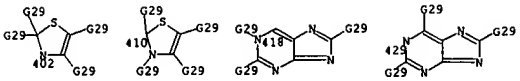
G26 = alkyl<(1-4)>
G27 = (1-3) CH2
G28 = 2-furyl / 2-pyridyl / 3-pyridyl / 4-pyridyl / 150 / 178

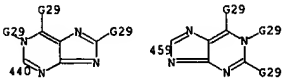


G29 = H / R
G30 = CH2 / CH2CH2 / CHMe
G31 = Ph (SO) / furyl (SO) / imidazolyl (SO) / pyridyl (SO) / pyrimidinyl (SO) / thiazolyl (SO) / 354 / 362 / 374 / 380 / 390 / 402 / 410 / triazolyl (SO) / tetrazolyl (SO) / benzimidazolyl (SO) / benzothiazolyl (SO) / 418 / 429 / 440 / 459 / quinolinyl (SO)



L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)





G32 = Cb (SO) / Hy<EC (1-) Q (0-) O (0-) S (0-) N (0) OTHERQ> (SO)
G1 +G2 = O / 26 / CH2

DER: and addition salts
MPL: claim 1

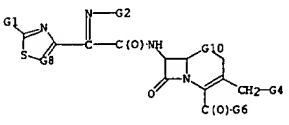
L12 ANSWER 23 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 119:203232 MARPAT
TITLE: Preparation of cephalosporin derivatives as antibacterial agents
INVENTOR(S): Tanaka, Kyoshi; Sutani, Mineichi; Komatsu, Miwako; Tsuchida, Keiichi; Saito, Akito; Hayashi, Kazuya; Kanna, Hiroshi; Yonezawa, Kenji; Minami, Shinzaburo; Watanabe, Yasuo
PATENT ASSIGNEE(S): Toyama Chemical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05070469	A2	19930323	JP 1991-343925	19911202
JP 3141040	B2	20010305		

PRIORITY APPLN. INFO.: JP 1991-202416 19910717

AB The title compds. [I; R1 = (un)protected NH2; R2 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, or heterocyclyl; R3 = (un)substituted isothiazolopyridinio; R4 = (un)protected CO2H, CO2-; A = CH, CX; X = halo; n = 0,1], having a broad spectrum of antibacterial activity, particularly against gram pos. bacteria including methicillin-resistant Staphylococcus, are prepd. Thus, cyclocondensation of 4-cyano-3-mercaptopyridine Na salt with H2NOSO3H in the presence of KHCO3 in aq. EtOH and quaternization of the resulting 3-aminoisothiazolo[5,4-b]pyridine by p-methoxybenzyl 3-iodomethyl-7-[2-(Z)-methoxyimino-2-(2-triphenylmethylaminothiazol-4-yl)acetamido]-3-cephem-4-carboxylate in DMF followed by deprotection with (a) CF3CO2H and anisole and then (b) 50% aq. HCO2H gave 7-[2-(2-aminothiazol-4-yl)-2-(Z)-(methoxyimino)acetamido]-3-cephem-4-carboxylate (II). II in vitro showed min. inhibitory concn. of 0.39, 1.56, and 25 .mu.g/mL against Staphylococcus aureus FDA209P, .beta.-lactamase-producing S. aureus F-137, and methicillin-resistant S. aureus F-597, resp. A total of 25 I were prepd.

MSTR 1A ITERATION INCOMPLETE

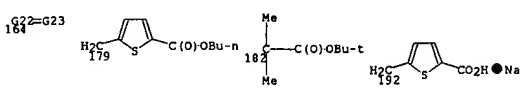


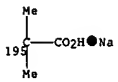
G1 = NH2 (SO) / (EX 159)

L12 ANSWER 23 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

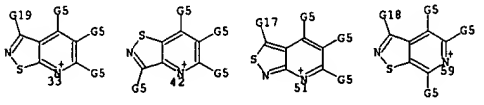
G2 = OH / 21

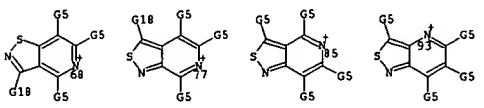
G3 = alkyl (SO G20) / alkenyl (SO G20) / alkynyl (SO G20) / cycloalkyl<(3-6)> (SO G20) / alkyl (SR G30) / aryl (SO G20) / (EX Ph (SO) / naphthyl (SO) / CH2Ph (SO) / CH2CH2Ph (SO) / 164 / 179 / 182 / 192 / 195)





G4 = 33 / 42 / 51 / 59 / 68 / 77 / 85 / 93 / Hy<EC (3) Q (2) N (1) S (0) OTHERQ (6) C, AN (1) N, AR (1-), BD (6) N (1) D, CH (1) +, FA (2) C, RC (2), RS (1) E6 (1) E5 (0) OTHER> (SO (1-) G11)





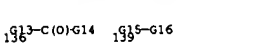
G5 = H / R
G6 = 131 / OH / 132

G7 = R<TX "protecting group"> / (EX 156)

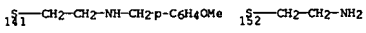
L12 ANSWER 23 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G8 = 134 / N

G9 = H / Cl / Br / F / I
G10 = S / S(O)
G11 = alkyl (SO) / alkenyl (SO) / alkynyl (SO) / cycloalkyl (SO) / loweralkoxy (SO) / loweralkylthio (SO) / NH2 (SO) / X / acylamino / CO2H (SO) / loweralkoxycarbonyl (SO) / OH (SO) / acyl / acyloxy / aryloxy (SO) / SO3H (SO) / loweralkylsulfonyl (SO) / C(NH)NH2 (SO G12) / NHC(NH)NH2 (SO) / CONH2 (SO G12) / NHCONH2 (SO G12) / OCONH2 (SO) / CN / NO2 / 136 / SH (SO) / arylamino (SO) / arylthio (SO) / 139



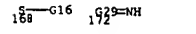
G12 = loweralkyl (SO) / R
G13 = O / S
G14 = NH2 (SO)
G15 = NH (SO) / S
G16 = Hy (SO)
G17 = H / R / (EX NH2 / 141 / NHCHO / 152)



G18 = H / R / (EX NH2)
G19 = H / R / (EX NH2 / NHCHO / 148)

G20 = R / (EX X / NH2 (SO) / CO2H (SO) / loweralkyl (SO G21) / loweralkenyl (SO) / loweralkynyl (SO) / cycloalkyl (SO) / OH (SO) / acyl / acyloxy / loweralkoxy (SO) / aryloxy (SO) / 172 / SO3H (SO) / alkylamino (SO) / dialkylamino (SO) / alkylthio (SR G26) / C(NH)NH2 (SO G12) / CONH2 (SO G12) / NHCONH2 (SO) / OCONH2 (SO) / CN / NO2 / loweralkoxycarbonyl (SO) / loweralkylthio (SO) / aryl (SO) / 168 / Hy (SO G27) / loweralkyl (SR G28) / aralkyloxycarbonyl (SO) / acylamino)

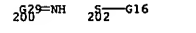
L12 ANSWER 23 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G21 = OH (SO) / R
G22 = Ak (SO) / Cb<EC (3-6) C, BD (ALL) SE> (SO) / Hy (SO)
G23 = O / 166

G24 = H / R / loweralkyl (SO G25) / OH (SO)
G25 = X / NH2 (SO) / R
G26 = (1-) NH2 (SO) / R
G27 = (1-) CO2H (SO) / R
G28 = (1-) 170 / R

G29 = Ak<EC (1-6) C, BD (ALL) SE> (SO)
G30 = (1-) aryl (SO G20) / R / (EX X / NH2 (SO) / CO2H (SO) / loweralkyl (SO G21) / loweralkenyl (SO) / loweralkynyl (SO) / cycloalkyl (SO) / OH (SO) / acyl / acyloxy / loweralkoxy (SO) / aryloxy (SO) / 200 / SO3H (SO) / alkylamino (SO) / dialkylamino (SO) / alkylthio (SR G26) / C(NH)NH2 (SO G12) / CONH2 (SO G12) / NHCONH2 (SO) / OCONH2 (SO) / CN / NO2 / loweralkoxycarbonyl (SO) / loweralkylthio (SO) / aryl (SO) / 202 / Hy (SO G27) / loweralkyl (SR G28) / aralkyloxycarbonyl (SO) / acylamino)



DER: and salts
MPL: claim 1

L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITS ARE ITERATION INCOMPLETES)

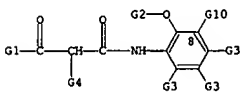
ACCESSION NUMBER: 119:191826 MARPAT
TITLE: Silver halide color photographic material
INVENTOR(S): Nishimura, Motoi; Sato, Hirokazu; Yamazaki, Katsmasa; Hirabayashi, Shigeto
PATENT ASSIGNEE(S): Konica Corp., Japan
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 520412	A1	19921230	EP 1992-110647	19920624
EP 520412	B1	19990825		
R: DE, FR, GB, NL				
JP 06027617	A2	19940204	JP 1991-185114	19910628
JP 2914790	B2	19990705		
US 1429	H1	19950404	US 1992-901089	19920619

PRIORITY APPLN. INFO.: JP 1991-185114 19910628

AB A Ag halide color photog. material with improved storage stability and color reprodn. comprises .gtoreq.1 Ag halide emulsion layer contg. a dye-forming coupler and .gtoreq.1 compd. having an ester group and an oxidn. potential .ltoreq.1800 mV and represented by the formula I or II (R1,R2 = alkyl; X1 = a divalent linking group; R3 = H or a substituent; R4,R5 = H or alkyl having 1-5 C atoms; X2 = a simple bond or alkylene; R6 = a heterocyclic group).

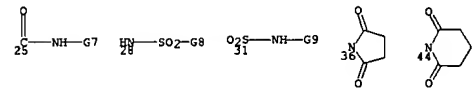
MSTR 3A ITERATION INCOMPLETE



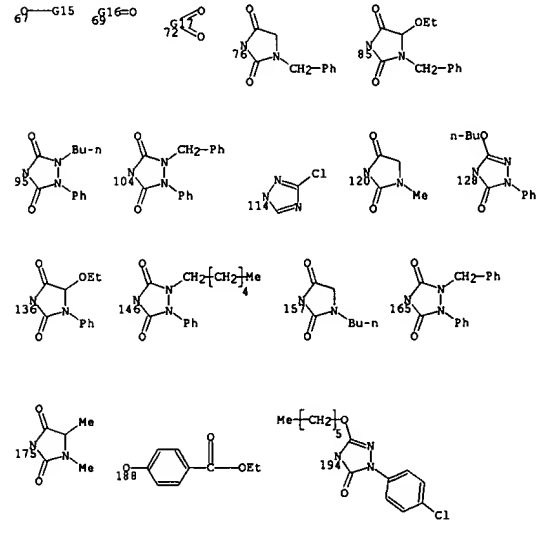
G1 = alkyl (SO (1-) G5) / cycloalkyl / (EX Me / Et / Pr-i / Bu-t / dodecyl / cyclopropyl / cyclohexyl / adamantyl)
G2 = alkyl (SO (1-) G5) / cycloalkyl (SO (1-) G5) / aryl (SO (1-) G5) / acyl / (EX Me / Et / Pr-i / Bu-t / dodecyl / cyclopropyl / cyclohexyl / adamantyl / Ph / 22)

G3 = (2-) H / R / (EX X / Cl / alkyl / Et / Pr-i / Bu-t / alkoxy / OMe / aryloxy / OPh / acyloxy / OCOMe / OCOPh / acylamino / NHCOMe / NHCOPh / CONH2 (SO) / 25 / 28 / SO2NH2 (SO) / 31 / 36 / 44)

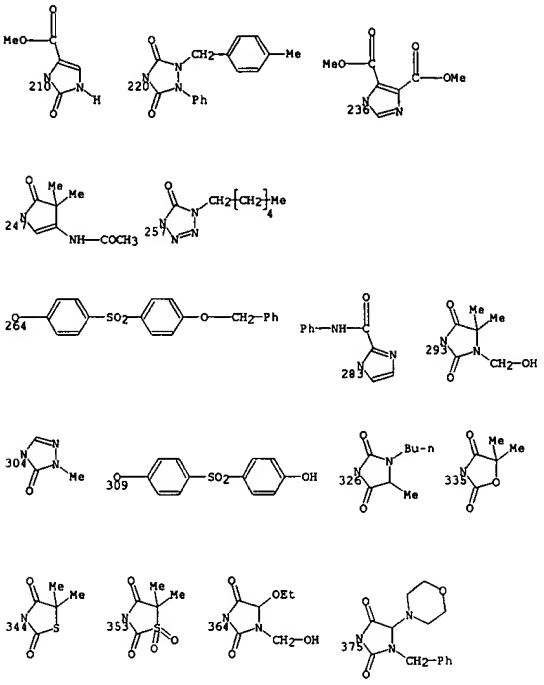
L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



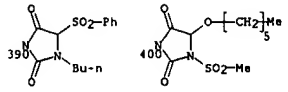
G4 = R<TX "group capable of being split off"> / (EX 67 / Hy<EC (1-) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1-) N, RS (0-) E5 (0-) E6 (0) OTHER> (SO) / 69 / 72 / 76 / 85 / 95 / 104 / 114 / 120 / 128 / 136 / 146 / 157 / 165 / 175 / 188 / 194 / 210 / 220 / 236 / 247 / 257 / 264 / 283 / 293 / 304 / 309 / 326 / 335 / 344 / 353 / 364 / 375 / 390 / 400)



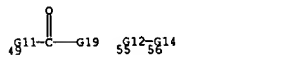
L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



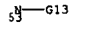
L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



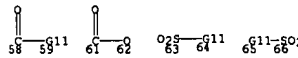
G5 = R / (EX X / aryl / alkoxy / aryloxy / alkylsulfonyl / acylamino / OH)
G6 = Me / Et / Pr-n / pentyl / Ph
G7 = Me / Ph
G8 = alkyl / Et / aryl / Ph
G9 = Pr-n / Ph
G10 = R<TX "organic group"> / (EX 49 / 55)



G11 = NH / S3

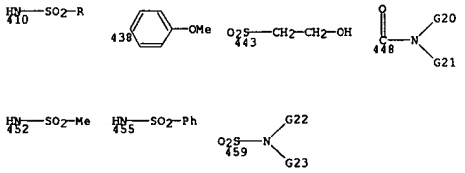


G12 = 58-8 59-56 / 61-8 62-56 / 63-8 64-56 / 65-8 66-56

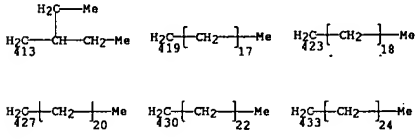


G13 = alkyl (SO (1-) G18) / aryl (SO (1-) G18) / Hy (SO (1-) G18) / Me / Et / Pr-i / Bu-t / dodecyl / Ph / naphthyl
G14 = H / alkyl (SO (1-) G18) / aryl (SO (1-) G18) / Hy (SO (1-) G18) / Me / Et / Pr-i / Bu-t / dodecyl / Ph / naphthyl
G15 = aryl (SO) / Hy
G16 = Hy<EC (1-) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1-) N, RS (0-) E5 (0-) E6 (0) OTHER> (SO)
G17 = Hy<EC (1-) Q (1-) N (0-) O (1-) S (0) OTHERQ, AN (1-) N (1) S, RS (0-) E5 (0-) E6 (0) OTHER> (SO)
G18 = R / X / Cl / alkyl / Et / Bu-t / aryl (SO) / Ph / 438 / naphthyl / alkoxy / OEt / OCH2Ph / aryloxy / OPh / alkylthio / SEt / arylthio / SPh / alkylsulfonyl (SO) / 443 / arylsulfonyl / SO2Ph / acylamino / alkylcarbonylamino / NHCOMe / arylcarbonylamino / NHCOPh / 448 / acyl / alkylcarbonyl / COMe / arylcarbonyl / COPh / 410 / alkylsulfonylamino / arylsulfonylamino / 452 / 455 / 459 / OH / CN

L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



G19 = H / alkyl (SO (1-) G18) / aryl (SO (1-) G18) / Hy (SO (1-) G18) / Me / Et / Pr-i / Bu-t / dodecyl / Ph / naphthyl / Pr-n / pentyl / hexyl / 413 / octyl / decyl / tridecyl / tetradecyl / pentadecyl / hexadecyl / heptadecyl / octadecyl / 419 / 423 / 427 / 430 / 433



G20 = H / alkyl / aryl / Ph / R / Me
G21 = H / alkyl / aryl / Ph / R
G22 = H / alkyl / aryl / Ph / R / Pr-n
G23 = H / alkyl / aryl / Ph / R
MPL: claim 6

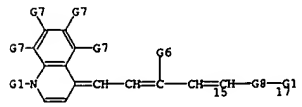
L12 ANSWER 25 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 119:149367 MARPAT
TITLE: Silver halide photographic material and its processing
INVENTOR(S): Tsutomu, Arai; Koichi, Kuno; Yasuhiro, Okamoto; Shuzo, Suga
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 98 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514675	A1	19921125	EP 1992-106853	19920422
EP 514675	B1	19991208		
R: DE, FR, GB				
JP 04330430	A2	19921118	JP 1991-116573	19910422
JP 2914780	B2	19990705		
JP 04330433	A2	19921118	JP 1991-116611	19910422
JP 2873886	B2	19990324		
JP 04324855	A2	19921113	JP 1991-121798	19910425
JP 2724639	B2	19980309		
JP 04328740	A2	19921117	JP 1991-124655	19910430
JP 2908595	B2	19990621		
JP 04333042	A2	19921120	JP 1991-131590	19910508
JP 2981526	B2	19991122		
JP 05011389	A2	19930122	JP 1991-189532	19910704
US 5942384	A	19990824	US 1995-480946	19950607
PRIORITY APPLN. INFO.:			JP 1991-116573	19910422
			JP 1991-116611	19910422
			JP 1991-121798	19910425
			JP 1991-124655	19910430
			JP 1991-131590	19910508
			JP 1991-189532	19910704
			US 1992-871749	19920421
			US 1994-243003	19940516

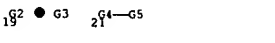
AB A Ag halide photog. material, which has high sensitivity and high contrast under high-intensity short-time exposure, comprises, on a support, .gtoreq.1 Se-sensitized photosensitive Ag halide emulsion layer contg. .ltoreq.10-6 mol/mol Ag of a Rh compd. and/or .ltoreq.10-5 mol/mol Ag of an Ir compd. and .gtoreq.30 mol% of the Ag halide grains contained in the emulsion layer are made of AgCl. The Ag halide photog. material is processed in an automatic processor with a total processing time of 15-60 s.

MSTR 6 ITERATION INCOMPLETE

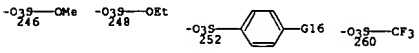


G1 = 19 / 21

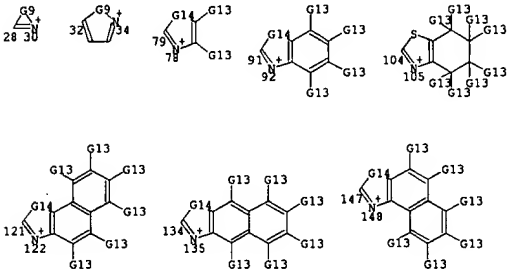
L12 ANSWER 25 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)



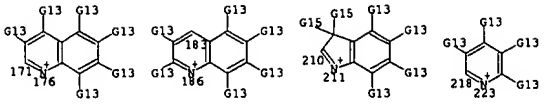
G2 = alkyl (SO (1-) G10) / (EX Me / Et / Pr-n / Bu-n / pentyl / heptyl / octyl)
G3 = R<TX "acid anion", CH (1) -> / (EX chloride / bromide / iodide / tetrafluoroborate / hexafluorophosphate / 246 / 248 / 252 / 260 / perchlorate)

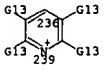


G4 = alkylene (SO (1-) G10)
G5 = R<CH (1) -> / (EX sulfonate / carboxylate)
G6 = H / loweralkyl / loweralkoxy / Ph / CH2Ph / CH2CH2Ph / (EX Me / Et / Pr-n / Bu-n / OMe / OEt / OPr-n / OBu-n)
G7 = (2-) H / loweralkyl / alkoxy / X / alkyl (SO) / (EX Me / Et / Pr-n / OMe / OEt / OBu-n / CF3 / CH2CO2H)
G8 = 28-15 30-17 / 32-15 34-17 / (EX 79-15 78-17 / 91-15 92-17 / 104-15 105-17 / 121-15 122-17 / 134-15 135-17 / 147-15 148-17 / 171-15 176-17 / 183-15 186-17 / 210-15 211-17 / 218-15 223-17 / 236-15 239-17)

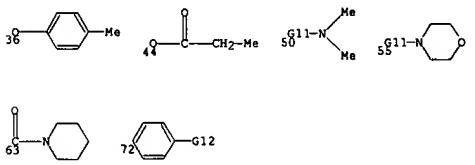


L12 ANSWER 25 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)





G9 = R<TX "moiety necessary to complete a 5- or 6-membered ring">
G10 = R / (EX CO2H / SO3H / CN / X / OH / alkoxycarbonyl / CO2Me / CO2Et / CO2CH2Ph / alkoxy / OMe / OEt / OPr-n / OBu-n / OCH2Ph / aryloxy (SO) / OPh / 36 / acyloxy / OCOMe / 44 / acyl / COMe / COCH2Me / COPh / OSO2Me / CONH2 (SO) / 50 / 55 / 63 / SO2NH2 (SO) / aryl (SO) / 72 / 1-naphthyl)



G11 = C(O) / SO2
G12 = H / OH / CO2H / SO3H
G13 = H / R / (EX Cl / Me / Br / I / Ph / OMe / OEt / CO2H / CO2Et / CH2CH2Ph / F / CF3 / Me / Et / CH2CH=CH2)
G14 = S / Se / O / NH (SO)
G15 = alkyl
G16 = H / Me / Cl / NO2
MPL: claim 5

L12 ANSWER 26 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 119:139055 MARPAT
TITLE: Process for producing epoxides
INVENTOR(S): Murahashi, Shunichi; Oda, Yoshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 540009	A1	19930505	EP 1992-118554	19921029
EP 540009	B1	19950830		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 05310720	A2	19931122	JP 1992-285828	19921023
JP 3216268	B2	20011009		
CA 2081507	AA	19930501	CA 1992-2081507	19921027
US 5367087	A	19941122	US 1992-968414	19921029
US 5466838	A	19951114	US 1994-275727	19940719

PRIORITY APPLN. INFO.: JP 1991-284651 19911030
JP 1992-52438 19920311
JP 1992-52441 19920311
US 1992-968414 19921029

OTHER SOURCE(S): CASREACT 119:139055

AB A process for producing the title compds. I (R1-R4 = H, (substituted) C1-20 alkyl, -Ph, -phenylalkyl, -acyl, -alkoxycarbonyl, -PhO2C, R1R2, R1R3, R1R2R3 = ring), comprises oxidn. of R1R2C:CR3R4 with O in presence of an aldehyde without a catalyst or with a catalyst that is easily available and recoverable and that will bring little of undesirable substances into the waste H2O. Cyclohexane, Me2CHCHO and CH2Cl2 were stirred overnight under O at 25.degree. to give cyclohexene oxide.

MSTR 2B ITERATION INCOMPLETE

G1 = H / alkyl<(1-20)> (SO G2) / Ph (SO (1-) G3) / 46 / alkylcarbonyl<(-20)>

G2 = X / OH / alkoxy<(-20)> / OPh / alkylcarbonyloxy<(-20)> / CHO / alkylcarbonyl<(-20)> / alkoxycarbonyl<(-20)> / CO2Ph / Ph (SO (1-) G3)
G3 = X / alkyl<(-20)> / alkoxy<(-20)> / OPh / alkylcarbonyloxy<(-20)> / CHO / alkylcarbonyl<(-20)> / alkoxycarbonyl<(-20)> / CO2Ph
G6 = alkyl<(1-20)> (SO G2) / 71 / alkylcarbonyl<(-20)>

L12 ANSWER 26 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)

G9 = H / alkoxy<(-20)> / OPh
G10 = alkyl<(1-20)> (SO G2)
MPL: claim 1

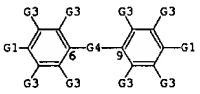
L12 ANSWER 27 OF 27 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 119:105755 MARPAT
TITLE: Silver halide color photographic material
INVENTOR(S): Hirabayashi, Shigeto; Yamazaki, Katsumasa
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Eur. Pat. Appl., 108 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 515128	A1	19921125	EP 1992-304489	19920518
R: DE, FR, GB, NL				
JP 04346341	A2	19921202	JP 1991-147905	19910523
JP 04346344	A2	19921202	JP 1991-147908	19910523
JP 05100389	A2	19930423	JP 1991-292528	19911011

PRIORITY APPLN. INFO.: JP 1991-147905 19910523
JP 1991-147908 19910523
JP 1991-292528 19911011

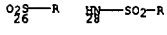
AB A Ag halide color photog. material capable of forming an image of which the characteristic curve ascends with a gentle gradient from the low exposure region to the high exposure region and of forming prints of the same hue irresp. of the type of the printer used comprises 2 kinds of magenta couplers represented by the formulas I and II, resp., (R1 = H, alkyl, or aryl; R2-4 = H, alkyl, or aryl which may combine with each other to form a satd. or unsatd. ring, provided that .gtoreq.2 of them are not H; J = methylene, O or Si X1, X2 = H or a group capable of being released by reaction with an oxidized developing agent; Z1, Z2 = a group of nonmetallic atoms necessary for forming a N-contg. heterocyclic ring which may have a substituent).

MSTR 6 ITERATION INCOMPLETE

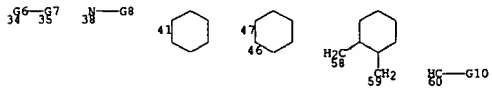


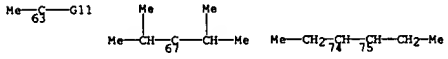
G1 = OH / 16

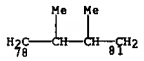
G2 = alkyl (SO G5) / cycloalkyl (SO G5) / alkenyl (SO G5) / aryl (SO G5) / (EX Ph (SO) / CH2Ph)
G3 = H / X / alkyl (SO G5) / cycloalkyl (SO G5) / alkenyl (SO G5) / alkoxy (SO G5) / aryl (SO G5) / aryloxy (SO G5) / alkylthio (SO G5) / arylthio (SO G5) / acyl / acylamino / 26 / 28 / OH / (EX Ph (SO) / SPh (SO) / alkylcarbonyl (SO G5) / arylcarbonyl (SO G5) / alkylcarbonylamino (SO G5) / arylcarbonylamino (SO G5) / alkylsulfonyl (SO G5) / arylsulfonyl (SO G5) / alkylsulfonylamino (SO G5) / arylsulfonylamino (SO G5))



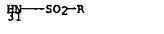
G4 = R<TX "divalent organic group"> / (EX alkylene (SO (1-) G9) / SO2 / S / 34-6 35-9 / NH / 38 / 41 / 47-6 46-9 / 58-6 59-9 / 60 / 63 / 67 / 74-6 75-9 / 78-6 81-9 / NMe)







G5 = R / (EX X / alkyl / alkenyl / alkoxy / aryloxy / OH / alkoxycarbonyl / aryloxycarbonyl / alkylamino / arylamino / acylamino / CONH2 / 31 / SO2NH2)



G6 = SO2 / C(O)
G7 = NH / 36

G8 = alkyl (SO) / Ph (SO) / Pr-n / pentyl
G9 = aryl / CN / X / Hy / cycloalkyl / alkoxy / OH / aryloxy
G10 = Me / H / Pr-n / pentyl

L12 ANSWER 27 OF 27 MARPAT COPYRIGHT 2002 ACS (Continued)
G11 = Et / Bu-i / Ph / p-C6H4Me / Me
MPL: claim 5